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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

G1 Me,H

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:59:47 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1323 TO ITERATE

1000 ITERATIONS 75.6% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 24279 TO 28641

PROJECTED ANSWERS: 2118 TO 3544

L2 50 SEA SSS SAM L1

=> d scan

L2 50 ANSWERS REGISTRY COPYRIGHT 2003 ACS

1H-Pyrrole-2-carboxamide, N-(3,3-diphenylpropyl)-4-[(5-oxo-2-thioxo-4imidazolidinylidene)methyl]-1-[[3-(trifluoromethoxy)phenyl]methyl]- (9CI)

50 ANSWERS

MF C32 H27 F3 N4 O3 S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> Uploading 09990405.str

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR

G1 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful FULL SEARCH INITIATED 11:02:33 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 26418 TO ITERATE 100.0% PROCESSED 26418 ITERATIONS 3236 ANSWERS SEARCH TIME: 00.00.01 3236 SEA SSS FUL L1 T.4 => file caplus, uspatful, uspat2 COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 151.35 151.56 FILE 'CAPLUS' ENTERED AT 11:03:43 ON 08 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS) FILE 'USPATFULL' ENTERED AT 11:03:43 ON 08 JAN 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS) FILE 'USPAT2' ENTERED AT 11:03:43 ON 08 JAN 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS) => s 141738 L4 L5 => s depression or antidepressant? 191248 DEPRESSION OR ANTIDEPRESSANT? => s 15 and 16 81 L5 AND L6 L7 => dup rem 17 PROCESSING COMPLETED FOR L7 79 DUP REM L7 (2 DUPLICATES REMOVED) => s (depression or antidepressant?)/tu 'TU' IS NOT A VALID FIELD CODE 'TU' IS NOT A VALID FIELD CODE 'TU' IS NOT A VALID FIELD CODE 0 (DEPRESSION OR ANTIDEPRESSANT?)/TU => d 18 1-79 bib, abs, hitstr L8 ANSWER 1 OF 79 USPATFULL DUPLICATE 1 AN 2002:112918 USPATFULL ΤI Imidazole compounds IN Andersen, Knud Erik, Brondby, DENMARK Dorwald, Florencio Zaragiza, Ballerup, DENMARK Peschke, Bernd, Malov, DENMARK Sidelmann, Ulla Grove, Valby, DENMARK Rudolf, Klaus, Warthausen, GERMANY, FEDERAL REPUBLIC OF

Stenkamp, Dirk, Biberach, GERMANY, FEDERAL REPUBLIC OF Hurnaus, Rudolf, Biberach, GERMANY, FEDERAL REPUBLIC OF

Krist, Bernd, Ulm, GERMANY, FEDERAL REPUBLIC OF

Muller, Stephan Georg, Warthausen, GERMANY, FEDERAL REPUBLIC OF

PΙ

Eriksen, Birgitte, Farum, GERMANY, FEDERAL REPUBLIC OF

US 2002058659 20020516 Α1 B2 20020820 US 6437147

ΑI US 2001-810237 Α1 20010316 (9) PRAI 20000317

DK 2000-441 DK 2000-1016 20000629

US 2000-193741P 20000331 (60)

US 2000-216553P 20000707 (60)

DT Utility

FS APPLICATION

LREP Steve T. Zelson, Esq., Novo Nordisk of North America, Inc., Suite 6400, 405 Lexington Avenue, New York, NY, 10174-6401

CLMN Number of Claims: 42 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4654

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A novel class of imidazo heterocyclic compounds, pharmaceutical AΒ compositions comprising them and use thereof in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor. More particularly, the compounds are useful for the treatment and/or prevention of diseases and disorders in which an interaction with the histamine H3 receptor is beneficial.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

361394-36-7P

(prepn. of condensed imidazoles as histamine H3 receptor ligands)

361394-36-7 USPATFULL RN

CN 1H-Benzimidazole-5-carboxamide, N-(3,3-diphenylpropyl)-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

5586-73-2, (3,3-Diphenylpropyl)amine IT

(prepn. of condensed imidazoles as histamine H3 receptor ligands)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph₂CH-CH₂-CH₂-NH₂

L8 ANSWER 2 OF 79 USPATFULL

AN 2002:337920 USPATFULL

ΤI Neuroprotectants formulations and methods

IN Hesson, David P., Malvern, PA, UNITED STATES Frazer, Glen D., Wynnewood, PA, UNITED STATES Ross, Douglas, North wales, PA, UNITED STATES PI US 2002193285 A1 20021219

AI US 2002-90441 A1 20020304 (10)

PRAI US 2001-331360P 20010302 (60)

DT Utility FS APPLICATION

LREP ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION CENTER, P.O. BOX

5218, PRINCETON, NJ, 08543-5218

CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 1 Drawing Page(s)

LN.CNT 870

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Provided is a method of treating in an animal that has suffered damage to cerebrospinal tissue or that has an indication creating a risk of damage to cerebrospinal tissue, the method comprising: a. injecting a physiologically acceptable cerebrospinal perfusion fluid into a first catheter into the cerebrospinal pathway, which cerebrospinal perfusion fluid has a neuroprotecting effective amount of a neuroprotectant; b. withdrawing fluid at a second catheter into the cerebrospinal pathway to create a flow and flow pathway between the first and second catheters; and c. maintaining the flow for a period of time adapted to perfuse an affected tissue.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 186495-99-8, NPS 1506

(neuroprotectant formulations)

RN 186495-99-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

L8 ANSWER 3 OF 79 USPATFULL

AN 2002:213465 USPATFULL

TI Uniform drug delivery therapy

IN Ayer, Atul D., Palo Alto, CA, UNITED STATES

Lam, Andrew, San Francisco, CA, UNITED STATES

Magruder, Judy A., Mountain View, CA, UNITED STATES Hamel, Lawrence G., Mountain View, CA, UNITED STATES

Wong, Patrick S. L., Palo Alto, CA, UNITED STATES

PI US 2002114838 A1 20020822

AI US 2001-5594 A1 20011107 (10)

RLI Continuation of Ser. No. US 2000-602916, filed on 23 Jun 2000, ABANDONED Continuation of Ser. No. US 1997-826642, filed on 4 Apr 1997, GRANTED, Pat. No. US 6096339

PRAI US 1996-14889P 19960405 (60)

DT Utility

FS APPLICATION

LREP ALZA CORPORATION, P O BOX 7210, INTELLECTUAL PROPERTY DEPARTMENT,

MOUNTAIN VIEW, CA, 940397210 Number of Claims: 38 CLMN Exemplary Claim: 1 ECL DRWN 3 Drawing Page(s) LN.CNT 1285 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB The invention disclosed pertains to a novel delivery system comprising an agent formulation and means for dispensing the agent formulation from the delivery system. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 13042-18-7, Fendiline (controlled-release dosage forms contg. particles of drugs and hydrophilic polymers) ΡN 13042-18-7 USPATFULL CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) Ph Ph2CH-CH2-CH2-NH-CH-Me $rac{1}{8}$ ANSWER 4 OF 79 USPATFULL AN2002:92663 USPATFULL TINon-peptidyl vasopressin V1a antagonists Bruns, Robert F., JR., Carmel, IN, UNITED STATES ΤN Cooper, Robin DG, Indianapolis, IN, UNITED STATES Dressman, Bruce A., Indianapolis, IN, UNITED STATES Hunden, David C., Carmel, IN, UNITED STATES Kaldor, Stephen W., Indianapolis, IN, UNITED STATES Koppel, Gary A., Indianapolis, IN, UNITED STATES Rizzo, John R., Indianapolis, IN, UNITED STATES Skelton, Jeffrey J., Indianapolis, IN, UNITED STATES Steinberg, Mitchell I., Indianapolis, IN, UNITED STATES PΙ US 2002049187 Α1 20020425 ΑI US 2000-733430 Α1 20001208 (9) Division of Ser. No. US 1999-125737, filed on 19 Aug 1999, GRANTED, Pat. RLI No. US 6204260 A 371 of International Ser. No. WO 1997-US3039, filed on 20 Feb 1997, UNKNOWN PRAI GB 1996-5044 19960309 GB 1996-5045 19960309 GB 1996-5046 19960309 US 1996-12149P 19960223 (60) US 1996-12188P 19960223 (60) US 1996-12215P 19960223 (60) DT Utility FS APPLICATION LREP ROBERT D. TITUS, Eli Lilly and Company, Lilly Corporate Center, Patent Division DC: 1104, Indianapolis, IN, 46285 CLMN Number of Claims: 10 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 3603 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention provides methods and 2-(azetidin-2-on-1-yl) acetic acid AB derivatives of Formula I ##STR1##

for the antagonism of the vasopressin V.sub.la receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 195310-09-9P

(prepn. of non-peptidyl vasopressin Vla receptor antagonists)

RN 195310-09-9 USPATFULL

CN 1-Azetidineacetamide, N-(3,3-diphenylpropyl)-.alpha.-(2-methylpropyl)-2-oxo-3-(2-oxo-4-phenyl-3-oxazolidinyl)-4-(2-phenylethenyl)-,
[3S-[1(R*),3.alpha.(R*),4.alpha.(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 5586-73-2

(prepn. of non-peptidyl vasopressin Vla receptor antagonists)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

L8 ANSWER 5 OF 79 USPATFULL

AN 2002:8522 USPATFULL

TI Compounds active at a novel site on receptor-operated calcium channels useful for treatment of neurological disorders and diseases

IN Mueller, Alan L., Salt Lake City, UT, UNITED STATES Moe, Scott T., Salt Lake City, UT, UNITED STATES

PA NPS Pharmaceuticals, Inc. (U.S. corporation)

PI US 2002004522 A1 20020110

AI US 2001-825373 A1 20010402 (9)

Continuation of Ser. No. US 1998-186341, filed on 4 Nov 1998, GRANTED, Pat. No. US 6211245 Continuation of Ser. No. US 1997-873011, filed on 11 Jun 1997, ABANDONED Continuation-in-part of Ser. No. US 1996-763480, filed on 11 Dec 1996, GRANTED, Pat. No. US 6017965 Continuation-in-part of Ser. No. US 1996-663013, filed on 7 Jun 1996, ABANDONED Continuation-in-part of Ser. No. US 1995-485038, filed on 7 Jun 1995, GRANTED, Pat. No. US 6071970 Continuation-in-part of Ser. No. WO 1994-US12293, filed on 26 Oct 1994, UNKNOWN Continuation-in-part of Ser. No. US 1994-288688, filed on 11 Aug 1994, GRANTED, Pat. No. US 5544872 Continuation-in-part of Ser. No. US 1994-194210, filed on 8 Feb 1994, ABANDONED Continuation-in-part of Ser. No. US 1993-14813, filed on 8 Feb 1993, ABANDONED

DT Utility

FS APPLICATION

LREP Foley & Lardner, 23rd Floor, 402 W. Broadway, San Diego, CA, 92101-3542

CLMN Number of Claims: 31 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6312

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Method and compositions for treating a patient having a neurological disease or disorder, such as stroke, head trauma, spinal cord injury, spinal cord ischemia, ischemia— or hypoxia—induced nerve cell damage, epilepsy, anxiety, neuropsychiatric or cognitive deficits due to ischemia or hypoxia such as those that frequently occur as a consequence of cardiac surgery under cardiopulmonary bypass, or neurodegenerative diseases such as Alzheimer's Disease, Huntington's Disease, Parkinson's Disease, or amyotrophic lateral sclerosis (ALS).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144451-98-9P 170018-57-2P 170018-63-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 144451-98-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-57-2 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

⊕ HCl

RN 170018-63-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 5586-73-2 170018-58-3 170018-59-4

170018-60-7 170018-61-8 170018-62-9

170018-64-1

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

RN 170018-58-3 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-59-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-60-7 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride

(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \cdot & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{NH}_2 \\ \hline \\ \text{Me} & \end{array}$$

● HCl

RN 170018-61-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-62-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \hline \\ \text{CH} \end{array}$$

HCl

RN 170018-64-1 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 170019-10-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170019-10-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 170018-65-2 170018-85-6 170018-86-7

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170018-65-2 USPATFULL

$$\begin{array}{c|c} & \text{Ph} & \\ | & \\ \text{CH-CH}_2\text{-CH}_2\text{-NH}_2 \end{array}$$

HCl

RN 170018-85-6 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-86-7 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

```
L8
     ANSWER 6 OF 79 USPATFULL
                                                         DUPLICATE 2
ΑN
       2001:176600 USPATFULL
       Partially saturated calcium channel blockers
ΤI
IN
       Snutch, Terrance P., Vancouver, Canada
PΙ
       US 2001029258
                          A1
                               20011011
       US 6492375
                               20021210
                          B2
                               20010326 (9)
       US 2001-818063
ΑI
                          A1
       Continuation of Ser. No. US 1999-476929, filed on 30 Dec 1999, ABANDONED
RLI
       Continuation-in-part of Ser. No. US 1999-401699, filed on 23 Sep 1999,
       PENDING Continuation-in-part of Ser. No. US 1998-107037, filed on 30 Jun
       1998, GRANTED, Pat. No. US 6011035
PRAI
       US 1999-172765P
                           19991220 (60)
DT
       Utility
FS
       APPLICATION
       MORRISON & FOERSTER LLP, 3811 VALLEY CENTRE DRIVE, SUITE 500, SAN DIEGO,
LREP
       CA, 92130-2332
CLMN
       Number of Claims: 20
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 888
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
       Compounds of the formula
                                  ##STR1##
       or the salts thereof,
       wherein each Z is independently N or CH, but one Z must be N;
       wherein n.sup.1 is 1 and n.sup.2 is 0 or 1;
       X.sup.1 and X.sup.2 are linkers;
```

Ar represents one or two substituted or unsubstituted aromatic or heteroaromatic rings, and

Cy represents one or two substituted or unsubstituted aliphatic cyclic or heterocyclic rings, or consists of one substituted or unsubstituted aliphatic cyclic or heterocyclic ring and one substituted or unsubstituted aromatic or heteroaromatic ring;

each of Y.sub.a and Y.sub.b is two substituted or unsubstituted aromatic or heteroaromatic rings, or can be two substituted or unsubstituted aliphatic cyclic or heterocyclic rings or consists of one substituted or unsubstituted aliphatic cyclic or heterocyclic ring and one substituted or unsubstituted aromatic or heteroaromatic ring;

with the proviso that said rings cannot both be phenyl when both Ar includes a single phenyl ring and X.sup.1 contains less than 5C;

and with the proviso that formula (1b) must contain at least one aromatic or heteroaromatic ring;

1.sup.1 is 0 or 1;

R.sup.1 is substituted or unsubstituted alkyl (1-6C), substituted or unsubstituted aryl (6-10C) or substituted or unsubstituted arylalkyl (7-16C) optionally containing 1-4 heteroatoms selected from the group consisting of halo, N, P, O, and S or may independently be halo, OR, SR, NR.sub.2, OOCR, NROCR, COR, COOR, CONR.sub.2, CF.sub.3, CN or NO.sub.2, wherein R is H or alkyl (1-6C).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 390-64-7, Prenylamine

(heterocyclic benzhydryl deriv. calcium channel blockers, and receptor antagonist identification method)

RN 390-64-7 USPATFULL

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}$$

```
L8 ANSWER 7 OF 79 CAPLUS COPYRIGHT 2003 ACS
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AN 2001:246566 CAPLUS

DN 134:280864

TI Preparation of 6-azauracil derivatives as thyroid receptor ligands

IN Dow, Robert Lee; Chiang, Yuan-Ching Phoebe; Estep, Kimberly Gail

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 153 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			-		
PI	EP 1088819	A2	20010404	EP 2000-308112	20000918
	EP 1088819	A3	20010411		
	n. 7m nn	arr - 5-5	DW DO DD C		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO JP 2000-282882 JP 2001114768 A2 20010424 20000919 BR 2000004539 20010417 BR 2000-4539 20000929 Α PRAI US 1999-156842P 19990930 OS MARPAT 134:280864 GI

$$R^3$$
 R^4
 R^5
 R^6
 R^1
 R^2
 R^8
 R^8
 R^7
 R^8

AΒ Title compds. [I; W = O, S, SO, SO2, NR30, CO, CH:CH, CH2, CHF, CF2, CH(OH); R1, R2 = H, halo, alkyl, cyano, OR12, CF3; R3 = H, halo, cyano, NO2, (substituted) alkyl, etc.; R4 = CR14R15R16, CONR19R20, aryl, heteroaryl, etc.; R3R4 = (CH2)b, Q(CH2)c, etc.; b = 3-7; c = 2-6; R5 = OR23; R4R5 = CR31:CR32NH, CR31:CR32S, etc.; R7 = H, alkyl, haloalkyl, (CH2)nCO2R9; n = 0-3; R8 = H, alkyl, CO2R9, CONR10R11; R9 = (substituted)alkyl, alkenyl, dialkenyl, cycloalkyl, aryl, heterocyclyl; R10, R11 = H, (substituted) alkyl, cycloalkyl, alkenyl, heterocyclyl; R10R11 = heterocyclyl; R12 = H, (substituted) alkyl; R14 = H, alkyl, OR34; R15 = H, alkyl; R14R15 = O; R16 = H, (substituted) alkyl, alkylcycloalkyl, alkylaryl, alkylheterocyclyl; R19, R20 = H, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, etc.; R23 = H, (substituted) alkyl, COR24; R24 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl; R30 = H, (substituted) alkyl, alkenyl, cycloalkyl, COR31, etc.; R31 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, etc.; R32 = H, (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl; R34 = (substituted) aryl, heterocyclyl, alkyl, alkenyl, cycloalkyl], were prepd. for treatment of obesity, hyperlipidemia, thyroid disease, hypothyroidism, thyroid cancer, diabetes, atherosclerosis, hypertension, coronary heart disease, hypercholesteremia, depression, osteoporosis, cardiac arrhythmia, glaucoma and heart failure (no data). Thus, [[[4-(3-bromo-4-methoxyphenoxy)-3,5dimethylphenyl]hydrazono]cyanoacetyl]carbamic acid Et ester (prepn. given) was heated with KOAc in HOAc at 120.degree. for 5 h to give 2-[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]-3,5-dioxo-2,3,4,5tetrahydro-1,2,4-triazine-6-carbonitrile.

IT 332929-67-6P 332931-34-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of azauracil derivs. as thyroid receptor ligands)

RN 332929-67-6 CAPLUS

CN 1,2,4-Triazine-6-carboxamide, 2-[4-(3-bromo-4-methoxyphenoxy)-3,5-dimethylphenyl]-N-(3,3-diphenylpropyl)-2,3,4,5-tetrahydro-3,5-dioxo-(9CI) (CA INDEX NAME)

AΒ

RN 332931-34-7 CAPLUS

CN 1,2,4-Triazine-6-carboxamide, 2-[4-(3-bromo-4-hydroxyphenoxy)-3,5-dimethylphenyl]-N-(3,3-diphenylpropyl)-2,3,4,5-tetrahydro-3,5-dioxo-(9CI) (CA INDEX NAME)

HO Me
$$C-NH-CH_2-CH_2-CHPh_2$$
Me $C-NH-CH_2-CH_2-CHPh_2$

Г8 ANSWER 8 OF 79 USPATFULL 2001:128869 USPATFULL AN ΤI Pharmaceutical for treatment of neurological and neuropsychiatric disorders IN Ognyanov, Vassil Iliya, Franklin Park, NJ, United States Borden, Laurence A., Hackensack, NJ, United States Bell, Stanley Charles, Narberth, PA, United States Zhang, Jing, Parsippany, NJ, United States PΙ US 2001012857 Α1 20010809 ΑI US 2001-757011 Α1 20010109 (9) Division of Ser. No. US 1997-866007, filed on 30 May 1997, GRANTED, Pat. RLI No. US 6191165 Continuation-in-part of Ser. No. US 1997-808754, filed on 27 Feb 1997, ABANDONED Continuation-in-part of Ser. No. US 1996-656063, filed on 31 May 1996, ABANDONED Continuation-in-part of Ser. No. US 1996-655912, filed on 31 May 1996, ABANDONED Continuation-in-part of Ser. No. US 1997-807682, filed on 28 Feb 1997, GRANTED, Pat. No. US 5738219 PRAI US 1996-41503P 19960531 (60) US 1997-44387P 19970227 (60) US 1996-41504P 19960531 (60) DT Utility FS APPLICATION LREP ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION CENTER, P.O. BOX 5218, PRINCETON, NJ, 08543-5218 CLMN Number of Claims: 51 ECL Exemplary Claim: 1 DRWN 4 Drawing Page(s) LN.CNT 3026 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides a pharmaceutical for treatment of neurological and neuropsychiatric disorders comprising a compound of the formula:

##STR1##

or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 200004-66-6P 200004-71-3P 200005-34-1P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200004-66-6 USPATFULL

CN Glycine, N-(3-[1,1'-biphenyl]-4-yl-3-phenylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{tabular}{lll} Ph & O \\ | CH-CH_2-CH_2-NH-CH_2-C-OEt \\ \\ Ph & \\ \end{tabular}$$

RN 200004-71-3 USPATFULL

CN Glycine, N-[3-phenyl-3-[4-(trifluoromethyl)phenyl]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \text{O} \\ | & \text{CH-CH}_2\text{--CH}_2\text{--NH-CH}_2\text{--C-OEt} \\ \\ \text{F}_3\text{C} \end{array}$$

RN 200005-34-1 USPATFULL

CN Glycine, N-(3,3-diphenylpropyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{Ph- CH}_2\text{- O- C- CH}_2\text{- NH- CH}_2\text{- CH}_2\text{- CHPh}_2 \end{array}$$

IT 76991-05-4P 200004-48-4P 200004-63-3P

200005-68-1P 200006-03-7P 200006-04-8P

200006-12-8P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 76991-05-4 USPATFULL

CN Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)

RN 200004-48-4 USPATFULL

CN Glycine, N-[3,3-bis(4-fluorophenyl)propyl]-, ethyl ester (9CI) (CA INDEX

NAME)

RN 200004-63-3 USPATFULL

CN Glycine, N-[3-[4-(1,1-dimethylethyl)phenyl]-3-phenylpropyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph} & \text{O} \\ | & \text{CH-} \text{CH}_2\text{--} \text{CH}_2\text{--} \text{NH-} \text{CH}_2\text{--} \text{C--} \text{OEt} \\ \\ \text{t-Bu} \end{array}$$

RN 200005-68-1 USPATFULL

CN Glycine, N-[3-[4-(1,1-dimethylethyl)phenyl]-3-phenylpropyl]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 200006-03-7 USPATFULL

CN Glycine, N-(3-[1,1'-biphenyl]-4-yl-3-phenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 200006-04-8 USPATFULL

HC1

RN 200006-12-8 USPATFULL

CN Glycine, N-(3,3-diphenylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)

IT 5586-73-2, 3,3-Diphenylpropylamine

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

IT 200006-20-8P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200006-20-8 USPATFULL

CN Acetonitrile, [(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-CN

L8 ANSWER 9 OF 79 USPATFULL

AN 2001:197029 USPATFULL

TI Therapeutically active diarylpropylamines; their pharmaceutically acceptable salts; a method for their preparation and method for their use

IN Johansson, Rolf, Huddinge, Sweden
Haraldsson, Martin, Taby, Sweden
Ringberg, Erik, Uppsala, Sweden
Vagberg, Ian, Sollentuna, Sweden
Beierlein, Katarina, Uppsala, Sweden
Emond, Rikard, Saltsjobaden, Sweden
Sjoberg, Birger, Sollentuna, Sweden

PA Pharmacia AB, Stockholm, Sweden (non-U.S. corporation)

PI US 6313132 B1 20011106

WO 9843942 19981008

AI US 1999-381868 19990927 (9)

WO 1998-SE556 19980326

19990927 PCT 371 date 19990927 PCT 102(e) date

DT Utility FS GRANTED

EXNAM Primary Examiner: Oswecki, Jane C. LREP Birch, Stewart, Kolasch & Birch, LLP

CLMN Number of Claims: 33 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2364

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to novel compounds of Formula (I) wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6, R.sup.7 and Ar are as defined in claim 1, their salts with physiologically acceptable acids and, when the compounds can be in the form of optical isomers, the racemic mixture and the individual enantiomers. The compounds have anticholinergic activity, and the invention also relates to the compounds of Formula (I), the use of the compounds of Formula (I) for preparing anticholinergic drugs, the use of the compounds of Formula (I) for treating urinary tract incontinence, and methods for preparing the compounds of Formula (I). ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 214601-70-4P 214601-72-6P

(intermediate; prepn. of arylphenylpropanamines as anticholinergic agents)

RN 214601-70-4 USPATFULL

CN Benzenepropanamine, 5-bromo-N-cyclobutyl-.gamma.-phenyl-2-(phenylmethoxy)(9CI) (CA INDEX NAME)

RN 214601-72-6 USPATFULL

CN Benzenepropanamine, 5-bromo-N-cyclopentyl-.gamma.-phenyl-2-(phenylmethoxy)-(9CI) (CA INDEX NAME)

IT 214600-59-6P 214601-14-6P

(prepn. of arylphenylpropanamines as anticholinergic agents)

RN 214600-59-6 USPATFULL

CN Benzoic acid, 4-hydroxy-3-[3-[(1-methylethyl)amino]-1-phenylpropyl]-, hydrochloride (9CT) (CA TNDEX NAME)

● HCl

RN 214601-14-6 USPATFULL

CN Benzoic acid, 4-hydroxy-3-[3-[(1-methylethyl)amino]-1-phenylpropyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 214601-13-5 CMF C19 H23 N O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F-C-CO<sub>2</sub>H
```

RN

CN

184026-14-0 USPATFULL

```
ANSWER 10 OF 79 USPATFULL
L8
AN
       2001:93531 USPATFULL
ΤI
       Imidazole derivatives as histamine receptor H3 (ANT) agonists
       Schwartz, Jean-Charles, Paris, France
IN
       Arrang, Jean-Michel, Gif sur Yvette, France
       Garbarg, Monique, Paris, France
       Quemener, Agnes, Paris, France
       Lecomte, Jeanne-Marie, Paris, France
       Ligneau, Xavier, Paris, France
       Schunack, Walter G., Berlin, Germany, Federal Republic of
       Stark, Holger, Berlin, Germany, Federal Republic of
       Purand, Katja, Berlin, Germany, Federal Republic of
Huls, Annette, Berlin, Germany, Federal Republic of
Sybille, Reidemeister, Berlin, Germany, Federal Republic of
       Salah, Athmani, Glasgow, United Kingdom
       Ganellin, Charon Robbin, Hertfordshire, United Kingdom
       Pelloux-Leon, Nadia, Meylan, France
       Tertiux, Wasyl, Hertfordshire, United Kingdom
       Krause, Michael C. O., Berlin, Germany, Federal Republic of
       Bassem, Sadek, Berlin, Germany, Federal Republic of
PA
       Institut National de la Sante et de la Recherche Medical, France
       (non-U.S. corporation)
       Societe Civile Bioprojet, France (non-U.S. corporation)
       US 6248765
                           В1
                                 20010619
PΙ
       WO 9629315 19960926
                                 19970109 (8)
ΑI
       US 1997-750163
       WO 1996-FR432
                                 19960321
                                 19970101 PCT 371 date
                                 19970101 PCT 102(e) date
       FR 1995-3267
                            19950321
PRAI
DT
       Utility
FS
       GRANTED
EXNAM Primary Examiner: Seaman, D. Margaret
LREP
       Bierman, Muserlian and Lucas
CLMN
       Number of Claims: 93
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 3969
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Novel imidazole derivatives as histamine receptor H.sub.3 antagonists
AΒ
       and/or agonists, preparation thereof and therapeutical uses thereof.
       Chemical compounds for use as histamine receptor H.sub.3 agonists,
       partial agonists or antagonists, having general formula (Ia) or (Ib),
       the use thereof for making drugs, and methods for revealing the agonist,
       partial agonist or antagonist activity of such compounds in vivo, are
       disclosed. ##STR1##
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   184026-14-0P 184026-15-1P
         (prepn. of imidazole derivs. as histamine H3 receptor ligands)
```

Carbamic acid, (3,3-diphenylpropyl)-, 3-(1H-imidazol-4-yl)propyl ester

(9CI) (CA INDEX NAME)

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RN 184026-15-1 USPATFULL

CN Carbamic acid, (3,3-diphenylpropyl)-, 3-(1H-imidazol-4-yl)propyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 184026-14-0 CMF C22 H25 N3 O2

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

ANSWER 11 OF 79 USPATFULL L8 AN

2001:48118 USPATFULL

ΤI Compounds active at a novel site on receptor-operated calcium channels useful for treatment of neurological disorders and diseases

Mueller, Alan L., Salt Lake City, UT, United States IN Moe, Scott T., Salt Lake City, UT, United States

PA NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation)

PΙ US 6211245 20010403

US 1998-186341 19981104 (9) ΑI

Continuation of Ser. No. US 1997-873011, filed on 11 Jun 1997 RLI Continuation-in-part of Ser. No. US 1997-869154, filed on 4 Jun 1997, now abandoned Continuation-in-part of Ser. No. US 1996-763480, filed on 11 Dec 1996, now patented, Pat. No. US 6017965 Continuation-in-part of Ser. No. US 1996-663013, filed on 7 Jun 1996, now abandoned Continuation-in-part of Ser. No. US 1995-485038, filed on 7 Jun 1995 Continuation-in-part of Ser. No. WO 1994-US12293, filed on 26 Oct 1994

Continuation-in-part of Ser. No. US 1994-288668, filed on 9 Aug 1994, now abandoned Continuation-in-part of Ser. No. US 1994-194210, filed on 8 Feb 1994, now abandoned Continuation-in-part of Ser. No. US 1993-14813, filed on 8 Feb 1993, now abandoned

DT Utility FS Granted

EXNAM Primary Examiner: Raymond, Richard L.

CLMN Number of Claims: 45 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 6559

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Method and compositions for treating a patient having a neurological disease or disorder, such as stroke, head trauma, spinal cord injury, spinal cord ischemia, ischemia- or hyproxia-induced merve cell damage, epilepsy, anxiety, neuropsychiatric or cognitive deficits due to ischemia or hypoxia such as those that frequently occur as a consequence of cardiac surgery under cardiopulmonary bypass, or neurodegenerative diseases such as Alzheimer's Disease, Huntington's Disease, Parkinson's Disease, or amyotrophic lateral sclerosis (ALS).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144451-98-9P 170018-57-2P 170018-63-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 144451-98-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-57-2 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-63-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 5586-73-2 170018-58-3 170018-59-4

170018-60-7 170018-61-8 170018-62-9

170018-64-1

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

RN 170018-58-3 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-59-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-60-7 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN 170018-61-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-62-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-64-1 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 170019-10-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170019-10-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 170018-65-2 170018-85-6 170018-86-7

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170018-65-2 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-85-6 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-86-7 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 12 OF 79 USPATFULL AN 2001:48117 USPATFULL

TI Calcium receptor-active compounds

IN Van Wagenen, Bradford C., Salt Lake City, UT, United States Moe, Scott T., Salt Lake City, UT, United States Balandrin, Manuel F., Sandy, UT, United States DelMar, Eric G., Salt Lake City, UT, United States Nemeth, Edward F., Salt Lake City, UT, United States

PA NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S.

corporation)

PI US 6211244 B1 20010403 AI US 1995-546998 19951023 (8)

DT Utility FS Granted

EXNAM Primary Examiner: Geist, Gary; Assistant Examiner: Padmanabhan, Sreeni

CLMN Number of Claims: 46 ECL Exemplary Claim: 1

DRWN 137 Drawing Figure(s); 104 Drawing Page(s)

LN.CNT 3074

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention features compounds able to modulate one or more activities of an inorganic ion receptor and methods for treating diseases or disorders by modulating inorganic ion receptor activity. Preferably, the compound can mimic or block the effect of extracellular Ca.sup.2+ on a calcium receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 159149-71-0P 159149-72-1P 159149-79-8P 159149-80-1P 159149-81-2P 159149-86-7P 159149-87-8P 159149-93-6P 159150-01-3P 159150-33-1P

RN 159149-72-1 USPATFULL

CN Benzenepropanamine, N-[1-(2-chlorophenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-79-8 USPATFULL

CN Benzenepropanamine, N-[1-(2-fluorophenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-80-1 USPATFULL

CN Benzenepropanamine, N-[1-(3-fluorophenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-81-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[1-[2-(trifluoromethyl)phenyl]ethyl]-(9CI) (CA INDEX NAME)

RN 159149-86-7 USPATFULL

CN Benzenepropanamine, N-[1-(2-methylphenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-87-8 USPATFULL

CN Benzenepropanamine, N-[1-(3-methylphenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-93-6 USPATFULL

CN 1-Naphthalenemethanamine, N-(3,3-diphenylpropyl)-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 159150-01-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-3-(trifluoromethyl).gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$^{\text{CF}_3}$$
 $^{\text{Me}}$
 $^{\text{CH}}$
 $^{\text{CH}}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{OMe}}$

$$\begin{array}{c|c} \text{CF3} \\ \text{Me} \\ \text{CH-CH}_2\text{-CH}_2\text{-NH-CH} \\ \text{OMe} \end{array}$$

RN 159150-33-1 USPATFULL

CN Benzenepropanamine, N-[1-(3-chlorophenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

IT 5586-73-2, 3,3-Diphenylpropylamine

(prepn. of 1-arylethylamines as calcium receptor ligands)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

```
L8
     ANSWER 13 OF 79 USPATFULL
AN
       2001:40474 USPATFULL
ΤI
       Non-peptidyl vasopressin Vla antagonists
IN
       Bruns, Jr., Robert F, Carmel, IN, United States
       Cooper, Robin DG, Indianapolis, IN, United States
       Dressman, Bruce A, Indianapolis, IN, United States
       Hunden, David C, Carmel, IN, United States
       Kaldor, Stephen W, Indianapolis, IN, United States
       Koppel, Gary A, Indianapolis, IN, United States
       Rizzo, John R, Indianapolis, IN, United States
       Skelton, Jeffrey J, Indianapolis, IN, United States
       Steinberg, Mitchell I, Indianapolis, IN, United States
PA
       Eli Lilly and Company, Indianapolis, IN, United States (U.S.
       corporation)
PΙ
       US 6204260
                               20010320
                          В1
       WO 9730707 19970828
ΑI
       US 1999-125737
                                19990819 (9)
       WO 1997-US3039
                                19970220
                                19990819
                                         PCT 371 date
                                19990819 PCT 102(e) date
PRAI
       GB 1996-5044
                           19960309
       GB 1996-5045
                           19960309
       GB 1996-5046
                           19960309
       US 1996-12149P
                           19960223 (60)
       US 1996-12188P
                           19960223 (60)
       US 1996-12215P
                           19960223 (60)
DT
       Utility
FS
       Granted
```

EXNAM Primary Examiner: Lambkin, Deborah C.

LREP Titus, Robert D.

CLMN Number of Claims: 12

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3548

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides methods and 2-(azetidin-2-on-1-yl)acetic acid derivatives for the antagonism of the vasopressin V.sub.la receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 195310-09-9P

(prepn. of non-peptidyl vasopressin Vla receptor antagonists)

RN 195310-09-9 USPATFULL

CN 1-Azetidineacetamide, N-(3,3-diphenylpropyl)-.alpha.-(2-methylpropyl)-2-oxo-3-(2-oxo-4-phenyl-3-oxazolidinyl)-4-(2-phenylethenyl)-,
[3S-[1(R*),3.alpha.(R*),4.alpha.(E)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 5586-73-2

(prepn. of non-peptidyl vasopressin Vla receptor antagonists)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

L8 ANSWER 14 OF 79 USPATFULL

AN 2001:25930 USPATFULL

TI Pharmaceutical for treatment of neurological and neuropsychiatric disorders

IN Ognyanov, Vassil Iliya, Franklin Park, NJ, United States Borden, Laurence A., Hackensack, NJ, United States Bell, Stanley Charles, Narberth, PA, United States Zhang, Jing, Parsippany, NJ, United States

PA Allelix Neuroscience Inc., United States (U.S. corporation)

PI US 6191165 B1 20010220

AI US 1997-866007 19970530 (8)

RLI Continuation-in-part of Ser. No. US 1996-656063, filed on 31 May 1996, now abandoned Continuation-in-part of Ser. No. US 1996-655912, filed on 31 May 1996, now abandoned Continuation-in-part of Ser. No. US

1997-808755, filed on 27 Feb 1997 Continuation-in-part of Ser. No. US 1997-808754, filed on 27 Feb 1997, now abandoned

DT Utility FS Granted

EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Coleman, Brenda

LREP Dechert

CLMN Number of Claims: 28 ECL Exemplary Claim: 1

DRWN 4 Drawing Figure(s); 4 Drawing Page(s)

LN.CNT 2715

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a pharmaceutical for treatment of neurological and neuropsychiatric disorders comprising a compound of the formula: ##STR1##

or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 200004-66-6P 200004-71-3P 200005-34-1P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200004-66-6 USPATFULL

CN Glycine, N-(3-[1,1'-biphenyl]-4-yl-3-phenylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph} & \text{O} \\ | & | \\ \text{CH-} \text{ CH}_2\text{-} \text{ CH}_2\text{-} \text{ NH-} \text{ CH}_2\text{-} \text{ C--} \text{ OEt} \\ \end{array}$$

RN 200004-71-3 USPATFULL

RN 200005-34-1 USPATFULL

CN Glycine, N-(3,3-diphenylpropyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ || \\ \text{Ph-CH}_2\text{-O-C-CH}_2\text{-NH-CH}_2\text{-CH}_2\text{-CHPh}_2 \end{array}$$

IT 76991-05-4P 200004-48-4P 200004-63-3P 200005-68-1P 200006-03-7P 200006-04-8P

200006-12-8P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 76991-05-4 USPATFULL

CN Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ || \\ \text{H}_2\text{N}-\text{C}-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}_2-\text{CHPh}_2 \end{array}$$

RN 200004-48-4 USPATFULL

CN Glycine, N-[3,3-bis(4-fluorophenyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 200004-63-3 USPATFULL

CN Glycine, N-[3-[4-(1,1-dimethylethyl)phenyl]-3-phenylpropyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 200005-68-1 USPATFULL

HCl

RN 200006-03-7 USPATFULL

CN Glycine, N-(3-[1,1'-biphenyl]-4-yl-3-phenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 200006-04-8 USPATFULL

CN Glycine, N-[3-phenyl-3-[4-(trifluoromethyl)phenyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 200006-12-8 USPATFULL

CN Glycine, N-(3,3-diphenylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \mathtt{O} \\ \parallel \\ \mathtt{EtO-C-CH_2-NH-CH_2-CH_2-CHPh_2} \end{array}$$

IT 5586-73-2, 3,3-Diphenylpropylamine

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

IT 200006-20-8P

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200006-20-8 USPATFULL

CN Acetonitrile, [(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-CN

```
ANSWER 15 OF 79 USPATFULL
rs
       2001:4759 USPATFULL
AN
ΤI
       Cyclic ether compounds as sodium channel modulators
IN
       Ohkawa, Shigenori, Osaka, Japan
       Hashimoto, Tadatoshi, Osaka, Japan
       Fukatsu, Kohji, Hyogo, Japan
PA
       Takeda Chemical Industries, Ltd., Osaka, Japan (non-U.S. corporation)
ΡI
       US 6172085
                               20010109
                          B1
       WO 9808842 19980305
       US 1999-242067
                               19990208 (9)
ΑI
       WO 1997-JP3007
                               19970828
                               19990208 PCT 371 date
                               19990208 PCT 102(e) date
PRAT
       JP 1996-228845
                           19960829
       JP 1997-86496
                           19970404
DT
       Patent
FS
       Granted
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Rao, Deepak R.
       Foley & Lardner
LREP
       Number of Claims: 23
CLMN
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 5048
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       A compound of the formula: ##STR1##
```

wherein R.sup.1 and R.sup.2 each represents hydrogen, lower alkyl which may be substituted or acyl; R.sup.3, R.sup.4 and R.sup.5 each represents lower alkyl which may be substituted or lower alkoxy which may be substituted or R.sup.4 and R.sup.5 taken together represent a 5- or 6-membered carbocyclic group; R.sup.6 represents lower alkyl; Ar represents an aromatic group which may be substituted; ring A represents a 5- to 8-membered nitrogen-containing heterocyclic ring which may be substituted; X represents lower alkylene which may be substituted; Y represents carbon or nitrogen; Za represents CH.sub.2, COCH.sub.2, OCH.sub.2, SCH.sub.2, NHCH.sub.2, etc.; Zb represents a bond or a divalent aliphatic hydrocarbon group which may be substituted and may contain O, N or S; and m represents an integer of 1 to 3, or a salt thereof is useful for a pharmaceutical composition for modulating sodium channel.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204064-44-8P 204064-47-1P 204064-49-3P 204065-47-4P

(prepn. of benzofuranamines and related compds. as sodium channel modulators)

RN 204064-44-8 USPATFULL

CN 4-Piperidineethanamine, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)-, trihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{N} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \end{array} \\ \begin{array}{c} \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \end{array}$$

●3 HC1

RN 204064-47-1 USPATFULL

CN 4-Piperidinemethanamine, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{NH} - \text{CH}_2 - \text{CH}_2 - \text{CHPh}_2 \\ \\ \text{H}_2 \text{N} \\ \text{Me} \end{array}$$

●2 HCl

RN 204064-49-3 USPATFULL

CN 4-Piperidinamine, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)-, trihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{Me} \\ \text{Me} & \text{O} \\ \text{H}_2 \text{N} \\ \text{Me} \end{array}$$

● 3 HCl

RN 204065-47-4 USPATFULL

CN 4-Piperidinamine, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{N} \end{array} \\ \text{NH-CH}_2 - \text{CH}_2 - \text{CHPh}_2 \\ \text{H}_2 \\ \text{N} \end{array}$$

IT 5586-73-2, 3,3-Diphenylpropylamine 204065-45-2

(prepn. of benzofuranamines and related compds. as sodium channel modulators)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

RN 204065-45-2 USPATFULL

CN 4-Piperidinecarboxamide, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)

Me Me
$$CH_2 - CH_2 - C$$

IT 204064-96-0P 204065-01-0P

(prepn. of benzofuranamines and related compds. as sodium channel modulators)

RN 204064-96-0 USPATFULL

CN Carbamic acid, [2-[[4-[2-[(3,3-diphenylpropyl)amino]ethyl]-1-piperidinyl]methyl]-2,3-dihydro-2,4,6,7-tetramethyl-5-benzofuranyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- CHPh2

RN 204065-01-0 USPATFULL

US 1998-82546P

Ρ

19980713

CN 4-Piperidinecarboxamide, 1-[(5-amino-2,3-dihydro-2,4,6,7-tetramethyl-2-benzofuranyl)methyl]-N-(3,3-diphenylpropyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Me Me
$$CH_2 - CH_2 - C$$

●2 HCl

```
ANSWER 16 OF 79 CAPLUS COPYRIGHT 2003 ACS
r_8
     2000:53380 CAPLUS
AN
     132:93096
DN
ΤI
     Preparation of diarylalkylamines and related compounds active at both the
     serotonin reuptake site and the N-methyl-D-aspartate receptor for
     treatment depression and other disorders.
IN
     Mueller, Alan; Moe, Scott; Balandrin, Manuel
     NPS Pharmaceuticals, Inc., USA
PA
     PCT Int. Appl., 87 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                                           APPLICATION NO.
                            DATE
                                                             DATE
                      ____
ΡI
     WO 2000002551
                            20000120
                       Α2
                                           WO 1999-US15857
                                                             19990712
     WO 2000002551
                            20000921
                       А3
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2336962
                       AΑ
                            20000120
                                           CA 1999-2336962
                                                             19990712
     AU 9949919
                            20000201
                                           AU 1999-49919
                       Α1
                                                             19990712
     EP 1096926
                            20010509
                                          EP 1999-933987
                       A2
                                                             19990712
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
PRAI US 1998-92546P
                            19980713
                       P
```

WO 1999-US15857 W 19990712

OS MARPAT 132:93096

AB A method for treatment of depression comprises administration of a compd. having NMDA receptor binding activity of IC50 = 50 nM to 1 .mu.M and serotonin reuptake IC50 .ltoreq.100 nM. The compds. include e.g. XmAr1(XmAr2)CHCR1R1CR2R2NR3R3 [X = Br, Cl, F, iodo, CF3, alkyl, OH, OCF3, alkoxy, acyloxy; Ar1, Ar2 = Ph, naphthyl, thiofuranyl, tetrahydronaphthyl, furyl, pyridyl, etc.; R1 = H, alkyl, hydroxyalkyl, OH, alkoxy, acyloxy; R2 = H, alkyl, hydroxyalkyl; (R2)2 = imino; R3 = H, alkyl, HOCH2CH2, alkylphenyl; m = 0-5]. Thus, N-methyl-bis-[3-(3-fluorophenyl)]propylamine (prepn. given) at 5 mg/kg orally in mice produced a time-dependent redn. in the duration of immobility in the forced swimming test.

IT 186495-99-8P 255039-63-5P 255039-64-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diarylalkylamines and related compds. active at both the serotonin reuptake site and the N-methyl-D-aspartate receptor for treatment **depression** and other disorders)

RN 186495-99-8 CAPLUS

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2\text{--}\text{CH}_2\text{--}\text{NHMe} \\ \\ \text{CH} \end{array}$$

● HCl

RN 255039-63-5 CAPLUS

CN Benzenepropanamine, 3-methyl-.gamma.-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 255039-64-6 CAPLUS

CN Benzenepropanamine, 3-methyl-.gamma.-(3-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

Г8 ANSWER 17 OF 79 USPATFULL AN 2000:98025 USPATFULL ΤI Dosage form, process of making and using same IN Ayer, Atul D., Palo Alto, CA, United States Lam, Andrew, San Francisco, CA, United States Magruder, Judy A., Mountain View, CA, United States Hamel, Lawrence G., Mountain View, CA, United States Wong, Patrick S. L., Palo Alto, CA, United States PA ALZA Corporation, Mountain View, CA, United States (U.S. corporation) US 6096339 20000801 PΤ ΑI US 1997-826642 19970404 (8) DTUtility Granted FS EXNAM Primary Examiner: Page, Thurman K.; Assistant Examiner: Seidleck, Brian LREP Sabatine, Paul L., Thomas, Susan K. CLMN Number of Claims: 31 ECL Exemplary Claim: 1 DRWN 3 Drawing Figure(s); 3 Drawing Page(s) LN.CNT 1277 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention disclosed pertains to a dosage form comprising an agent AB formulation comprising drug and pharmaceutical carrier of cooperating particle size and means for dispensing the agent formulation from the dosage form. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 13042-18-7, Fendiline (controlled release pharmaceutical dosage forms contg. polymers) RN 13042-18-7 USPATFULL CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX

 $\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH-CH}_2\text{--CH}_2\text{--NH-CH-Me} \end{array}$

NAME)

L8 ANSWER 18 OF 79 USPATFULL
AN 2000:70898 USPATFULL
TI Compounds active at a novel site on receptor-operated calcium channels useful for treatment of neurological disorders and diseases
IN Mueller, Alan L., Salt Lake City, UT, United States
Balandrin, Manuel F., Sandy, UT, United States
VanWagenen, Bradford C., Salt Lake City, UT, United States

```
DelMar, Eric G., Salt Lake City, UT, United States
       Moe, Scott T., Salt Lake City, UT, United States
       Artman, Linda D., Salt Lake City, UT, United States
       Barmore, Robert M., Salt Lake City, UT, United States
PA
       NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S.
       corporation)
       US 6071970
                               20000606
PΙ
       US 1995-485038
                               19950607 (8)
ΑТ
       Continuation-in-part of Ser. No. WO 1994-US12293, filed on 26 Oct 1994
RLI
       which is a continuation-in-part of Ser. No. US 1994-288668, filed on 9
       Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US
       1994-194210, filed on 8 Feb 1994, now abandoned which is a
       continuation-in-part of Ser. No. US 1993-14813, filed on 8 Feb 1993, now
       abandoned
       Utility
DΤ
FS
       Granted
EXNAM Primary Examiner: Raymond, Richard L.
LREP
       Lyon & Lyon LLP
CLMN
       Number of Claims: 185
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 5430
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Method and compositions for treating a patient having a neurological
       disease or disorder, such as stroke, head trauma, spinal cord injury,
       epilepsy, anxiety, or neurodegenerative diseases such as Alzheimer's
       Disease, Huntington's Disease, Parkinson's Disease, or amyotrophic
       lateral sclerosis (ALS).
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 5586-73-2P 28075-29-8P 90531-05-8P
      144451-98-9P 144452-04-0P 170018-57-2P
      170018-63-0P 170018-85-6P 170018-86-7P
      170019-10-0P 186495-37-4P 186495-38-5P
      186495-39-6P 186495-40-9P 186495-41-0P
      186495-45-4P 186495-46-5P 186495-47-6P
      186495-48-7P 186495-49-8P 186495-50-1P
      186495-51-2P 186495-54-5P 186495-95-4P
      186495-97-6P 186495-98-7P 186495-99-8P
      186496-02-6P 200430-18-8P 217660-61-2P
        (prepn. of aralkylamines active at receptor-operated calcium channels
        as neuroprotectants)
RN
     5586-73-2 USPATFULL
CN
     Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NH2
RN
     28075-29-8 USPATFULL
     Benzenepropanamine, N-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)
CN
Ph2CH-CH2-CH2-NHMe
RN
     90531-05-8 USPATFULL
CN
     Benzenepropanamine, N-ethyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)
```

Ph2CH-CH2-CH2-NHEt

RN 144451-98-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 144452-04-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-57-2 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-63-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-85-6 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-86-7 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170019-10-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 186495-37-4 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy- (9CI) (CA INDEX NAME)

RN 186495-38-5 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 186495-39-6 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-40-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 186495-41-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methylphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \hline \text{CH} \end{array}$$

RN 186495-45-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-46-5 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-47-6 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(5-fluoro-2-methylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 186495-48-7 USPATFULL

CN Benzenepropanamine, N-ethyl-3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186495-49-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 186495-50-1 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methoxy- (9CI) (CA INDEX NAME)

RN 186495-51-2 USPATFULL

CN Benzenepropanamine, 2-methoxy-.gamma.-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-CH_2-NH_2\\ \hline \\ CH---R \\ \\ OMe \end{array}$$

RN 186495-54-5 USPATFULL

CN Benzenepropanamine, 2-methyl-.gamma.-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 186495-95-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{H}_2\text{N}-\text{CH}_2-\text{CH}_2\\ \hline \\ \text{CH} \end{array}$$

HC1

CN

RN 186495-97-6 USPATFULL

Benzenepropanamine, 5-fluoro-.gamma.-(5-fluoro-2-methylphenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186495-98-7 USPATFULL

CN Benzenepropanamine, N-ethyl-3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186495-99-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186496-02-6 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 200430-18-8 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

RN 217660-61-2 USPATFULL

CN Benzenepropanamine, 2-methyl-.gamma.-(2-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 186496-48-0P

(prepn. of aralkylamines active at receptor-operated calcium channels as neuroprotectants)

RN 186496-48-0 USPATFULL

CN Formamide, N-[3,3-bis(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

L8 ANSWER 19 OF 79 USPATFULL

AN 2000:47267 USPATFULL

TI Compounds active at a novel site on receptor-operated calcium channels useful for treatment of neurological disorders and diseases

IN Mueller, Alan L., Salt Lake City, UT, United States
Balandrin, Manuel F., Sandy, UT, United States
Van Wagenen, Bradford C., Salt Lake City, UT, United States
DelMar, Eric G., Salt Lake City, UT, United States
Moe, Scott T., Salt Lake City, UT, United States
Artman, Linda D., Salt Lake City, UT, United States
Barmore, Robert M., Salt Lake City, UT, United States

PA NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation)

PI US 6051610 20000418

AI US 1999-252433 19990218 (9)

RLI Continuation of Ser. No. US 1995-485038, filed on 7 Jun 1995 which is a continuation-in-part of Ser. No. WO 1994-US12293, filed on 26 Oct 1994 which is a continuation-in-part of Ser. No. US 1994-288668, filed on 9 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-194210, filed on 8 Feb 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-14813, filed on 8 Feb 1993, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Raymond, Richard L.

LREP Lyon & Lyon LLP

CLMN Number of Claims: 24

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 4670

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Method and compositions for treating a patient having a neurological disease or disorder, such as stroke, head trauma, spinal cord injury, epilepsy, anxiety, or neurodegenerative diseases such as Alzheimer's Disease, Huntington's Disease, Parkinson's Disease, or amyotrophic lateral sclerosis (ALS).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 144451-98-9P 170018-57-2P 170018-63-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 144451-98-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-57-2 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-63-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 5586-73-2 170018-58-3 170018-59-4

170018-60-7 170018-61-8 170018-62-9

170018-64-1

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 5586-73-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH2

RN 170018-58-3 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-59-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-60-7 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride

(9CI) (CA INDEX NAME)

HC1

RN 170018-61-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-62-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methylphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170018-64-1 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 170019-10-0P

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170019-10-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 170018-65-2 170018-85-6 170018-86-7

(aralkylamine compds. active at site on receptor-operated calcium channels for treatment of neurol. disorders, and prepn. of these compds.)

RN 170018-65-2 USPATFULL

$$\begin{array}{c|c} & \text{Ph} & \\ | & \\ \text{CH- CH}_2\text{- CH}_2\text{- NH}_2 \end{array}$$

HCl

RN 170018-85-6 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-86-7 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

```
ANSWER 20 OF 79 USPATFULL
L8
AN
       2000:44116 USPATFULL
ΤI
       Tetralone derivatives as antiarrhythmic agents
IN
       Ahmad, Saleem, Wall, NJ, United States
       Stein, Philip D., Pennington, NJ, United States
       Ferrara, Francis N., Martinsville, NJ, United States
       Atwal, Karnail S., Newtown, PA, United States
PA
       Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S.
       corporation)
PΙ
       US 6048877
                               20000411
ΑI
       US 1998-9812
                               19980120 (9)
PRAI
       US 1997-38917P
                           19970221 (60)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Chang, Ceila
LREP
       Rodney, Burton
CLMN
       Number of Claims: 18
ECL
       Exemplary Claim: 1
DRWN
       No Drawings
LN.CNT 3208
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Tetralone derivatives of the formula ##STR1## where R.sup.1 is halo,
       alkyl, alkenyl, alkynyl, cycloalkyl, aryl, (aryl)alkenyl, (aryl)alkynyl,
```

R.sup.2 is hydrogen, alkyl, halo, aryl, alkoxy, amino, substituted amino;

alkoxy, O-alkenyl, O-aryl, O-alkyl(heterocyclo), COO-alkyl, alkanoyl, CO-amino, CO-substituted amino, alkyl-CO-amino, alkyl-CO-substituted amino, NHCO-alkyl, NHCO-aryl, NHCO-alkyl(heterocyclo), N(alkyl)CO-alkyl, N(alkyl)CO-aryl, N(alkyl)CO-heterocyclo, N(alkyl)CO-alkyl(heterocyclo);

R.sup.3 is oxo, hydroxy, alkoxy, O--COalkyl, --O--COaryl, .
--O--COheterocyclo, NOH, NO-alkyl, N-amino, N-substituted amino,
N-NHCONHalkyl, N-NHSO.sub.2 alkyl, N-NHSO.sub.2 aryl, amino, substituted amino, NHCO-alkyl, NHCO-aryl, NHCO-heterocyclo, spiroheterocyclo;

R.sup.4 is hydrogen, alkyl, alkyl(COalkyl), alkyl(COOalkyl); or

R.sup.3 and R.sup.4 taken together with the atoms to which they are attached form a five- to seven-membered ring which can contain up to three heteroatoms selected from oxygen, nitrogen and sulfur;

R.sup.5 is hydrogen, alkyl, alkenyl, alkyl(heterocyclo),
alkyl-NHCO(alkyl), alkyl-NHCO(aryl), alkyl-NHCO(heterocyclo),
alkyl-NHCO(alkylheterocyclo); and

n is an integer of 0 to 2. These compounds have been found to be useful in the treatment of arrhythmia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 212258-73-6P

AN

(prepn. of tetralones as antiarrhythmic agents)

RN 212258-73-6 USPATFULL

CN 2-Naphthalenecarboxamide, N-(3,3-diphenylpropyl)-5,6,7,8-tetrahydro-5-oxo-6-[2-(4-phenyl-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ Ph_2CH-CH_2-CH_2-NH-C \\ \hline \\ O \end{array} \begin{array}{c} CH_2-CH_2-N \\ \end{array}$$

L8 ANSWER 21 OF 79 USPATFULL

2000:24677 USPATFULL

TI Calcium receptor-active molecules

IN Nemeth, Edward F., Salt Lake City, UT, United States Van Wagenen, Bradford C., Salt Lake City, UT, United States Balandrin, Manuel F., Sandy, UT, United States DelMar, Eric G., Salt Lake City, UT, United States Moe, Scott T., Salt Lake City, UT, United States

PA NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation)

The Brigham and Women's Hospital, Boston, MA, United States (U.S. corporation)

PI US 6031003 20000229

AI US 1995-484719 19950607 (8)

RLI Continuation-in-part of Ser. No. US 1994-353784, filed on 8 Dec 1994 which is a continuation-in-part of Ser. No. WO 1994-US12117, filed on 21 Oct 1994 Ser. No. Ser. No. US 1994-292827, filed on 19 Aug 1994, now abandoned Ser. No. Ser. No. US 1993-141248, filed on 22 Oct 1993, now abandoned And Ser. No. US 1993-9389, filed on 23 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-17127, filed on 12 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-934161, filed on 21 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-834044, filed on 11 Feb 1992,

now abandoned which is a continuation-in-part of Ser. No. US 1991-749451, filed on 23 Aug 1991, now abandoned

DT Utility FS Granted

EXNAM Primary Examiner: Tsang, Cecilia J.; Assistant Examiner: Borin, Michael

LREP Lyon & Lyon LLP

CLMN Number of Claims: 145 ECL Exemplary Claim: 1

DRWN 109 Drawing Figure(s); 85 Drawing Page(s)

LN.CNT 8955

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to the different roles inorganic ion receptors have in cellular and body processes. The present invention features: (1) molecules which can modulate one or more inorganic ion receptor activities, preferably the molecule can mimic or block an effect of an extracellular ion on a cell having an inorganic ion receptor, more preferably the extracellular ion is Ca.sup.2+ and the effect is on a cell having a calcium receptor; (2) inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (3) nucleic acids encoding inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (4) antibodies and fragments thereof, targeted to inorganic ion receptor proteins, preferably calcium receptor protein; and (5) uses of such molecules, proteins, nucleic acids and antibodies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 13042-18-7, Fendiline 85610-72-6, (R)-Prenylamine

108393-62-0, (R)-Fendiline 108448-58-4, (S)-Fendiline

(calcium receptor-active mols. for treatment of osteoporosis and related disorders)

RN 13042-18-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 85610-72-6 USPATFULL

CN Benzenepropanamine, N-[(1R)-1-methyl-2-phenylethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108393-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

108448-58-4 USPATFULL RN

Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) CN INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 22 OF 79 USPATFULL

2000:9954 USPATFULL AN

Compounds active at a novel site on receptor-operated calcium channels TΤ useful for treatment of neurological disorders and diseases

TNMueller, Alan L., Salt Lake City, UT, United States Balandrin, Manuel F., Sandy, UT, United States VanWagenen, Bradford C., Salt Lake City, UT, United States Moe, Scott T., Salt Lake City, UT, United States DelMar, Eric G., Salt Lake City, UT, United States Artman, Linda D., Salt Lake City, UT, United States Barmore, Robert M., Salt Lake City, UT, United States Smith, Daryl L., Salt Lake City, UT, United States

PΑ NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation)

PΙ US 6017965

20000125

ΑI

US 1996-763480 19961211 (8)

Continuation-in-part of Ser. No. US 1996-663013, filed on 7 Jun 1996 RLI which is a continuation-in-part of Ser. No. US 1995-485038, filed on 7 Jun 1995 which is a continuation-in-part of Ser. No. WO 1994-US12293, filed on 26 Oct 1994 which is a continuation-in-part of Ser. No. US 1994-288668, filed on 9 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-194210, filed on 8 Feb 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-14813, filed on 8 Feb 1993, now abandoned

DT Utility Granted FS

EXNAM Primary Examiner: Raymond, Richard L.

LREP Lyon & Lyon LLP

CLMN Number of Claims: 35 ECL Exemplary Claim: 1

No Drawings DRWN

LN.CNT 6207

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Method and compositions for treating a patient having a neurological AB disease or disorder, such as stroke, head trauma, spinal cord injury, spinal cord ischemia, ischemia- or hypoxia-induced nerve cell damage, epilepsy, anxiety, neuropsychiatric or cognitive deficits due to ischemia or hypoxia such as those that frequently occur as a consequence of cardiac surgery under cardiopulmonary bypass, or neurodegenerative

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Disease, or amyotrophic lateral sclerosis (ALS).
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
    5586-73-2P, 3,3-Diphenylpropylamine
        (prepn. of aralkylamines as NMDA receptor-ionophore complex
        antagonists)
RN
     5586-73-2 USPATFULL
     Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)
CN
Ph2CH-CH2-CH2-NH2
   28075-29-8P 90531-05-8P 91472-94-5P
      95956-62-0P 106359-50-6P 114754-01-7P
      114754-02-8P 114754-03-9P 114754-04-0P
      144451-90-1P 144451-98-9P 144452-04-0P
      144452-11-9P 159149-65-2P 170018-57-2P
      170018-63-0P 170018-85-6P 170018-86-7P
      170019-10-0P 186495-37-4P 186495-38-5P
      186495-39-6P 186495-40-9P 186495-41-0P
      186495-45-4P 186495-46-5P 186495-47-6P
      186495-48-7P 186495-49-8P 186495-50-1P
      186495-51-2P 186495-54-5P 186495-57-8P
      186495-58-9P 186495-78-3P 186495-79-4P
      186495-81-8P 186495-84-1P 186495-95-4P
      186495-97-6P 186495-98-7P 186495-99-8P
      186496-02-6P 186496-06-0P 186496-07-1P
      186496-08-2P 186496-09-3P 186496-10-6P
      186496-13-9P 186496-15-1P 186496-20-8P
      186496-26-4P 200429-56-7P 200429-57-8P
      200429-58-9P 200429-59-0P 200429-60-3P
      200429-61-4P 200429-62-5P 200429-63-6P
      200429-64-7P 200429-65-8P 200429-67-0P
      200429-69-2P 200429-70-5P 200429-71-6P
      200429-72-7P 200430-04-2P 200430-05-3P
      200430-06-4P 200430-14-4P 200430-16-6P
      200430-18-8P
        (prepn. of aralkylamines as NMDA receptor-ionophore complex
        antagonists)
RN
     28075-29-8 USPATFULL
CN
     Benzenepropanamine, N-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NHMe
RN
     90531-05-8 USPATFULL
CN
    Benzenepropanamine, N-ethyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NHEt
ŔN
     91472-94-5 USPATFULL
CN
    Benzenepropanamine, 4-fluoro-.gamma.-(4-fluorophenyl)- (9CI) (CA INDEX
      NAME)
```

diseases such as Alzheimer's Disease, Huntington's Disease, Parkinson's

RN 95956-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Et} \end{array}$$

RN 106359-50-6 USPATFULL

CN Benzenepropanamine, 2-methoxy-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 114754-01-7 USPATFULL

CN Benzenepropanamine, N-[1-(4-fluorophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 114754-02-8 USPATFULL

CN Benzenepropanamine, N-[1-(4-chlorophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 114754-03-9 USPATFULL

CN Benzenepropanamine, N-[1-(4-bromophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 114754-04-0 USPATFULL

CN Benzenepropanamine, N-[1-(3-bromophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 144451-90-1 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 144451-98-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 144452-04-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 144452-11-9 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-chlorophenyl)- (9CI) (CA INDEX

NAME)

RN 159149-65-2 USPATFULL

CN Benzenepropanamine, N-(1-methylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

 $Ph_2CH-CH_2-CH_2-NHPr-i$

RN 170018-57-2 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-63-0 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170018-85-6 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170018-86-7 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 170019-10-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 186495-37-4 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methoxy- (9CI) (CA INDEX NAME)

RN 186495-38-5 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)- (9CI) (CA INDEX

NAME)

RN 186495-39-6 USPATFULL

CN Benzenepropanamine, .gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-40-9 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 186495-41-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 186495-45-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-46-5 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 186495-47-6 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(5-fluoro-2-methylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 186495-48-7 USPATFULL

CN Benzenepropanamine, N-ethyl-3-fluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186495-49-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 186495-50-1 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methoxy- (9CI) (CA INDEX NAME)

RN 186495-51-2 USPATFULL

CN Benzenepropanamine, 2-methoxy-.gamma.-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 186495-54-5 USPATFULL

CN Benzenepropanamine, 2-methyl-.gamma.-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 186495-57-8 USPATFULL

CN Benzenepropanamine, 2-fluoro-.gamma.-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186495-58-9 USPATFULL

CN Benzenepropanamine, 3-(trifluoromethyl)-.gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 186495-78-3 USPATFULL

CN Benzenepropanamine, 3-ethoxy-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186495-79-4 USPATFULL

CN Benzenepropanamine, 3-chloro-.gamma.-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 186495-81-8 USPATFULL

CN Acetamide, N-[3,3-bis(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 186495-84-1 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-methoxyphenyl)-N-methyl- (9CI)

(CA INDEX NAME)

RN 186495-95-4 USPATFULL

HCl

RN 186495-97-6 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(5-fluoro-2-methylphenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186495-98-7 USPATFULL

CN Benzenepropanamine, N-ethyl-3-fluoro-.gamma.-(3-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186495-99-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 186496-02-6 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 186496-06-0 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 186496-07-1 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-(1-phenylethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} \\ & \downarrow \\ \text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \\ \\ & \downarrow \\ \text{CH} \end{array}$$

RN 186496-08-2 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-N-(1-phenylpropyl)-(9CI) (CA INDEX NAME)

RN 186496-09-3 USPATFULL

CN Benzenepropanamine, .gamma.-(3,5-difluorophenyl)-3,5-difluoro- (9CI) (CA INDEX NAME)

RN 186496-10-6 USPATFULL

CN Benzenepropanamine, 3,5-difluoro-.gamma.-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 186496-13-9 USPATFULL

CN Phenol, 3-[3-amino-1-(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 186496-15-1 USPATFULL

CN Phenol, 2-[3-amino-1-(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 186496-20-8 USPATFULL

CN Benzenepropanamine, 3-fluoro-N-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} \\ & | \\ \text{CH-CH}_2\text{-CH}_2\text{-NHMe} \end{array}$$

RN 186496-26-4 USPATFULL

CN Benzenepropanamine, 3-methoxy-.gamma.-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 200429-56-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylbutyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Pr}-\text{n} \end{array}$$

RN 200429-57-8 USPATFULL

CN Benzenepropanamine, N-(2-methyl-1-phenylpropyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & \text{Ph} \\ | \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Pr-i} \end{array}$$

RN 200429-58-9 USPATFULL

CN Benzenepropanamine, N-[1-(3-chlorophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-59-0 USPATFULL

CN Benzenepropanamine, N-[1-(2-fluorophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-60-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-fluorophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-61-4 USPATFULL

CN Benzenepropanamine, N-[1-(4-methoxyphenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-62-5 USPATFULL

CN Benzenepropanamine, N-[1-(2-methoxyphenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-63-6 USPATFULL

CN Benzenepropanamine, N-[1-(3-methylphenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-64-7 USPATFULL

CN Benzenepropanamine, N-[1-(4-methylphenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-65-8 USPATFULL

CN Benzenepropanamine, N-[1-(3-nitrophenyl)propyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-67-0 USPATFULL

CN Benzenepropanamine, N-(cyclopropylphenylmethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 200429-69-2 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-4-methoxy- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2$$
 F OMe

RN 200429-70-5 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-4-methoxy-N-methyl-(9CI) (CA INDEX NAME)

RN 200429-71-6 USPATFULL

CN Phenol, 4-[3-amino-1-(3-fluorophenyl)propyl]-2-fluoro- (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2$$
 CH CH

RN 200429-72-7 USPATFULL

CN Phenol, 2-fluoro-4-[1-(3-fluorophenyl)-3-(methylamino)propyl]- (9CI) (CA INDEX NAME)

RN 200430-04-2 USPATFULL

CN Benzenepropanamine, N-[1-(2-methoxyphenyl)propyl]-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 200430-05-3 USPATFULL CN Phenol. 4-[3-amino-1-(3-fluorophenyl)propyl]-2-fluoro-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 200429-71-6 CMF C15 H15 F2 N O

$$H_2N-CH_2-CH_2$$
 OH

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

● HCl

RN 200430-14-4 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

$$H_2N-CH_2-CH_2$$
 CH OMe

● HCl

RN 200430-16-6 USPATFULL

CN Benzenepropanamine, 3-fluoro-.gamma.-(3-fluorophenyl)-4-methoxy-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 200429-70-5 CMF C17 H19 F2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 200430-18-8 USPATFULL

CN Benzenepropanamine, 5-fluoro-.gamma.-(3-fluorophenyl)-2-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 186496-48-0P 200430-15-5P

(prepn. of aralkylamines as NMDA receptor-ionophore complex antagonists)

RN 186496-48-0 USPATFULL

CN Formamide, N-[3,3-bis(3-fluorophenyl)propyl]- (9CI) (CA INDEX NAME)

RN 200430-15-5 USPATFULL

CN Formamide, N-[3-(3-fluoro-4-methoxyphenyl)-3-(3-fluorophenyl)propyl](9CI) (CA INDEX NAME)

L8 ANSWER 23 OF 79 USPATFULL

AN 2000:1911 USPATFULL

TI Calcium receptor-active molecules

IN Nemeth, Edward F., Salt Lake City, UT, United States
Van Wagenen, Bradford C., Salt Lake City, UT, United States

Me-CH-CH2-Ph

Balandrin, Manuel F., Sandy, UT, United States DelMar, Eric G., Salt Lake City, UT, United States Moe, Scott T., Salt Lake City, UT, United States PA NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation) The Brigham and Women's Hospital, Boston, MA, United States (U.S. corporation) US 6011068 PΙ 20000104 19941208 (8) US 1994-353784 AΤ Continuation-in-part of Ser. No. WO 1994-US12117, filed on 21 Oct 1994 RLI And a continuation-in-part of Ser. No. US 1994-292827, filed on 19 Aug 1994, now abandoned And a continuation-in-part of Ser. No. US 1993-141248, filed on 22 Oct 1993, now abandoned And a continuation-in-part of Ser. No. US 1993-9389, filed on 23 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-17127, filed on 12 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-934161, filed on 21 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-834044, filed on 11 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-749451, filed on 23 Aug 1991, now abandoned DTUtility FS Granted Primary Examiner: Henley, III, Raymond EXNAM LREP Lyon & Lyon LLP CLMN Number of Claims: 103 ECL Exemplary Claim: 1 DRWN 111 Drawing Figure(s); 85 Drawing Page(s) LN.CNT 7466 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to the different roles inorganic ion AB receptors have in cellular and body processes. The present invention features: (1) molecules which can modulate one or more inorganic ion receptor activities, preferably the molecule can mimic or block an effect of an extracellular ion on a cell having an inorganic ion receptor, more preferably the extracellular ion is Ca.sup.2+ and the effect is on a cell having a calcium receptor; (2) inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (3) nucleic acids encoding inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (4) antibodies and fragments thereof, targeted to inorganic ion receptor proteins, preferably calcium receptor protein; and (5) uses of such molecules, proteins, nucleic acids and antibodies. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 390-64-7, Prenylamine 13042-18-7, Fendiline 85610-72-6 95956-62-0 108393-62-0 108448-58-4 114753-78-5 159149-49-2 159149-93-6 159150-01-3 (ion receptor- and calcium receptor-active mols., receptor proteins, nucleic acids encoding them, anti-receptor antibodies, and uses) RN 390-64-7 USPATFULL Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA CN INDEX NAME) NH-CH2-CH2-CHPh2

RN 13042-18-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$$

RN 85610-72-6 USPATFULL

CN Benzenepropanamine, N-[(1R)-1-methyl-2-phenylethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95956-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Et} \end{array}$$

RN 108393-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108448-58-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 114753-78-5 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-49-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-Ph

RN 159149-93-6 USPATFULL

CN 1-Naphthalenemethanamine, N-(3,3-diphenylpropyl)-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 159150-01-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-3-(trifluoromethyl).gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CF3} \\ & \text{Me} \\ & \text{CH-CH}_2\text{-CH}_2\text{-NH-CH} \\ \end{array}$$

```
L8
     ANSWER 24 OF 79 USPATFULL
AN
       2000:1878 USPATFULL
ΤI
       Calcium channel blockers
IN
       Snutch, Terrance Preston, Vancouver, Canada
       Zamponi, Gerald Werner, Calgary, Canada
       NeuroMed Technologies Inc., Vancouver, Canada (non-U.S. corporation)
PA
                                20000104
PΙ
       US 6011035
ΑI
       US 1998-107037
                                19980629 (9)
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Henley, III, Raymond
```

LREP Morrison & Foerster LLP

CLMN Number of Claims: 5

ECL Exemplary Claim: 1

DRWN 2 Drawing Figure(s); 4 Drawing Page(s)

LN.CNT 563

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of the formula ##STR1## wherein m is 0, 1 or 2; wherein when m is 0, Z is 0, when m is 1, Z is N, and when m is 2, Z is C;

Y is H, OH, NH.sub.2, or an organic moiety of 1-20C, optionally additionally containing 1-8 heteroatoms selected from the group consisting of N, P, O, S and halo;

each I.sup.1 and I.sup.2 is independently 0-5;

I.sup.3 is 0 or 1;

each of R.sup.1, R.sup.2 and R.sup.3 is independently alkyl (1-6C), aryl (6-10C) or arylalkyl (7-16C) optionally containing 1-4 heteroatoms selected from the group consisting of halo, N, P, O, and S or each of R.sup.1 and R.sup.2 may independently be halo, COOR, CONR.sub.2, CF.sub.3, CN or NO.sub.2, wherein R is H or lower alkyl (1-4C) or alkyl (1-6C);

n is 0 or 1;

X is a linker;

with the proviso that Y is not a tropolone, a coumarin, or an antioxidant containing an aromatic group and with the further proviso that if I.sup.3 is 0, and either I.sup.1 and I.sup.2 is 0 or 1 and if R.sup.1 and/or R.sup.2 represent F in the para position, Z cannot N or C; and

are useful as calcium channel blockers. Libraries of these compounds can also be used to identify antagonists for other targets.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 390-64-7, Prenylamine

(heterocyclic benzhydryl deriv. calcium channel blockers, and receptor antagonist identification method)

RN 390-64-7 USPATFULL

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

```
\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ \\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}
```

L8 ANSWER 25 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 2000:405026 CAPLUS

DN 133:144715

TI [3H]-Trimetazidine mitochondrial binding sites: regulation by cations, effect of trimetazidine derivatives and other agents and interaction with an endogenous substance

AU Morin, Didier; Sapena, Rosa; Elimadi, Aziz; Testa, Bernard; Labidalle, Serge; Le Ridant, Alain; Tillement, Jean-Paul

```
CS Departement de Pharmacologie, Faculte de Medecine de Paris XII, Creteil, F-94010, Fr.
```

SO British Journal of Pharmacology (2000), 130(3), 655-663 CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

Trimetazidine, an antiischemic drug, has been shown to restore impaired AΒ mitochondrial functions. Specific binding sites for [3H]-trimetazidine have been previously detected in liver mitochondria. In the present study we confirm this observation and provide addnl. evidence for the involvement of these sites in the pharmacol. effects of the drug. Inhibition expts. using a series of trimetazidine derivs. revealed the presence of three classes of binding sites. An N-benzyl substituted analog of trimetazidine exhibited a very high affinity (Ki = 7 nM) for one of these classes of sites. Compds. from different pharmacol. classes were evaluated for their ability to inhibit [3H]-trimetazidine binding. Among the drugs tested pentazocine, ifenprodil, opipramol, perphenazine, haloperidol, and to a lower extent prenylamine, carbetapentane and dextromethorphan competed with high affinity, suggesting a similarity of high affinity [3H]-trimetazidine sites with sigma receptors. [3H]-Trimetazidine binding was modulated by pH. Neutral trimetazidine had about 10 fold higher affinity than protonated trimetazidine for its mitochondrial binding sites. Various cations also affected [3H]-trimetazidine binding. Ca2+ was the most potent inhibitor and totally suppressed the binding of [3H]-trimetazidine to the sites of medium affinity. An endogenous cytosolic ligand was able to displace [3H]-trimetazidine from its binding sites. Its activity was not affected by boiling for 15 min, suggesting a non-protein compd. These data suggest that mitochondrial [3H]-trimetazidine binding sites could have a physiol. relevance and be involved in the antiischemic effects of the drug.

IT 390-64-7, Prenylamine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(trimetazidine and derivs. mitochondrial binding sites: role in antiischemic action)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

```
\begin{array}{c} {\rm NH-\,CH_2-\,CH_2-\,CHPh_2} \\ | \\ {\rm Me-\,CH-\,CH_2-\,Ph} \end{array}
```

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L8
     ANSWER 26 OF 79 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1999:42577 CAPLUS
DN
     130:105333
     Calcium blockers to treat proliferative vitreoretinopathy
ΙN
     Dreyer, Evan B.
PA
     USA
SO
     PCT Int. Appl., 19 pp.
     CODEN: PIXXD2
DT
     Patent
```

LA English

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FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                                 DATE
                       ----
                                               ______
PΙ
     WO 9900129
                        A1
                              19990107
                                              WO 1998-US12414
                                                                 19980615
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
              NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
              \mathtt{UA,\ UG,\ US,\ UZ,\ VN,\ YU,\ ZW,\ AM,\ AZ,\ BY,\ KG,\ KZ,\ MD,\ RU,\ TJ,\ TM}
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9879672
                         Α1
                              19990119
                                              AU 1998-79672
                                                                 19980615
     AU 727080
                         B2
                              20001130
                                              EP 1998-930231
     EP 994709
                         Δ1
                              20000426
                                                                 19980615
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, FI
     JP 2002511868
                                              JP 1999-505580
                              20020416
                         T2
                                                                 19980615
     US 6380261
                         В1
                              20020430
                                              US 1999-445832
                                                                 19991213
PRAI US 1997-51962P
                              19970630
                         Ρ
     WO 1998-US12414
                              19980615
                        W
AB
     Glutamate causes migration and proliferation of retinal pigment epithelium
     and/or glial cells, and glutamate antagonists can prevent, treat or reduce
     retinal pigment epithelium and/or glial migration and the subsequent
     development of proliferative vitreoretinopathy. Avoidance or management
     of proliferative vitreoretinopathy can be achieved by administration to
     the patient of a compd. capable of reducing glutamate-induced retinal cell
     migration in a concn. effective to reduce such migration.
     390-64-7, Prenylamine 13042-18-7, Fendiline
IT
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (calcium blockers to treat proliferative vitreoretinopathy)
RN
     390-64-7 CAPLUS
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)
                                                                                 (CA
     INDEX NAME)
    NH-CH2-CH2-CHPh2
Me-CH-CH_2-Ph
RN
     13042-18-7 CAPLUS
CN
     Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX
     NAME)
                     Ph
Ph2CH-CH2-CH2-NH-CH-Me
RE.CNT 1
               THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L8
     ANSWER 27 OF 79 USPATFULL
       1999:121216 USPATFULL
ΑN
ΤI
       Calcium receptor-active molecules
IN
       Brown, Edward M., Milton, MA, United States
       Hebert, Steven C., Wellesley, MA, United States
```

Garrett, Jr., James E., Salt Lake City, UT, United States

```
NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S.
PA
       corporation)
       Brigham and Women's Hospital, Boston, MA, United States (U.S.
       corporation)
PΙ
       US 5962314
                               19991005
ΑI
       US 1997-943986
                               19971003 (8)
       Continuation of Ser. No. US 1995-484565, filed on 7 Jun 1995, now
RLI
       patented, Pat. No. US 5763569 which is a continuation-in-part of Ser.
       No. US 1994-353784, filed on 8 Dec 1994 which is a continuation-in-part
       of Ser. No. WO 1994-US12117, filed on 21 Oct 1994 Ser. No. Ser. No. US
       1994-292827, filed on 19 Aug 1994, now abandoned Ser. No. Ser. No. US
       1993-141248, filed on 22 Oct 1993, now abandoned And Ser. No. US
       1993-9389, filed on 23 Feb 1993, now abandoned
DT
FS
       Granted
EXNAM Primary Examiner: Ulm, John; Assistant Examiner: Saoud, Christine
LREP
       Lyon & Lyon LLP
       Number of Claims: 36
CLMN
ECL
       Exemplary Claim: 1
DRWN
       111 Drawing Figure(s); 85 Drawing Page(s)
LN.CNT 7882
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The present invention relates to the different roles inorganic ion
       receptors have in cellular and body processes. The present invention
       features: (1) molecules which can modulate one or more inorganic ion
       receptor activities, preferably the molecule can mimic or block an
       effect of an extracellular ion on a cell having an inorganic ion
       receptor, more preferably the extracellular ion is Ca.sup.2+ and the
       effect is on a cell having a calcium receptor; (2) inorganic ion
       receptor proteins and fragments thereof, preferably calcium receptor
       proteins and fragments thereof; (3) nucleic acids encoding inorganic ion
       receptor proteins and fragments thereof, preferably calcium receptor
       proteins and fragments thereof; (4) antibodies and fragments thereof,
       targeted to inorganic ion receptor proteins, preferably calcium receptor
       protein; and (5) uses of such molecules, proteins, nucleic acids and
       antibodies.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
    390-64-7 13042-18-7 108448-58-4
      114753-78-5 148717-50-4
        (calcium receptor-active mol.)
RN
     390-64-7 USPATFULL
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA
       INDEX NAME)
   NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
RN
     13042-18-7 USPATFULL
CN
     Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX
       NAME)
```

Ph

Ph2CH-CH2-CH2-NH-CH-Me

RN 108448-58-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 114753-78-5 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 148717-50-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(2,2,2-trifluoro-1-phenylethyl)-(9CI) (CA INDEX NAME)

IT 108393-62-0D, derivs.

(calcium receptor-active mols.)

RN 108393-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 13042-18-7DP, Fendiline, analogs 13042-18-7P, Fendiline

(prepn. of, calcium receptor-active substances in relation to)

RN 13042-18-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

```
Ph
Ph2CH-CH2-CH2-NH-CH-Me
     13042-18-7 USPATFULL
RN
CN
     Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX
                   Ph
Ph2CH-CH2-CH2-NH-CH-Me
    5586-73-2
TΨ
        (reaction of, with acetophenone, in prepn. of calcium receptor-active
        substance)
RN
     5586-73-2 USPATFULL
CN
     Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NH2
L8
     ANSWER 28 OF 79 USPATFULL
AN
       1999:4350 USPATFULL
ΤI
       Method of screening calcium receptor-active molecules
IN
       Nemeth, Edward F., Salt Lake City, UT, United States
       Brown, Edward M., Milton, MA, United States
       Hebert, Steven C., Wellesley, MA, United States
       Garrett, Jr., James E., Salt Lake City, UT, United States
       Van Wagenen, Bradford C., Salt Lake City, UT, United States
       Balandrin, Manuel F., Sandy, UT, United States
       Del Mar, Eric G., Salt Lake City, UT, United States
PΑ
       The Brigham and Women's Hospital, Inc., Boston, MA, United States (U.S.
       corporation)
       NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S.
       corporation)
PΤ
       US 5858684
                               19990112
ΑI
       US 1995-480751
                               19950607 (8)
RLI
       Continuation-in-part of Ser. No. US 1994-353784, filed on 8 Dec 1994
       which is a continuation-in-part of Ser. No. US 1994-292827, filed on 19
       Aug 1994, now abandoned And a continuation-in-part of Ser. No. US
       1993-141248, filed on 22 Oct 1993, now abandoned And a
       continuation-in-part of Ser. No. US 1993-9389, filed on 23 Feb 1993, now
       abandoned which is a continuation-in-part of Ser. No. US 1993-17127,
       filed on 12 Feb 1993, now abandoned which is a continuation-in-part of
       Ser. No. US 1992-934161, filed on 21 Aug 1992, now abandoned which is a
       continuation-in-part of Ser. No. US 1992-834044, filed on 11 Feb 1992,
       now abandoned which is a continuation-in-part of Ser. No. US
       1991-749451, filed on 23 Aug 1991, now abandoned
DT
       Utility
FS
       Granted
      Primary Examiner: Walsh, Stephen; Assistant Examiner: Sorensen, Kenneth
EXNAM
LREP
       Lyon & Lyon LLP
CLMN
      Number of Claims: 48
ECL
       Exemplary Claim: 1
```

DRWN 111 Drawing Figure(s); 85 Drawing Page(s) LN.CNT 7588

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to the different roles inorganic ion receptors have in cellular and body processes. The present invention features: (1) molecules which can modulate one or more inorganic ion receptor activities, preferably the molecule can mimic or block an effect of an extracellular ion on a cell having an inorganic ion receptor, more preferably the extracellular ion is Ca.sup.2+ and the effect is on a cell having a calcium receptor; (2) inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (3) nucleic acids encoding inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (4) antibodies and fragments thereof, targeted to inorganic ion receptor proteins, preferably calcium receptor protein; and (5) uses of such molecules, proteins, nucleic acids and antibodies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 13042-18-7, Fendiline 85610-72-6, (-)-Prenylamine

95956-62-0 108448-58-4, (-)-Fendiline

114753-78-5 159149-49-2 159149-93-6

159150-01-3

(effects on cytosolic calcium responses of; whole-cell assays and expression systems for calcium receptor genes and their use in screening for effectors of calcium receptors)

RN 13042-18-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$$

RN 85610-72-6 USPATFULL

CN Benzenepropanamine, N-[(1R)-1-methyl-2-phenylethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95956-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH-CH}_2\text{-CH}_2\text{-NH-CH-Et} \end{array}$$

RN 108448-58-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 114753-78-5 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 159149-49-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

 $Ph_2CH-CH_2-CH_2-NH-CH_2-Ph$

RN 159149-93-6 USPATFULL

CN 1-Naphthalenemethanamine, N-(3,3-diphenylpropyl)-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 159150-01-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-3-(trifluoromethyl).gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$^{\text{CF}_3}$$
 $^{\text{Me}}$
 $^{\text{CH}}$
 $^{\text{CH}}$
 $^{\text{CH}}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{OMe}}$

- L8 ANSWER 29 OF 79 CAPLUS COPYRIGHT 2003 ACS
- AN 1999:692702 CAPLUS
- DN 132:87769
- TI Fluoxetine inhibits the metabolism of tolterodine-pharmacokinetic implications and proposed clinical relevance
- AU Brynne, N.; Svanstrom, C.; Aberg-Wistedt, A.; Hallen, B.; Bertilsson, L.
- CS Departments of Clinical Pharmacology, Pharmacia and Upjohn AB, Stockholm, SE-112 87, Swed.
- SO British Journal of Clinical Pharmacology (1999), 48(4), 553-563 CODEN: BCPHBM; ISSN: 0306-5251
- PB Blackwell Science Ltd.
- DT Journal
- LA English
- AΒ The change in disposition of tolterodine during coadministration of the potent cytochrome P 450 2D6 (CYP2D6) inhibitor fluoxetine was studied. Thirteen patients received tolterodine L-tartrate 2 mg twice daily for 2.5 days, followed by fluoxetine 20 mg once daily for 3 wk and then concomitant administration for an addnl. 2.5 days. They were characterized as extensive metabolizers (EM1 with one functional CYP2D6 gene, EM2 with two functional genes) or poor metabolizers (PM). Nine patients, three EM2 and four EM1 and two PM, completed the trial. Following tolterodine administration, the area under the serum concn.-time curve (AUC) of tolterodine was 4.4-times and 30-times higher among EM1 and PM, resp., compared with EM2. The AUC of the 5-hydroxymethyl metabolite (5-HM) was not quantifiable in PM. Fluoxetine significantly decreased (P < 0.002) the oral clearance of tolterodine by 93% in EM2 and by 80% in EM1. The AUC of 5-HM increased in EM2 and decreased in EM1. However, the exposure to the active moiety (unbound tolterodine +5-HM) was not significantly increased in the two phenotypes. The subdivision of the EM group showed a 2.1-fold increase in active moiety in EM2 but the exposure was still similar to EM1 compared with before the interaction. The study suggests a difference in the pharmacokinetics of tolterodine and its 5-hydroxymethyl metabolite depending on the no. of functional CYP2D6 genes. Fluoxetine significantly inhibited the hydroxylation of tolterodine. Despite the effect on the pharmacokinetics of tolterodine in extensive metabolizers, the clin. effect is expected to be within normal variation.
- IT 194482-41-2 194482-42-3 194482-43-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(fluoxetine inhibits the metab. of tolterodine-pharmacokinetics)

- RN 194482-41-2 CAPLUS
- CN Phenol, 4-methyl-2-[(1R)-3-[(1-methylethyl)amino]-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN Benzenemethanol, 4-hydroxy-3-[(1R)-3-[(1-methylethyl)amino]-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 194482-43-4 CAPLUS

CN Benzoic acid, 4-hydroxy-3-[(1R)-3-[(1-methylethyl)amino]-1-phenylpropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1998:268334 CAPLUS

DN 129:8587

TI Method and compositions for disrupting the epithelial barrier function

IN Elias, Peter M.; Feingold, Kenneth R.; Holleran, Walter M.; Thornfeldt, Carl R.

PA Regents of the University of California, USA; Cellegy Pharmaceuticals, Inc.

SO PCT Int. Appl., 62 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 2

FAN.	CNT	2																	
	PATENT NO.				KIND DATE					APPLICATION NO.						DATE			
PI	WO 9817253				A1 19980430					WO 1997-US19343					19971022				
		W:	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	
															MW,				
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	UZ,	
			VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FI,	FR,	
															CG,				
	,,,	W:	AL, DK, KZ, PL, VN, GH,	AM, EE, LC, PT, YU, KE,	AT, ES, LK, RO, ZW, LS,	AU, FI, LR, RU, AM, MW,	AZ, GB, LS, SD, AZ, SD,	BA, GE, LT, SE, BY, SZ,	BB, GH, LU, SG, KG, UG,	BG, HU, LV, SI, KZ, ZW,	BR, ID, MD, SK, MD, AT,	BY, IL, MG, TJ, RU, BE,	CA, IS, MK, TM, TJ, CH,	CH, JP, MN, TR, TM DE,	CN, KE, MW, TT,	CU, KG, MX, UA,	CZ, KP, NO, UG,	K N U	

GN, ML, MR, NE, SN, TD, TG AU 9749193 A1 19980515 AU 1997-49193 19971022 US 1998-58401 B1 20010220 US 6190894 19980409 PRAI US 1996-733712 A
US 1993-33811 B2 19961023 19930319 us 1994-260559 B2 19940616 WO 1997-US19343 W 19971022

Epithelial barrier function is disrupted in a host in need of topical AΒ administration of a physiol. active substance by applying to the epithelium a barrier-disrupting amt. of .gtoreq.1 agent selected from (1) inhibitors of synthesis of ceramides, acylceramides, glucosylceramides, sphingomyelins, fatty acids, or cholesterol; (2) degrdn. enzymes for ceramides, acylceramides, glucosylceramides, or sphingomyelins; (3) inhibitors of degrdn. of phospholipids, glycosphingolipids, glucosylceramides, acylceramides, or sphingomyelins; and (4) inhibitors and stimulators of metabolic enzymes of free fatty acids, ceramides, and cholesterol. Thus, a combination of 5-tetradecyloxy-2-furancarboxylic acid (an inhibitor of acetyl-CoA carboxylase which is the rate-limiting enzyme in free fatty acid synthesis) and .beta.-chloroalanine (an inhibitor of serine palmitoyltransferase, the rate-limiting enzyme in ceramide synthesis) increased delivery of lidocaine through mouse stratum corneum by 8-fold in vivo and increased transepidermal water loss. Thus, a combination of 5-tetradecyloxy-2-furancarboxylic acid (an inhibitor of acetyl-CoA carboxylase which is the rate-limiting enzyme in free fatty acid synthesis) and .beta.-chloroalanine (an inhibitor of serine palmitoyltransferase, the rate-limiting enzyme in ceramide synthesis) increased delivery of lidocaine through mouse stratum corneum by 8-fold in vivo and increased transepidermal water loss.

IT 390-64-7

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and compns. for disrupting the epithelial barrier function)

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

 $\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ \\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}$

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1998:526984 CAPLUS

DN 129:166212

TI Solid lipid particles, particles of bioactive agents and methods for the manufacture and use thereof

IN Westesen, Kirsten; Siekmann, Britta

PA Pharmacia and Upjohn AB, Swed.

SO U.S., 32 pp., Cont.-in-part of U.S. Ser. No. 141,058, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

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US 5785976
                      Α
                                         US 1994-226471
PΙ
                           19980728
                                                          19940412
    CA 2091152
                      AA
                           19940906
                                         CA 1993-2091152 19930305
    US 5885486
                                         US 1996-757276
                      Α
                           19990323
                                                          19961202
    US 6207178
                      B1
                                         US 1998-204075
                           20010327
                                                          19981203
PRAI CA 1993-2091152
                      Α
                           19930305
    US 1993-27501
                      В2
                           19930305
    US 1993-141058
                      В2
                           19931026
    US 1994-226471
                      A1
                           19940412
    US 1996-757276
                     A1
                           19961202
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The present invention is in the area of administration forms and delivery AΒ systems for drugs, vaccines and other biol. active agents. More specifically the invention is related to the prepn. of suspensions of colloidal solid lipid particles (SLPs) of predominantly an isometrical shape with the lipid matrix being in a stable polymorphic modification and of suspensions of micron and submicron particles of bloactive agents (PBAs); as well as to the use of such suspensions or the lyophilizates thereof as delivery systems primarily for the parenteral administration of preferably poorly water-sol. bioactive substances, particularly drugs, and to their use in cosmetic, food and agricultural products. SLPs and PBAs are prepd. by the following emulsification process: (1) a solid lipid or bioactive agent or a mixt. of solid lipids or bioactive agents is melted; (2) stabilizers are added either to the lipid or bioactive agent and to the aq. phase or to the aq. phase only depending on their physicochem. characteristics; (3) drugs or other bioactive substances to be incorporated into the SLPs may be melted together with the lipids if the physicochem. characteristics of the substance permit or may be dissolved, solubilized or dispersed in the lipid melt before homogenization; (4) the aq. phase is heated to the temp. of the melt before mixing and may contain for example stabilizers, isotonicity agents, buffering substances, cryoprotectants and/or preservatives; (5) the molten lipid compds. and the bioactive agents are emulsified in an ag. phase preferably by high-pressure homogenization. For example, soybean lecithin was dispersed into a melted tripalmitin and estramustine was dissolved in the dispersion. An ag. mixt. contg. Na glycocholate and glycerol in water was added to the above dispersion to obtain a crude emulsion, which was passed through a high-pressure homogenizer to obtain a stable dispersion.

IT 390-64-7, Prenylamine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (manuf. of solid lipid particles for controlled delivery of poorly water-sol. bioactive agents)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

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\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ \mid & \cdot\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}
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RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L8 ANSWER 32 OF 79 USPATFULL
AN 1998:65348 USPATFULL
TI Calcium receptor-active molecules
Brown, Edward M., Milton, MA, United States
Hebert, Steven C., Wellesley, MA, United States
Garrett, Jr., James E., Salt Lake City, UT, United States
The Brigham and Women's Hospital, Inc, Boston, MA, United States (U.S.
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corporation)

NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S. corporation) PΙ US 5763569 19980609 ΑI US 1995-484565 19950607 (8) Continuation-in-part of Ser. No. US 1994-353784, filed on 8 Dec 1994 RLI which is a continuation-in-part of Ser. No. US 1994-292827, filed on 19 Aug 1994, now abandoned Ser. No. Ser. No. US 1993-141248, filed on 22 Oct 1993, now abandoned And Ser. No. US 1993-9389, filed on 23 Feb 1993, now abandoned , said Ser. No. US -292827 which is a continuation-in-part of Ser. No. US -141248 which is a -9389 And a continuation-in-part continuation-in-part of Ser. No. US of Ser. No. US 1993-17127, filed on 12 Feb 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-934161, filed on 21 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-834044, filed on 11 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-749451, filed on 23 Aug 1991, now abandoned ידים Utility FS Granted EXNAM Primary Examiner: Walsh, Stephen; Assistant Examiner: Sorensen, Kenneth LREP Lyon & Lyon LLP CLMN Number of Claims: 13 ECL Exemplary Claim: 1 DRWN 111 Drawing Figure(s); 85 Drawing Page(s) LN.CNT 6942 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention features calcium receptor polypeptides and AΒ fragments thereof. Uses of a calcium receptor polypeptide include providing a polypeptide having the activity of a calcium receptor polypeptide. Calcium receptor polypeptide fragments can be used, for example, to generate antibodies to a calcium receptor polypeptide. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 13042-18-7, Fendiline 85610-72-6 95956-62-0 108393-62-0 108448-58-4, (-)-Fendiline 159149-49-2 159149-93-6 159150-01-3 (cloning and cDNA sequences of mammalian calcium receptors and their use in screening for compds. with potential action in the therapy of disorders of calcium metab.) RN13042-18-7 USPATFULL CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) NAME) Ph Ph2CH-CH2-CH2-NH-CH-Me RN 85610-72-6 USPATFULL CN Benzenepropanamine, N-[(1R)-1-methyl-2-phenylethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 95956-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH} - \text{CH}_2 - \text{CH}_2 - \text{NH} - \text{CH} - \text{Et} \end{array}$$

RN 108393-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108448-58-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159149-49-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 159149-93-6 USPATFULL

CN 1-Naphthalenemethanamine, N-(3,3-diphenylpropyl)-.alpha.-methyl- (9CI) (CA INDEX NAME)

AΒ

RN 159150-01-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-3-(trifluoromethyl).gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$CF_3$$

Me

 $CH-CH_2-CH_2-NH-CH$

OMe

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L8
     ANSWER 33 OF 79 USPATFULL
AN
       97:107219 USPATFULL
TI
       Calcium receptor-active molecules
IN
       Brown, Edward M., Milton, MA, United States
       Fuller, Forrest H., Salt Lake City, UT, United States
       Hebert, Steven C., Wellesley, MA, United States
       Garrett, Jr., James E., Salt Lake City, UT, United States
PA
       The Brigham & Women's Hospital, Inc., Boston, MA, United States (U.S.
       corporation)
       NPS Pharmaceuticals, Inc., Salt Lake City, UT, United States (U.S.
       corporation)
       US 5688938
PΙ
                               19971118
       US 1995-485588
                               19950607 (8)
ΑI
       Continuation-in-part of Ser. No. US 1994-353784, filed on 8 Dec 1994
RLI
       which is a continuation-in-part of Ser. No. US 1993-9389, filed on 23
       Feb 1993, now abandoned Ser. No. Ser. No. US 1993-141248, filed on 22
       Oct 1993, now abandoned And Ser. No. US 1994-292827, filed on 19 Aug
       1994, now abandoned which is a continuation-in-part of Ser. No. US
       -141248 which is a continuation-in-part of Ser. No. US
                                                                 -9389 which is
       a continuation-in-part of Ser. No. US 1993-17127, filed on 12 Feb 1993,
       now abandoned which is a continuation-in-part of Ser. No. US
       1992-934161, filed on 21 Aug 1992, now abandoned which is a
       continuation-in-part of Ser. No. US 1992-834044, filed on 11 Feb 1992,
       now abandoned which is a continuation-in-part of Ser. No. US
       1991-749451, filed on 23 Aug 1991, now abandoned
DT
       Utility
FS
       Granted
EXNAM
      Primary Examiner: Walsh, Stephen; Assistant Examiner: Sorensen, Kenneth
LREP
       Lyons & Lyons LLP
CLMN
       Number of Claims: 24
ECL
       Exemplary Claim: 1
DRWN
       111 Drawing Figure(s); 84 Drawing Page(s)
LN.CNT 6522
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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The present invention relates to the different roles inorganic ion

receptors have in cellular and body processes. The present invention features: (1) molecules which can modulate one or more inorganic ion receptor activities, preferably the molecule can mimic or block an effect of an extracellular ion on a cell having an inorganic ion receptor, more preferably the extracellular ion is Ca.sup.2+ and the effect is on a cell having a calcium receptor; (2) inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (3) nucleic acids encoding inorganic ion receptor proteins and fragments thereof, preferably calcium receptor proteins and fragments thereof; (4) antibodies and fragments thereof, targeted to inorganic ion receptor proteins, preferably calcium receptor protein; and (5) uses of such molecules, proteins, nucleic acids and antibodies.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 13042-18-7, Fendiline 85610-72-6 95956-62-0

108393-62-0 108448-58-4, (-)-Fendiline

159149-49-2 159149-93-6 159150-01-3

(cloning and cDNA sequences of mammalian calcium receptors and their use in screening for compds. with potential action in the therapy of disorders of calcium metab.)

RN 13042-18-7 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 85610-72-6 USPATFULL

CN Benzenepropanamine, N-[(1R)-1-methyl-2-phenylethyl]-.gamma.-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 95956-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Et} \end{array}$$

RN 108393-62-0 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108448-58-4 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 159149-49-2 USPATFULL

CN Benzenepropanamine, .gamma.-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-Ph

RN 159149-93-6 USPATFULL

CN 1-Naphthalenemethanamine, N-(3,3-diphenylpropyl)-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 159150-01-3 USPATFULL

CN Benzenepropanamine, N-[1-(3-methoxyphenyl)ethyl]-3-(trifluoromethyl).gamma.-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$^{\text{CF}_3}$$
 $^{\text{Me}}$
 $^{\text{CH}}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{CH}_2}$
 $^{\text{OMe}}$

L8 ANSWER 34 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1996:531218 CAPLUS

DN 125:265051

- TI The action of calcium channel blockers on ethanol effects in mice immobilization
- AU Kozlovskii, V. L.; Prakh'e, I. V.
- CS Bekhterev, V.M., Psikhonevrologicheskii Institut, St. Petersburg, 193019, Russia
- SO Eksperimental'naya i Klinicheskaya Farmakologiya (1996), 59(4), 55-57 CODEN: EKFAE9; ISSN: 0869-2092
- PB Meditsina
- DT Journal
- LA Russian
- AB The combined action of Ca channel blockers and EtOH was studied on a model of depressive behavior. A dose of EtOH was used that caused behavioral changes of the depressive type. From the 2 drugs, fendiline and nifedipine, that showed the antidepressive activity in the test, the EtOH effect was counteracted only by nifedipine. Other drugs like cinnarizine, verapamil, flunarizine, and sabeluzole, did not produce any significant effect.
- IT 13042-18-7, Fendiline
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (calcium channel blockers effect on ethanol effects in immobilization) RN 13042-18-7 CAPLUS
- CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

 $\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$

- L8 ANSWER 35 OF 79 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:488243 CAPLUS
- DN 125:212575
- TI The influence of calcium channel blockers on the haloperidol and phenamine effects in mice and rats
- AU Kozlovskii, V. L.; Prakh'e, I. V.; Kenunen, O. G.
- CS Bekhterev, V.M., Psikhonevrologicheskii Institut, St. Petersburg, 193019, Russia
- SO Eksperimental'naya i Klinicheskaya Farmakologiya (1996), 59(3), 12-15 CODEN: EKFAE9; ISSN: 0869-2092
- PB Meditsina
- DT Journal
- LA Russian
- AB The effects of verapamil (5 and 25 mg/kg), nifedipine (5 and 10 mg/kg), diltiazem (5, 10 and 20 mg/kg), cinnarizine (25 and 50 mg/kg), and fendiline (20 mg/kg) on haloperidol (3 mg/kg)-induced catalepsy was studied in rats. In higher doses, these drugs attenuated and in lower doses potentiated the action of haloperidol. The bilateral intrastriatal injection of verapamil (5 .mu.g), diltiazem (5 .mu.g), and nimodipine (0.4 .mu.g) also alleviated the haloperidol catalepsy. The i.p. administration of calcium channel blockers potentiated the amphetamine group toxicity in mice. No mediation of the effects of calcium channel blockers via dopaminergic processes was assumed.
- IT 13042-18-7, Fendiline
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(calcium channel blockers effect on haloperidol and phenamine activity)
RN 13042-18-7 CAPLUS
CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX

 $\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$

L8 ANSWER 36 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1997:72564 CAPLUS

DN 126:166104

TI Effect of calcium channels blockers on effects of antidepressants

AU Kozlovskii, V. L.; Prakh'e, I. B.

CS Psychoneurological Inst., St. Petersburg, 193019, Russia

SO Eksperimental'naya i Klinicheskaya Farmakologiya (1996), 59(5), 9-11 CODEN: EKFAE9; ISSN: 0869-2092

PB Izdatel'stvo Folium

DT Journal

LA Russian

AB In C57BL/6 mice a combination of nifedipine (5 mg/kg) with imipramine (5 mg/kg), amitriptyline (5 mg/kg), pyrazidol (12.5 mg/kg), anafranil (6 mg/kg), and lithium chloride (25 mg/kg) diminished the immobilization time. The same was obsd. after treatment with a combination of fendiline (10 mg/kg) with amitriptyline, mianserin (3 mg/kg) and alprazolam (0.01 mg/kg). The inhibitory action of diazepam (0.5 mg/kg) in this test was prevented only by nifedipine. A depressogenic effect of alprazolam was enhanced by verapamil (10 mg/kg) and diminished by phendiline. It was concluded that nifedipine and fendiline have a significant perspective in clin. trials.

IT 13042-18-7, Fendiline

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(calcium channel blockers effect on **antidepressant** drugs activity)

RN 13042-18-7 CAPLUS

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

Ph | Ph2CH-CH2-CH2-NH-CH-Me

L8 ANSWER 37 OF 79 USPATFULL

AN 95:5942 USPATFULL

TI 3,3-diphenylpropylamines and pharmaceutical compositions thereof

IN Jonsson, Nils A., Sodertalje, Sweden

Sparf, Bengt A., Tr.ang.ngsund, Sweden

Mikiver, Lembit, Jarna, Sweden

Moses, Pinchas, Saltsjo-Boo, Sweden

Nilvebrant, Lisbet, Bromma, Sweden

Glas, Gunilla, Sp.ang.nga, Sweden

PA Pharmacia Aktiebolag, Uppsala, Sweden (non-U.S. corporation)

PΙ US 5382600 19950117 ΑI US 1991-810185 19911219 (7) RLI Continuation of Ser. No. US 1990-543767, filed on 24 Sep 1990, now abandoned PRAI SE 1988-2076 19880122 DTUtility Granted FS EXNAM Primary Examiner: Raymond, Richard L. LREP Pollock, Vande Sande & Priddy Number of Claims: 7 CLMN ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 1742 CAS INDEXING IS AVAILABLE FOR THIS PATENT. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 124937-94-6P 124937-95-7P (prepn. and reaction of, in prepn. of drug) 124937-94-6 USPATFULL RNCNBenzenepropanamine, N-(1,1-dimethylethyl)-2,6-dimethoxy-.gamma.-phenyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{Ph} \\ | & \\ \text{CH-CH}_2\text{--}\text{CH}_2\text{--}\text{NHBu-t} \\ \\ \text{OMe} & \\ \end{array}.$$

RN 124937-95-7 USPATFULL
CN Benzenepropanamine, N-(1,1-dimethylethyl)-2,6-dimethoxy-.gamma.-phenyl-,
hydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 124936-05-6P 124936-06-7P 124936-07-8P 124936-08-9P 124936-09-0P 124936-10-3P 124936-11-4P 124936-12-5P 124936-13-6P 124936-14-7P 124936-15-8P 124936-16-9P 124936-17-0P 124936-18-1P 124936-19-2P 124936-20-5P 124936-21-6P 124936-22-7P 124936-23-8P 124936-24-9P 124936-25-0P 124936-26-1P 124936-27-2P 124936-38-3P 124936-32-9P 124936-33-0P 124936-31-8P 124936-35-2P 124936-36-3P 124936-37-4P 124937-33-3P 124937-34-4P 124937-35-5P 124937-36-6P

(prepn. of, as drug, esp. anticholinergic)

RN 124936-05-6 USPATFULL

CN 1-Propanol, 2-methyl-2-[[3-phenyl-3-[2-(phenylmethoxy)phenyl]propyl]amino](9CI) (CA INDEX NAME)

RN 124936-06-7 USPATFULL

CN Tricyclo[3.3.1.13,7]decan-1-amine, N-[3-phenyl-3-[2-(phenylmethoxy)phenyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} \\ & \text{CH-CH}_2\text{-CH}_2\text{-NH} \\ \\ & \text{O-CH}_2\text{-Ph} \end{array}$$

RN 124936-07-8 USPATFULL

CN Tricyclo[3.3.1.13,7]decan-1-amine, N-[3-phenyl-3-[2-(phenylmethoxy)phenyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-08-9 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 124936-09-0 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 124936-08-9 CMF C21 H29 N O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 124936-10-3 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2,3-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 124936-11-4 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2,3-bis(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 124936-12-5 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2-(phenylmethoxy)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ | & \\ \text{CH-CH}_2\text{--}\text{CH}_2\text{--}\text{NHBu-t} \\ \\ & \text{O-CH}_2\text{--}\text{Ph} \end{array}$$

RN 124936-13-6 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2-(phenylmethoxy)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 124936-12-5 CMF C26 H31 N O

$$\begin{array}{c|c} & \text{Ph} \\ & \text{CH-CH}_2\text{--}\text{CH}_2\text{--}\text{NHBu-t} \\ \\ & \text{O-CH}_2\text{--}\text{Ph} \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 124936-14-7 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-5-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 124936-15-8 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-5-methyl-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 124936-16-9 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-4-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 124936-17-0 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-4-methyl-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 124936-18-1 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxy-5-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 124936-19-2 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxy-5-methylphenyl)-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 124936-20-5 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2,5-bis(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 124936-21-6 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-.gamma.-phenyl-2,5-bis(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)

O-CH₂-Ph
$$\begin{array}{c} \text{CH-CH}_2\text{-Ph} \\ \text{CH-CH}_2\text{-CH}_2\text{-NHBu-t} \\ \text{Ph-CH}_2\text{-O} \\ \text{Ph} \end{array}$$

● HCl

RN 124936-22-7 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-4-methyl-.gamma.-[4-methyl-2-(phenylmethoxy)phenyl]-2-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 124936-23-8 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-4-methyl-.gamma.-[4-methyl-2-(phenylmethoxy)phenyl]-2-(phenylmethoxy)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-24-9 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2,4-dimethoxy-.gamma.-phenyl-(9CI) (CA INDEX NAME)

MeO
$$_{\text{CH-CH}_2-\text{CH}_2-\text{NHBu-t}}^{\text{CH-CH}_2-\text{CH}_2-\text{NHBu-t}}$$

RN 124936-25-0 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2,4-dimethoxy-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

RN 124936-26-1 USPATFULL

CN Benzenepropanamine, .gamma.-(2,4-dimethoxyphenyl)-N-(1,1-dimethylethyl)-2,4-dimethoxy-(9CI) (CA INDEX NAME)

RN 124936-27-2 USPATFULL

CN Benzenepropanamine, .gamma.-(2,4-dimethoxyphenyl)-N-(1,1-dimethylethyl)-2,4-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-28-3 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-4-fluoro-.gamma.-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 124936-29-4 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-4-fluoro-.gamma.-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 124936-30-7 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 124936-31-8 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-32-9 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylpropyl)-2-methoxy-5-methyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)

RN 124936-33-0 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylpropyl)-2-methoxy-5-methyl-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-34-1 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylpropyl)-2-methoxy-.gamma.-(2-methoxy-5-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 124936-35-2 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylpropyl)-2-methoxy-.gamma.-(2-methoxy-5-methylphenyl)-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124936-36-3 USPATFULL

CN Benzenepropanamine, 5-chloro-N-(1,1-dimethylethyl)-2-methoxy-.gamma.-phenyl- (9CI) (CA INDEX NAME)

09/990,405

RN 124936-37-4 USPATFULL

CN Benzenepropanamine, 5-chloro-N-(1,1-dimethylethyl)-2-methoxy-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 124937-33-3 USPATFULL

CN Benzenepropanamine, 5-chloro-N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 124937-34-4 USPATFULL

CN Benzenepropanamine, 5-chloro-N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

09/990,405

● HCl

RN 124937-35-5 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 124937-36-6 USPATFULL

CN Benzenepropanamine, N-(1,1-dimethylethyl)-2-methoxy-.gamma.-(2-methoxyphenyl)-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

L8 ANSWER 38 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1994:686599 CAPLUS

DN 121:286599

TI Suspension of solid lipid particles as carrier for bioactive agents

IN Westesen, Kirsten; Siekmann, Britta

PA Pharmacia AB, Swed.

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

```
PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
     ______
                                         -----
                                                         _____
PΙ
     WO 9420072
                     A1 19940915
                                        WO 1994-SE185
                                                          19940304
        W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU,
            JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO,
            RU, SD, SE, SK, UA, US, UZ, VN
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
            BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                           19950720
     CA 2113795
                                        CA 1994-2113795 19940119
                     AA
                           19940926
    AU 9462253
                      A1
                                         AU 1994-62253
                                                          19940304
                           19970306
    AU 676279
                      В2
     EP 687172
                      Α1
                           19951220
                                         EP 1994-909393
                                                          19940304
     EP 687172
                     В1
                           20021204
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 08507515
                    T2 19960813
                                         JP 1994-519887 19940304
     FI 9504143
                                         FI 1995-4143
                      Α
                           19951019
                                                          19950904
    NO 9503461
                                         NO 1995-3461
                      Α
                           19951106
                                                          19950904
PRAI US 1993-27501
                           19930305
                      Α
                   W
    WO 1994-SE185
                           19940304
     Suspensions of colloidal solid lipid particles (SLPs) of predominantly
AΒ
     anisometrical shape, as well as suspensions or the lyophilizates thereof
     are prepd. and used as delivery systems for the parenteral administration
     of poorly water-sol. bioactive substances, particularly drugs and
     vaccines, cosmetics, food and agricultural products. Thus, 0.96 g
     lecithin and 60 mg lidocaine (I) were dispersed in 4.0 g melted
     tripalmitate; then 35 mL of heated aq. phase contg. 320 mg Na
     glycocholate, 0.9 g glycerol and 4 mg thiomersal was added to the melt and
    sonicated and homogenized to obtain a dispersion of I-loaded SLPs with a
    mean particle size of 90.4 nm.
IT
    390-64-7, Prenylamine
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (suspension of solid lipid particles as carrier for bioactive agents)
RN
     390-64-7 CAPLUS
CN
    Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA
    INDEX NAME)
   NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
    ANSWER 39 OF 79 CAPLUS COPYRIGHT 2003 ACS
r_8
    1994:595941 CAPLUS
ΑN
DN
    121:195941
ΤI
    Glaucoma treatment with antagonists of glutamate-induced excitotoxicity
ΙN
    Lipton, Stuart A.; Dreyer, Evan B.
PΑ
    Massachusetts Eye and Ear Infirmary, USA; Childrens Medical Center
    Corporation
SO
    PCT Int. Appl., 28 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                        APPLICATION NO.
                                                          DATE
                    ____
    WO 9413275 A1
PΙ
                           19940623
                                        WO 1993-US11833 19931206
        W: AU, CA, JP, KR
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
```

```
US 5922773
                                          US 1992-984939
                      Α
                            19990713
                                                            19921204
                                           CA 1993-2150933 19931206
    CA 2150933
                            19940623
                      AΑ
    AU 9457414
                                           AU 1994-57414
                      A1
                            19940704
                                                            19931206
    AU 683634
                      B2
                            19971120
    EP 671910
                      Α1
                            19950920
                                          EP 1994-903488
                                                            19931206
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
PRAI US 1992-984939
                            19921204
    WO 1993-US11833
                            19931206
```

AB Elevated glutamate levels are assocd. with glaucoma, and damage to retinal ganglion cells can be controlled by administering to the patient an effective concn. of a compd. capable of reducing glutamate-induced excitotoxicity. The antagonist is capable of crossing the blood brain barrier and the blood retina barrier. Amino acid analyses of vitreous samples revealed .apprx.2-fold elevation in glutamic acid levels in patients with glaucoma and cataract when compared to cataractous controls. There was a direct correlation between the level of glutamate in the glaucomatous vitreous assayed and the stage of visual loss from glaucoma.

IT 390-64-7, Prenylamine 13042-18-7, Fendiline

RL: BIOL (Biological study)

(NMDA antagonist and, for protection of retinal ganglion cells against glaucoma-assocd. damage in human)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{--CH}_2\text{--CHPh}_2\\ |\\ \text{Me-CH-CH}_2\text{--Ph} \end{array}$$

RN 13042-18-7 CAPLUS

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$$

- L8 ANSWER 40 OF 79 CAPLUS COPYRIGHT 2003 ACS
- AN 1994:289978 CAPLUS
- DN 120:289978
- TI Calcium channel blockers as **antidepressants**: an activity of the class or of individual agents?
- AU Kozlovsky, V. L.; Prachie, I. V.
- CS Dep. Clin. Exp. Psychopharmacol., V. M. Bekhterev Psychoneurol. Inst., St. Petersburg, 193019, Russia
- SO Eksperimental'naya i Klinicheskaya Farmakologiya (1994), 57(1), 17-20 CODEN: EKFAE9; ISSN: 0869-2092
- DT Journal
- LA Russian
- AB Only nifedipine and fendiline decreased immobilization time in mice in the tail suspension test. 5-Hydroxytryptophan-induced head-twitches were slightly (insignificantly) diminished by nifedipine and verapamil. The two drugs potentiated the hypothermic action of reserpine. Fendiline and cinnarizine increased the effect of 5-hydroxytryptophan, but did not modify the effect of reserpine. Diltiazem was virtually inactive in these

```
tests. All the calcium channel blockers decreased the cataleptic action
     of haloperidol. It is concluded that nifedipine and fendiline are
     promising agents for stress-induced reactive depression.
     13042-18-7, Fendiline
IT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (antidepressant activity of)
     13042-18-7 CAPLUS
RN
     Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX
CN
     NAME)
                   Ph
Ph2CH-CH2-CH2-NH-CH-Me
Г8
    ANSWER 41 OF 79 USPATFULL
AN
       93:29317 USPATFULL
TΙ
       Certain 9-amino-2-(or 4)-oxa 1,2,3,4-tetrahydro- or 1,2,3,4,5,6,7,8-
       octahydro-acridines
       Desai, Manoj C., Mystic, CT, United States
IN
       Pfizer Inc., New York, NY, United States (U.S. corporation)
PA
PΙ
      US 5202440
                               19930413
      WO 8902740 19890406
ΑI
      US 1990-474717
                               19900427 (7)
      WO 1988-US1070
                               19880330
                               19900427 PCT 371 date
                               19900427 PCT 102(e) date
      WO 1987-US2546
                           19871005
PRAT
      Utility
TП
FS
      Granted
EXNAM Primary Examiner: Rotman, Alan L.
      Richardson, Peter C., Ginsburg, Paul H., Fedowich, Valerie M.
LREP
CLMN
      Number of Claims: 1
      Exemplary Claim: 1
ECL
      No Drawings
DRWN
LN.CNT 975
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       Compounds selected from the group consisting of 9-amino-4-oxa-1,2,3,4-
       tetrahydro-acridine, 9-amino-2-oxa-1,2,3,4-tetrahydro-acridine,
      9-amino-8-fluoro-4-oxa-1,2,3,4-tetrahydro-acridine, 9-amino-4-oxa-
       1,2,3,4,5,6,7,8-octahydro-acridine or a pharmaceutical acceptable salt
       thereof are useful treating Alzheimer's disease.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   122910-44-5P
        (prepn. of, as brain acetylcholinesterase inhibitor)
     122910-44-5 USPATFULL
RN
     2H-Pyrano[2,3-b]quinolin-5-amine, N-(3,3-diphenylpropyl)-6-fluoro-3,4-
CN
      dihydro- (9CI) (CA INDEX NAME)
```

rsANSWER 42 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1992:483454 CAPLUS

DN 117:83454

TITreatment of AIDS dementia, myelopathy, peripheral neuropathy, and vision loss with levemopamil

ŢN Lipton, Stuart A.

PΑ Children's Medical Center Corp., USA

SO PCT Int. Appl., 36 pp. CODEN: PIXXD2

DT Patent

English LΑ

FAN.CNT 10

	PATENT NO.			KII	ND	DATE			AI	PLI	CATI	ON N	ο.	DATE		
PI	WO	9203			A.	l	1992	0305		WC	19	91-U	s604	- - 8	1991	0823
			CA,													
		RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LU,	NL,	SE	
	EΡ	EP 557290		A.	L	1993	0901		E	19	91-9	1659	8	1991	0823	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE
	JΡ	0650	0554		T2	2	1994	0120		JI	19	91-5	1533	5	1991	0823
PRAI	US	1990	-5719	949	Α		1990	0823								
	WO	1991	-US60	048	W		1991	0823								

AΒ A method of reducing damage to neurons in a patient infected with human immunodeficiency virus (HIV) comprises administering levemopamil (I), or a physiol. acceptable salt thereof, in a concn. effective to cause a redn. in the glycoprotein gp120-responsive rise in free intracellular Ca2+ concn. in, and subsequent injury of, the neurons. Another Ca channel blocker or an antagonist of the NMDA receptor-channel complex may be administered in addn. to I.

IT 390-64-7, Prenylamine 13042-18-7, Fendiline RL: BIOL (Biological study)

(AIDS virus glycoprotein gp120-caused neuron injury treatment with levemopamil and)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}$$

RN 13042-18-7 CAPLUS

Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$$

 $\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$

L8 ANSWER 43 OF 79 USPATFULL AN 92:31867 USPATFULL ΤI Calcium antagonists Carr, Albert A., Cincinnati, OH, United States IN Cheng, Hsien C., Cincinnati, OH, United States Kane, John M., Cincinnati, OH, United States PA Merrell Dow Pharmaceuticals Inc., Cincinnati, OH, United States (U.S. corporation) 19920421 PΙ US 5106845 US 1990-457997 19900110 (7) AΤ DT Utility FS Granted Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ward, E. C. EXNAM CLMN Number of Claims: 1 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 1052 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention is directed to a new class of cyclic guanidines of the formula: ##STR1## in which Q is represented by a substituent selected from the group consisting of (CH.sub.2).sub.n in which n is an integer from 2-10, ##STR2## A is a substituent selected from the group consisting of --NH--(CH.sub.2).sub.m in which m is an integer from 0-5, a piperidino substituent, or a piperazino substituent; both Ar and Ar.sub.1 are each independently represented by a phenyl ring, each of which may be optionally substituted with up to 3 substituents, each selected from the group consisting of halogen, C.sub.1-4 alkyl, C.sub.1-4 alkoxy, and trifluoromethyl; and R is represented by either hydrogen or a C.sub.1-4 alkyl; R.sub.1 is represented by hydrogen or a C.sub.1-4 alkyl; the optional isomers and tautomers thereof; and the pharmaceutically acceptable acid addition salts thereof, and their use as calcium antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 132549-64-5P 132549-65-6P 132549-67-8P 132549-70-3P 132549-71-4P 132549-73-6P 132549-76-9P 132549-78-1P

(prepn. of, as calcium antagonist)

RN 132549-64-5 USPATFULL

CN 1H-Imidazol-2-amine, N-(3,3-diphenylpropyl)-4,5-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{H} \\
\text{N} \\
\text{NH-CH}_2 - \text{CH}_2 - \text{CHPh}_2 \\
\text{N}
\end{array}$$

HCl

CN 1H-Imidazol-2-amine, N-(3,3-diphenylpropyl)-4,5-dihydro-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 132549-67-8 USPATFULL

CN 2-Pyrimidinamine, N-(3,3-diphenylpropyl)-1,4,5,6-tetrahydro-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 132549-70-3 USPATFULL

CN 1,3-Diazocin-2-amine, N-(3,3-diphenylpropyl)-1,4,5,6,7,8-hexahydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 132549-71-4 USPATFULL

CN 1H-1,3-Diazepin-2-amine, N-(3,3-diphenylpropyl)-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

09/990,405

● HCl

RN 132549-73-6 USPATFULL

CN 2-Pyrimidinamine, N-(3,3-diphenylpropyl)-1,4,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 132549-76-9 USPATFULL

CN 1H-Benzimidazol-2-amine, N-(3,3-diphenylpropyl)-3a,4,5,6,7,7a-hexahydro-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 132549-78-1 USPATFULL

CN 1H-2,4-Benzodiazepin-3-amine, N-(3,3-diphenylpropyl)-2,5-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

$$Ph_2CH-CH_2-CH_2-NH$$

Me-CH-CH2-Ph

```
5586-73-2
        (reaction of, with (methylthio)diazaheterocyclic compds.)
RN
     5586-73-2 USPATFULL
CN
     Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NH2
     ANSWER 44 OF 79 CAPLUS COPYRIGHT 2003 ACS
T8
     1992:504226 CAPLUS
AN
DN
     117:104226
ΤI
     Inactivation of glibenclamide-sensitive potassium channels in Xenopus
     oocytes by various calmodulin antagonists
ΑU
     Sakuta, Hidenari; Sekiguchi, Masayuki; Okamoto, Koichi; Sakai, Yutaka
CS
     Dep. Pharmacol., Natl. Def. Med. Coll., Tokorozawa, 359, Japan
    European Journal of Pharmacology, Molecular Pharmacology Section (1992),
SO
     226(3), 199-207
     CODEN: EJPPET; ISSN: 0922-4106
DT
     Journal
LΑ
     English
AΒ
     In follicle-enclosed Xenopus oocytes, extracellular application of
     cromakalim (a K+ channel opener) or intracellular injection of cAMP
     induces, the smooth outward K+ current which is inactivated by
     glibenclamide. It was found that cromakalim- or cAMP-induced K+ currents
     in the oocytes were rapidly, reversibly and dose-dependently blocked by
     various drugs having a calmodulin antagonizing activity in common, namely,
    by a selective calmodulin antagonist (W-7), antipsychotics
     (trifluoperazine, chlorpromazine, haloperidol), an antidepressant
     (amitriptyline), a .beta.-adrenoceptor blocker (propranolol), a local
     anesthetic (lidocaine) and a calcium antagonist (prenylamine); W-7,
     trifluorperazine, chlorpromazine and prenylamine were relatively potent
    blockers. For example, IC50 values to block cromakalim (100
     .mu.M)-induced K+ currents were 12 .mu.M for trifluoperazine and 16 .mu.M
     for W-7, which were close to their IC50 values to inhibit
    Ca2+/calmodulin-dependent phosphodiesterase (an index of the potency of
     calmodulin antagonists). IC50 values to inhibit cAMP (20
    pmol/oocyte)-induced K+ currents were 126 .mu.M for prenylamine and 120
     .mu.M for chlorpromazine. The IC50 values of all drugs tested to block
     cromakalim or cAMP responses were significantly correlated with their
     calmodulin-antagonizing potencies. Isoproterenol-induced K+ currents in
     the oocytes were also dose-dependently inhibited by glibenclamide, W-7 and
     trifluoperazine. These results suggest the possibility that the activity
    of glibenclamide-sensitive K+ channels in follicle-enclosed oocytes are
    regulated by calmodulin or a calmodulin-dependent process.
IT
    390-64-7, Prenylamine
    RL: BIOL (Biological study)
        (glibenclamide-sensitive potassium channel inactivation by, in
        follicle-enclosed Xenopus oocytes)
RN
    390-64-7 CAPLUS
CN
    Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)
     INDEX NAME)
   NH-CH2-CH2-CHPh2
```

```
ANSWER 45 OF 79 USPATFULL
L8
       91:73185 USPATFULL
ΑN
ΤI
       Treatment of cardiovascular and cerebral toxicity using calcium
       modulators
       Nahas, Gabriel G., Englewood, NJ, United States
IN
       Trouve, Renaud, Maisons Alfort, France
       Miles, Inc., Elkhart, IN, United States (U.S. corporation)
PA
                               19910910
PΙ
       US 5047229
       US 1986-943639
                               19861217 (6)
ΑI
DT
       Utility
FS
       Granted
EXNAM Primary Examiner: Friedman, Stanley J.
LREP
       Brumbaugh, Graves, Donohue & Raymond
CLMN
       Number of Claims: 12
ECL
       Exemplary Claim: 1
       1 Drawing Figure(s); 1 Drawing Page(s)
DRWN
LN.CNT 251
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       Calcium modulators can be effectively used to treat cardiovascular and
       cerebral toxicity induced by materials that alter the normal interaction
       of neurotransmitters with the calcium transport mechanisms of myocardial
       and cerebral cells. For example, calcium modulators can be used as an
       antidote to the lethal and chronic toxicity of cocaine and related
       indirectly acting sympathomimetic amines, imipramine and other tricyclic
       antidepressants, ganglionic stimulating drugs, and other toxic
       substances such as organophosphorus compounds that cause accumulations
       of neurotransmitters. Calcium modulators can also be used as an antidote
       to substances whose toxicity is based upon anticholinesterase activity.
       In addition, calcium modulators can be used as antagonists to the
       various types of toxic substances.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
    390-64-7, Prenylamine
        (antidotes for, calcium modulators as)
RN
     390-64-7 USPATFULL
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)
       INDEX NAME)
   NH-CH2-CH2-CHPh2
Me-CH-CH_2-Ph
L8
     ANSWER 46 OF 79 CAPLUS COPYRIGHT 2003 ACS
AN
     1991:178283 CAPLUS
DN
     114:178283
ΤI
     [3H]Opipramol labels a novel binding site and .sigma. receptors in rat
     brain membranes
ΑU
     Ferris, Christopher D.; Hirsch, David J.; Brooks, Brian P.; Snowman, Adele
     M.; Snyder, Solomon H.
CS
     Sch. Med., Johns Hopkins Univ., Baltimore, MD, 21205, USA
    Molecular Pharmacology (1991), 39(2), 199-204
SO
     CODEN: MOPMA3; ISSN: 0026-895X
DT
     Journal
LA
    English
AB
     Opipramol, a clin. effective antidepressant with a tricyclic
     structure, is inactive as an inhibitor of biogenic amine uptake.
```

[3H] opipramol bound saturably to rat brain membranes (apparent KD = 4 nM, Bmax = 3 pmol/mg protein). [3H] opipramol binding could be differentiated into haloperidol-sensitive and -resistant components, with Ki values for haloperidol of 1 nM (Bmax = 1 pmol/mg protein) and 350 nM (Bmax = 1.9 pmol/mg protein), resp. The drug specificity of the haloperidol-sensitive component was the same as that of .sigma. receptors labeled with (+)-[3H]3-(3-hydroxyphenyl)-N-(1-propyl)piperidine. The haloperidol-resistant component did not correspond to any known neurotransmitter receptor or uptake recognition site. It displayed high affinity for phenothiazines and related structures such as perphenazine, clopenthixol, and flupenthixol, whose potencies are comparable to that of opipramol. Because certain of these drugs are more potent at the haloperidol-resistant opipramol site than in exerting any other action, it is possible that this opipramol-selective site may mediate their therapeutic effects.

- IT 390-64-7, Prenylamine
 - RL: PRP (Properties)

(affinity of, for opipramol binding sites of brain membrane)

- RN 390-64-7 CAPLUS
- CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{--CH}_2\text{--CHPh}_2\\ |\\ \text{Me-CH-CH}_2\text{--Ph} \end{array}$$

- L8 ANSWER 47 OF 79 CAPLUS COPYRIGHT 2003 ACS
- AN 1990:145655 CAPLUS
- DN 112:145655
- TI (-)-(S)-Flunoxaprofen and (-)-(S)-naproxen isocyanate: two new fluorescent chiral derivatizing agents for an enantiospecific determination of primary and secondary amines
- AU Martin, E.; Quinke, K.; Spahn, H.; Mutschler, E.
- CS Dep. Pharmacol., Univ. Frankfurt, Frankfurt/Main, D-6000, Fed. Rep. Ger.
- SO Chirality (1989), 1(3), 223-34 CODEN: CHRLEP; ISSN: 0899-0042
- DT Journal
- LA English

GI

AB The synthesis and anal. testing of 2 new fluorescent chiral derivatizing agents (-)-(S)-flunoxaprofen (I) and (-)-(S)-naproxen isocyanate (II), is described. In a few simple steps the free carboxylic acids [(S)-flunoxaprofen and (S)-naproxen] are activated with EtO2CC1/NaN3 and transformed to the corresponding isocyanates. The cryst. reaction products display high enantiomeric and chem. purity and stability. The direction of the optical rotation of both substances is inverse to that of the corresponding carboxylic acids. At ambient temp. the reagents swiftly

react with primary and secondary amines, yielding highly fluorescent ureas. The applicability of the two reagents for the resoln. of racemic amines was tested with a no. of pharmaceuticals (antiarrhythmics, .beta.-adrenergic antagonists, calcium channel blockers, centrally acting antidepressants). The diastereoisomeric derivs. were efficiently resolved and sepd. from side-products by means of normal and reversed-phase HPLC. The use and sufficient sensitivity of the two reagents for pharmacokinetic studies were demonstrated with a detn. of plasma levels of propranolol enantiomers after oral administration of the racemic drug [(R,S)-propranolol-HCl] to two volunteers.

IT 20612-24-2

RL: ANT (Analyte); ANST (Analytical study)
(resoln. of, by HPLC, derivatization with flunoxaprofen and naproxen isocyanates as fluorescent chiral reagents in)

RM 20612-24-2 CAPLUS

IT 17184-44-0 125836-75-1

RL: ANT (Analyte); ANST (Analytical study) (sepn. of, by HPLC, derivatization with flunoxaprofen and naproxen isocyanates as fluorescent chiral reagents in)

RN 17184-44-0 CAPLUS

CN Propanoic acid, 2-hydroxy-, (S)-, compd. with (S)-N-(1-methyl-2-phenylethyl)-.gamma.-phenylbenzenepropanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47445-84-1 CMF C24 H27 N

Absolute stereochemistry.

CM 2

CRN 50-21-5 CMF C3 H6 O3

RN 125836-75-1 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with (R)-N-(1-methyl-2-phenylethyl)-.gamma.-phenylbenzenepropanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 85610-72-6 CMF C24 H27 N

Absolute stereochemistry.

CM 2

CRN 50-21-5 CMF C3 H6 O3

L8 ANSWER 48 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1989:609083 CAPLUS

DN 111:209083

TI High affinity dextromethorphan binding sites in guinea pig brain. Effect of sigma ligands and other agents

AU Klein, Martine; Musacchio, Jose M.

CS Med. Cent., New York Univ., New York, NY, 10016, USA

SO Journal of Pharmacology and Experimental Therapeutics (1989), 251(1), 207-15 CODEN: JPETAB; ISSN: 0022-3565

DT Journal

LA English

AΒ

Dextromethorphan (DM), a non-narcotic antitussive, binds in the guinea pig brain to specific high- and low-affinity sites with Ka values of 57 nM and 24 .mu.M, resp. The antitussives carbetapentane, caramiphen, butamirate and dimethoxanate competed with the high-affinity binding of [3H]DM at pH 7.4 with nanomolar Ki values. Sigma site ligands showed high affinity for [3H]DM binding sites. The rank order of potency was: haloperidol > (+)-pentazocine > (+)-cyclazocine > 3-(3-hydroxyphenyl)-N-(1propyl)piperidine > (+)-N-allylnormetazocine > (-)-butaclamol .mchgt. (+)-butaclamol (-)-N-allynormetazocine. The antipsychotic perphenazine competed with low nanomolar Ki values, whereas rimcazole was weaker. antidepressant opipramol and the benzomorphan (+)-2'-methoxyphenazocine were the most effective drugs tested, with Ki values of 0.4 By contrast, MK-801 and phencyclidine were very weak competitors for [3H]DM binding. The diphenylalkylamines were the most effective competitors of the Ca channel blocking agents: prenylamine and cinnarizine had Ki values of 17 and 22 nM, resp. Lidoflazine and hydroxyzine were slightly less potent, but nifedipine and the benzothiazepine diltiazem were much weaker. K channel blockers inhibited DM binding in pharmacol. relevant concns.: primaquine was the most effective with a Ki of 0.5 Other antimalarial K channel blockers tested inhibited binding in the micromolar range. 4-Aminopyridine and tetraethylammonium had Ki values of 0.76 and 1.40 mM, resp. The Na channel ligands tetrodotoxin, veratridine and aconitine were very weak competitors, but the local anesthetics piperocaine and tetracaine inhibited [3H]DM binding with low nanomlar Ki values, whereas cocaine, lidocaine and procainamide were less effective. The anticonvulsants carbamazepine, mephenytoin, ethotoin and paramethadione, up to 100 .mu.M, were inactive. Nafimidone had a Ki of 1.1 .mu.M. Inhibitory and excitatory amino acids and their analogs, up to

ΙT

RN

CN

L8 AN

DN

TΙ

IN PA SO

DT

PI

AΒ

1 mM, had no effect on the high-affinity binding of [3H]DM. investigation demonstrated that several drugs with antitussive activity bind with high affinity to DM sites, indicating that these sites can mediate the pharmacol. effects of antitussive drugs. Sigma ligands inhibit binding with the same rank order with which they bind to sigma sites, indicating that sigma and DM ligands bind to the same site. Further studies are necessary to establish the physiol. role and pharmacol. potential of the DM sites. 390-64-7, Prenylamine RL: PRP (Properties) (dextromethorphan binding sites of brain interaction of) 390-64-7 CAPLUS Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME) NH-CH2-CH2-CHPh2 Me-CH-CH2-Ph ANSWER 49 OF 79 CAPLUS COPYRIGHT 2003 ACS 1988:622494 CAPLUS 109:222494 Treatment of cardiovascular and cerebral toxicity using calcium modulators Nahas, Gabriel Georges; Trouve, Renaud PCT Int. Appl., 16 pp. CODEN: PIXXD2 Patent English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE -----_____ WO 8804553 A1 19880630 WO 1987-US3349 19871214 W: AU, JP RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE Α US 1986-943639 US 5047229 19910910 19861217 AU 8810831 19880715 AU 1988-10831 19871214 A1 PRAI US 1986-943639 19861217 WO 1987-US3349 19871214 Ca modulators can be used to treat cardiovascular and cerebral toxicity induced by materials that alter the normal interaction of neurotransmitters with the Ca transport mechanisms of myocardial and cerebral cells. Ca modulators can be used as an antidote to the lethal and chronic toxicity of cocaine and related indirectly acting sympathomimetic amines, imipramine and other tricyclic antidepressants, ganglionic stimulating drugs, and other toxic substances, such as organophosphorus compds., that cause accumulation of neurotransmitters. Ca modulators can also be used as an antidote to substances whose toxicity is based upon anticholinesterase activity. In addn., Ca modulators can be used as antagonists to the various types of toxic substances. Rats were injected i.p. a LD of 6 mg cocaine/kg, followed by an intraarterial loading dose of 7.4 g nitrendipine with subsequent infusion of 1.22 .mu.g nitrendipine/kg/min for 85 min. All

nitredipine-treated rats survived without visible damage, whereas the

controls died shortly after the cocaine administration.

ΙT **390-64-7**, Prenylamine RL: BIOL (Biological study)

```
(antidotes for, calcium modulators as)
     390-64-7 CAPLUS
RN
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA
     INDEX NAME)
    NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
L8
     ANSWER 50 OF 79 CAPLUS COPYRIGHT 2003 ACS
AN
     1989:549 CAPLUS
DN
     110:549
     Slow channel inhibitor effects on brain function: tolerance to severe
ΤI
     hypoxia in the rat
ΑU
     Cartheuser, Carl F.
     Zent. Physiol., Med. Hochsch. Hannover, Hannover, D 3000/61, Fed. Rep.
CS
     British Journal of Pharmacology (1988), 95(3), 903-13
SO
     CODEN: BJPCBM; ISSN: 0007-1188
DT
     Journal
LΑ
     English
AB
     The protective effects of 10 slow calcium channel inhibitor drugs against
     severe progressive hypoxia were investigated in rats breathing
     spontaneously during light anesthesia. Respiration, heart rate,
     electrocorticogram (ECoG) and/or EEG (EEG) were recorded. Tolerance times
     were monitored from hypoxia onset until cessation of respiration, ECoG,
     EEG synchronization, and 'background-EEG'. Drugs were administered i.v. 5
     min before the onset of hypoxia. Verapamil, gallopamil, and nimodipine
     increased tolerance times; fendiline and bepridil showed a small increase;
     bencyclan and prenylamine were ineffective; cinnarizine and diltiazem
     slightly reduced tolerance times as did flunarizine at low doses.
     protective doses, verapamil, gallopamil, and nimodipine raised the
     respiration rate but had little or no cardiac depressor effects.
     Bencyclan showed ventilatory drive but cardiocirculatory
     depression. A clear-cut ventilatory drive did not occur with the
     other ineffective slow channel inhibitors. The protective actions obsd.
     were not due to slow channel inhibition per se, nor to spasmolytic potency
     or increased cerebral blood flow. Ventilatory drive assocd. with other
     cardiopulmonary actions which secondarily raise the brain O supply are
     likely to be responsible for this effect.
ΙT
     390-64-7, Prenylamine 13042-18-7, Fendiline
     RL: BIOL (Biological study)
        (brain hypoxic damage prevention by, cardiopulmonary effect in relation
RN
     390-64-7 CAPLUS
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA
     INDEX NAME)
   NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
RN
     13042-18-7 CAPLUS
     Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX
CN
     NAME)
```

 $\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$

ANSWER 51 OF 79 USPATFULL L8 87:6481 USPATFULL AN Derivatives of glycinamide, their preparation and their use ΤI Roncucci, Romeo, Paris, France IN Gillet, Claude L., Blanmont, Belgium Cordi, Alexis H., Villers-la-Ville, Belgium Martens, Mark A., Zottegem, Belgium Roba, Joseph L., Houyet, Belgium Niebes, Paul J., Grez-Doiceau, Belgium Lambelin, Georges E., Brussels, Belgium Van Dorsser, William R., Brussels, Belgium PA Continental Pharma Inc., Brussels, Belgium (non-U.S. corporation) PΙ US 4639468 19870127 ΑI US 1985-768185 19850823 (6) Continuation of Ser. No. US 1983-458756, filed on 21 Apr 1983, now RLI abandoned which is a continuation of Ser. No. US 1980-133102, filed on 24 Mar 1980, now abandoned PRAI LU 1979-81068 19790322 LU 1979-81069 19790322 DTUtility FS Granted Primary Examiner: Shippen, Michael L. EXNAM Meyer, Scott J., Williams, Jr., James W. LREP CLMN Number of Claims: 5 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 1289 CAS INDEXING IS AVAILABLE FOR THIS PATENT. A glycinamide derivative of the general formula I: ##STR1## wherein: R is a linear or ramified alkyl group C.sub.5 -C.sub.18, a linear or

AB A glycinamide derivative of the general formula I: ##STR1## wherein: R is a linear or ramified alkyl group C.sub.5 -C.sub.18, a linear or ramified alkenyl group C.sub.5, C.sub.6, C.sub.7, C.sub.8, C.sub.9, C.sub.10, C.sub.11, C.sub.12, C.sub.13, C.sub.14, C.sub.15, C.sub.16, C.sub.17 or C.sub.18, a linear or ramified alkynyl group C.sub.4 -C.sub.10, a linear or ramified acyl group C.sub.4 -C.sub.18, a linear or ramified alkyl group C.sub.1 -C.sub.10, substituted by a phenoxy group, by a hydroxy radical, by an acetoxy radical, by a carboxy radical, by a linear or ramified alkoxycarbonyl group C.sub.1 -C.sub.4, by a carbonyl radical, by a carboxaldehyde group, by an acetal or cetal group, by one or more phenyl groups, by one or more phenyl groups substituted by a halogen atom such as fluorine, chlorine or bromine,

R.sub.1 represents hydrogen, a linear or ramified alkyl group C.sub.1, C.sub.2, C.sub.3, C.sub.4, C.sub.5, C.sub.6, C.sub.7, C.sub.8, C.sub.9 or C.sub.10, a linear or ramified acyl group C.sub.1 -C.sub.6, a benzoyl group, a linear or ramified alkoxycarbonyl group C.sub.1, C.sub.2, C.sub.3, C.sub.4, C.sub.5, C.sub.6, C.sub.7 or C.sub.8, a carboxamidomethyl group,

R.sub.2 represents hydrogen, a linear or ramified alkyl C.sub.1, C.sub.2, C.sub.3, a phenyl group,

R.sub.3 represents hydrogen, a linear or ramified alkyl group C.sub.1,

RN

CN

L8

AN

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IN

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PΙ

ΑI RLI

DТ

FS

LREP

CLMN

ECL

AΒ

RN

CN

DRWN

0

C.sub.2, C.sub.3, C.sub.4, C.sub.5, C.sub.6, C.sub.7 or C.sub.8, a phenyl group, optionally substituted by a halogen atom, such as fluorine, chlorine or bromine, R.sub.4 represents hydrogen, a linear or ramified alkyl group C.sub.1, C.sub.2, C.sub.3, C.sub.4, C.sub.5, C.sub.6, C.sub.7 or C.sub.8 as well as salts of these derivatives with non toxic and pharmaceutically usable acids. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 76991-05-4P (prepn. and anticonvulsant activity of) 76991-05-4 USPATFULL Acetamide, 2-[(3,3-diphenylpropyl)amino]- (9CI) (CA INDEX NAME) H2N-C-CH2-NH-CH2-CH2-CHPh2 ANSWER 52 OF 79 USPATFULL 87:4950 USPATFULL Heterocyclic amino-alcohol derivatives Lambelin, Georges E., Brussels, Belgium Roncucci, Romeo R., Rosieres-St-Andre, Belgium Roba, Joseph, Wanlin, Belgium Gillet, Claude L., Brussels, Belgium Continental Pharma, Brussels, Belgium (non-U.S. corporation) US 4638070 19870120 US 1980-164326 19800630 (6) Continuation of Ser. No. US 1978-971715, filed on 21 Dec 1978, now abandoned which is a continuation-in-part of Ser. No. US 1976-742917, filed on 17 Nov 1976, now abandoned Utility Granted EXNAM Primary Examiner: Jiles, Henry R.; Assistant Examiner: Whittenbaugh, Robert C. Stevens, Davis, Miller & Mosher Number of Claims: 4 Exemplary Claim: 1 No Drawings LN.CNT 1216 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention relates to heterocyclic amino-alcohol derivatives of the formula ##STR1## These compounds are useful as antihypertensives. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 63996-93-0P (prepn. of, as antihypertensive, vasodilator and/or antispasmodic) 63996-93-0 USPATFULL

2H-1-Benzothiopyran-6-methanol, .alpha.-[1-[(3,3-

diphenylpropyl)amino]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

ANSWER 53 OF 79 USPATFULL L8 85:4760 USPATFULL ΑN ΤI Condensed pyrimidines Meszaros, Zoltan, Budapest, Hungary IN Knoll, Jozsef, Budapest, Hungary Szentmiklosi, Peter, Budapest, Hungary Hermecz, Istvan, Budapest, Hungary Horvath, Agnes, Budapest, Hungary Virag, Sandor, Budapest, Hungary Vasvari, Lelle, Budapest, Hungary David, Agoston, Budapest, Hungary PA Chinoin Gyogyszer es Vegyeszeti Termekek Gyara R.T., Budapest, Hungary (non-U.S. corporation) ΡI US 4495189 19850122 AΙ US 1982-364753 19820402 (6) DCD 20010717 RLI Continuation-in-part of Ser. No. US 1979-14689, filed on 23 Feb 1979, now patented, Pat. No. US 4472398 which is a continuation-in-part of Ser. No. US 1976-742464, filed on 17 Nov 1976, now patented, Pat. No. US 4460771 PRAI HU 1975-CI1623 19751127 DTUtility Granted EXNAM Primary Examiner: Hollrah, Glennon H.; Assistant Examiner: Turnipseed, James H. Ross, Karl F., Dubno, Herbert LREP CLMN Number of Claims: 2 ECL Exemplary Claim: 2 DRWN No Drawings LN.CNT 816 CAS INDEXING IS AVAILABLE FOR THIS PATENT. New compounds of the following formula are disclosed:

- 1,6-dimethyl-3-carbamoyl-4-oxo-1,6,7,8-tetrahydro-4H-pyrido(1,2-a)-pyrimidine;
- 1, 6-dimethyl-3-(N-tertiary-butyl-carbamoyl)-4-oxo-1, 6, 7, 8-tetrahydro-4H-pyrido(1, 2-a)pyrimidine;
- 1,6-dimethyl-3-(N-2-phenethyl-carbamoyl)-4-oxo-1,6,7,8-tetrahydro-4H-pyrido(1,2-a)pyrimidine;
- 1,6-dimethyl-3-[N-(3,3-diphenyl-propyl)-carbamoyl]-4-oxo-1,6,7,8-tetrahydro-4H-pyrido(1,2-a)pyrimidine;
- 1, 6-dimethyl-3-(N-phenyl-carbamoyl)-4-oxo-1, 6, 7, 8-tetrahydro-4H-pyrido(1,2-a)pyrimidine; and
- 1,6-dimethyl-3-(N-methyl-carbamoyl)-4-oxo-1,6,7,8-tetrahydro-4H-pyrido(1,2-a)pyrimidine, as well as pharmaceutical compositions containing these compounds and a method of inhibiting thrombocyte

aggregation in mammals employing a pharmaceutically effective amount of at least one of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 64076-98-8P

(prepn. of)

RN 64076-98-8 USPATFULL

CN 4H-Pyrido[1,2-a]pyrimidine-3-carboxamide, N-(3,3-diphenylpropyl)-1,6,7,8-tetrahydro-1,6-dimethyl-4-oxo-(9CI) (CA INDEX NAME)

```
ANSWER 54 OF 79 USPATFULL
L8
ΑN
       84:52727 USPATFULL
ΤI
       Condensed pyrimidines
TN
       Meszaros, Zoltan, Budapest, Hungary
       Knoll, Jozsef, Budapest, Hungary
       Hermecz, Istvan, Budapest, Hungary
       Horvath, Agnes, Budapest, Hungary
       Virag, Sandor, Budapest, Hungary
       Vasvari, nee Debreczy, Lelle, Budapest, Hungary
       David, Agoston, Budapest, Hungary
PA
       Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt., Budapest, Hungary
       (non-U.S. corporation)
ΡI
       US 4472398
                                19840918
ΑI
       US 1979-14689
                                19790223 (6)
       Continuation of Ser. No. US 1976-742464, filed on 17 Nov 1976
RLT
PRAI
       HU 1975-CI1623
                           19751127
DT
       Utility
FS
       Granted
EXNAM
      Primary Examiner: Daus, Donald G.; Assistant Examiner: Turnipeed, James
LREP
       Ross, Karl F., Dubno, Herbert
CLMN
       Number of Claims: 3
ECL
       Exemplary Claim: 3
DRWN
       No Drawings
LN.CNT 759
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Antiphlogistic and anticoagulant compounds of the formula: ##STR1##
AB
       wherein m is 0, 1 or 2,
       n is 0, 1 or 2,
       R is C.sub.1 to C.sub.6 alkyl,
```

R.sub.1 is hydrogen, or C.sub.1 to C.sub.6 alkyl,

R.sub.2 is hydrogen, C.sub.1 to C.sub.6 alkyl, substituted or

unsubstituted amino, substituted or unsubstituted hydroxy, carboxy or a

09/990,405

group derived from a carboxylic acid or

R.sub.1 and R.sub.2 together form a -- (CH.dbd.CH).sub.2 -- group and

R.sub.5 is 0 or imino or substituted imino.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 64076-98-8P

(prepn. of)

RN 64076-98-8 USPATFULL

CN 4H-Pyrido[1,2-a]pyrimidine-3-carboxamide, N-(3,3-diphenylpropyl)-1,6,7,8-tetrahydro-1,6-dimethyl-4-oxo-(9CI) (CA INDEX NAME)

L8 ANSWER 55 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1984:448177 CAPLUS

DN 101:48177

TI Structure-activity studies on antidepressant 2,2-diarylethylamines

AU Maryanoff, Bruce E.; Nortey, Samuel O.; Gardocki, Joseph F.

CS Dep. Chem. Biol. Res., McNeil Pharm., Spring House, PA, 19477, USA

SO Journal of Medicinal Chemistry (1984), 27(8), 1067-71 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

The title compds. and the diethanol derivs. R1R2CR3CHR4NR5R6 (R1 = R2 = (un)substituted Ph, thienyl; R3 = H, F, OH, Me; R4 = H, Me, Et; R5 = R6 = H, Me, 2-hydroxy- or 2-acetoxy-1-ethyl) as their salts were prepd. and evaluated for antidepressant activity in the mouse tetrabenazine test. The diarylethylamines were prepd. from the appropriate diarylacetic acid, and the diethanol derivs. were prepd. either the diarylethylamines and ethylene oxide [75-21-8] or from diphenylacetaldehyde [947-91-1] and diethanolamine [111-42-2]. 2,2'-[(2,2-Diphenylethyl)imino]bisethanol-HCl [90530-63-5] and N,N-dimethyl-2,2-diphenylethylamine-HCl [13636-10-7] showed activity as potential antidepressants. Structure activity relations are discussed.

IT 90531-05-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antidepressant activity of)

RN 90531-05-8 CAPLUS

CN Benzenepropanamine, N-ethyl-.gamma.-phenyl- (9CI) (CA INDEX NAME)

IT 22101-74-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antidepressant activity)

RN 22101-74-2 CAPLUS

CN Benzenepropanamine, N-ethyl-.gamma.-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NHEt

● HCl

L8 ANSWER 56 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1984:417103 CAPLUS

DN 101:17103

TI The importance of drug ionization for the action of calcium-antagonists and related compounds

AU Mannhold, R.; Rodenkirchen, R.; Bayer, R.; Haas, W.

CS Physiol. Inst., Univ. Duesseldorf, Duesseldorf, D-4000, Fed. Rep. Ger.

SO Arzneimittel-Forschung (1984), 34(4), 407-9 CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

LA English

AB The pK-Values of Ca2+-antagonists and related cardiodepressive drugs were measured by means of potentiometric microtitrn. Except for nifedipine [21829-25-4], the presumable active species for all compds. investigated is the protonated mol. Thus, protonization of ionizable N is 1 mol. prerequisite for voltage- or frequency dependence of action. Drug ionization does not correlate with the neg. inotropic potency of the compds. investigated.

IT 390-64-7 13042-18-7

RL: BIOL (Biological study)
 (heart contraction depression by, drug ionization in relation
to)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} {\rm NH-CH_2-CH_2-CHPh_2} \\ | \\ {\rm Me-CH-CH_2-Ph} \end{array}$$

RN 13042-18-7 CAPLUS

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ | \\ \text{Ph}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{CH}-\text{Me} \end{array}$$

```
09/990,405
AN
     1984:96469 CAPLUS
     100:96469
DN
ΤI
     Acute coronary artery occlusion-reperfusion arrhythmias in pigs:
     antiarrhythmic and antifibrillatory evaluation of verapamil, nifedipine,
     prenylamine and propranolol
ΑU
     Bergey, James L.; Wendt, Robert L.; Nocella, Karen; McCallum, John D.
     Wyeth Lab. Inc., Philadelphia, PA, 19101, USA
CS
     European Journal of Pharmacology (1984), 97(1-2), 95-103
     CODEN: EJPHAZ; ISSN: 0014-2999
DT
     Journal
LΑ
     English
AΒ
    The antiarrhythmic activity of the Ca2+-entry blockers, verapamil
     [52-53-9], nifedipine [21829-25-4], and prenylamine [390-64-7]
     ], was assessed against arrhythmias occurring during 20 min of acute
     occlusion, or upon rapid reperfusion of the left anterior descending
     coronary artery (LAD) in anesthetized pigs. Propranolol [525-66-6],
    which may indirectly reduced Ca2+-entry by blocking the facilitatory
     action of catecholamines on slow-channel conductance, was also evaluated
     for antiarrhythmic activity in this acute arrhythmia model. Only
    verapamil (0.2 mg/kg, i.v.) reduced both the no. of arrhythmias occurring
    during LAD occlusion and the incidence of ventricular fibrillation (VF)
    occurring after occlusion and reperfusion. Although both nifedipine
     (0.04-0.2 mg/kg, i.v.) and propranolol (1-2 mg/kg, i.v.) produced a slight
    but significant dose-dependent decrease in the incidence of VF during the
    occlusion period only, this protection was accompanied by an increase in
    ectopic activity. The increase in ectopic activity produced by
    propranolol (1.0 mg/kg, i.v.) persisted even in combination with verapamil
     (0.2 mg/kg, i.v.) which, given alone, decreased the ectopic frequency.
    Prenylamine up to 5 mg/kg was without significant antiarrhythmic or
    antifibrillatory activity. However, unlike verapamil and nifedipine, it
    produced only slight changes in heart rate or blood pressure, indicating
    the presence of only minimal Ca2+-entry-blocking action on myocardial and
    vascular tissue at the doses employed. Because the relative
    antifibrillatory efficacies of verapamil and nifedipine paralleled the
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IT 390-64-7

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiarrhythmic and antifibrillatory activity of, against acute coronary artery occlusion-reperfusion arrhythmias)

relative efficacies reported for depression of atrioventricular

selective antifibrillatory action of Ca2+-entry blockers may be

conduction, this may implicate the slow inward current channel in the etiol. of VF occurring during acute myocardial ischemia. However, this

independent of effects on slow-response action potentials, myocardial O consumption, or their reported ability to reduce ischemic damage to the

390-64-7 CAPLUS RN

myocardium.

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) INDEX NAME)

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NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
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rsANSWER 58 OF 79 CAPLUS COPYRIGHT 2003 ACS 1982:485029 CAPLUS AN

DN 97:85029

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Effects of prenylamine on transmembrane action potentials as related to
     the change in external potassium concentrations in guinea pig papillary
     Ban, T.; Kojima, M.; Sada, H.; Oshita, S. Sch. Med., Yamaguchi Univ., Ube, 755, Japan
ΑU
CS
     Journal of Cardiovascular Pharmacology (1982), 4(4), 601-8
SO
     CODEN: JCPCDT; ISSN: 0160-2446
DT
     Journal
LΑ
     English
ΑB
     The effects of 4.8 .mu.M prenylamine lactate [69-43-2] on
     transmembrane potentials were studied in isolated guinea pig papillary
     muscles and compared them with those of 36.9 .mu.M lidocaine. Prenylamine
     reduced Vmax at 1 Hz increasingly as the external K was increased from 2.7
     to 10 mM. The redn. was also increased as the driving rate was increased
     from 0.25 to 5 Hz. The rate-dependent depression was less in
     2.7 and 8.1 mM with 7.2 mM Ca and more in 5.4 and 8.1 mM K with 1.8 mM Ca.
     Prenylamine produced a marked delay in the recovery of Vmax in premature
     responses inserted between const. driving stimuli at 0.25 Hz. The delay
     was also less in the former 2, and more in the latter 2 media. Thus the
     effects of prenylamine on Vmax were more rate dependent and less
     K-dependent than those of 36.9 .mu.M lidocaine. At the diastolic interval
     of 100 ms, prenylamine depressed the overshoot, action potential duration
     at 0 mV level and Vmax in premature responses more markedly than did 36.9
     .mu.M lidocaine, the differences of the effects being more significant for
     the first two. The results are interpreted as representing the
     Ca-antagonistic property of prenylamine.
     69-43-2
IΤ
     RL: BIOL (Biological study)
        (heart elec. activity response to, potassium change in relation to)
RN
     69-43-2 CAPLUS
     Propanoic acid, 2-hydroxy-, compd. with N-(1-methyl-2-phenylethyl)-.gamma.-
CN
     phenylbenzenepropanamine (1:1) (9CI) (CA INDEX NAME)
     CM
         390-64-7
     CRN
     CMF C24 H27 N
    NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
     CM
          2
     CRN 50-21-5
     CMF C3 H6 O3
   OH
Me-CH-CO2H
```

L8 ANSWER 59 OF 79 CAPLUS COPYRIGHT 2003 ACS AN 1982:210674 CAPLUS DN 96:210674

TI Effects of calcium antagonists on coronary spasm and pulmonary artery contraction in comparison to their antagonistic action against K-strophanthin in isolated guinea pig atria

AU Lindner, Ernst; Ruppert, Dieter

CS Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.

SO Pharmacology (1982), 24(5), 294-302 CODEN: PHMGBN; ISSN: 0031-7012

DT Journal

LA English

AB The contraction of the K+-depolarized pulmonary artery of the guinea pig was diminished, in decreasing order of activity, by the Ca antagonists nifedipine [21829-25-4], gallopamil [16662-47-8], diltiazem [42399-41-7], verapamil [52-53-9], and prenylamine gluconate [21156-48-9]. The uptake of 45Ca of the depolarized pulmonary artery was reduced by nifedipine, verapamil and prenylamine, in decreasing order. The depression of the coronary flow of the isolated guinea pig heart, which was brought about by BaCl2, antigenic rabbit serum, or vasopressin plus oxytocin was reduced by infusion of prenylamine. The pos. inotropic effect of K-strophanthin [11005-63-3] on the isolated, elec. stimulated left atrium of the guinea pig heart was reduced by gallopamil, verapamil, prenylamine, diltiazem and nifedipine, in decreasing order of activity.

IT 21156-48-9

RL: BIOL (Biological study)

(artery and heart contraction response to, calcium antagonism and K-strophanthin in relation to)

RN 21156-48-9 CAPLUS

CN D-Gluconic acid, compd. with N-(1-methyl-2-phenylethyl)-.gamma.-phenylbenzenepropanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

CM 2

CRN 390-64-7 CMF C24 H27 N

$$\begin{array}{c} {\rm NH-CH_2-CH_2-CHPh_2} \\ | \\ {\rm Me-CH-CH_2-Ph} \end{array}$$

L8 ANSWER 60 OF 79 CAPLUS COPYRIGHT 2003 ACS AN 1982:174296 CAPLUS

DN 96:174296

TI Assessment of "calcium-antagonist" effects of drugs in potassium-depolarized smooth muscle. Differentiation of antagonist subgroups

AU Spedding, M.

CS Cent. Rech., Merrell Int., Strasbourg, F-67084, Fr.

SO Naunyn-Schmiedeberg's Archives of Pharmacology (1982), 318(3), 234-40 CODEN: NSAPCC; ISSN: 0028-1298

DT Journal

LA English

AΒ Tenia prepns. from the guinea pig cecum yielded reproducible concn.-response curves to Ca2+ (EC50 134 .mu.mol/L) when maintained in depolarizing Tyrode soln. contg. K+ (40 mmol/L). Drugs which are claimed to be Ca2+ antagonists displaced the curves to the right without depression of the max. response. In this test nifedipine [21829-25-4], verapamil [52-53-9], diltiazem [42399-41-7], pimozide [2062-78-4], cinnarizine [298-57-7], flunarizine [52468-60-7], and fendiline [13042-18-7] appeared qual. similar but had different potencies. The antagonist effects of nifedipine, verapamil and diltiazem were readily reversed by washout of the drugs from the bathing fluid, but the effects of the other drugs were not. Cinnarizine, flunarizine, pimozide and fendiline were only weakly active as relaxants of Ca2+ (100 .mu.mol/L)-induced contractions, when compared with their antagonist activity when applied initially in Ca2+-free media. As the presence of Ca2+ (100 .mu.mol/L) in the K+-Tyrode reduced the antagonist effects of cinnarizine and pimozide, but not that of verapamil nd diltiazem, the weak activity of some of the antagonists as relaxants of Ca2+-induced contractions can be attributed to a protective effect of Ca2+ during the incubation period with the antagonist. The problems assocd. with the assessment of the potency of drugs as Ca2+-antagonists are discussed and it is proposed that 3 subgroups of drugs may exist within the overall classification.

IT 13042-18-7

RL: BIOL (Biological study)

(muscle contraction response to, calcium antagonism in relation to)

RN 13042-18-7 CAPLUS

CN Benzenepropanamine, .gamma.-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

Ph | Ph2CH-CH2-CH2-NH-CH-Me

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L8 ANSWER 61 OF 79 CAPLUS COPYRIGHT 2003 ACS
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AN 1983:119475 CAPLUS

DN 98:119475

TI The effect of various centrally active drugs on adenosine uptake by the central nervous system

AU Phillis, J. W.; Wu, P. H.

CS Dep. Physiol., Univ. Saskatchewan, Saskatoon, S7N 0W0, Can.

SO Comparative Biochemistry and Physiology, C: Comparative Pharmacology (1982), 72C(2), 179-87
CODEN: CBPCBB; ISSN: 0306-4492

DT Journal

LA English

AB The effect of 99 centrally active agents to inhibit adenosine [58-61-7] uptake by rat brain synaptosomes was detd. Many sedative, anxiolytic,

anticonvulsant, and analgesic compds. were potent inhibitors of adenosine uptake by rat brain synaptosomes. These include phenothiazenes, benzodiazepines, tricyclic antidepressants, steroids, some of the nonsteroidal antiinflammatory drugs, and some antibiotics. Potentiation of the effects of endogenously released adenosine may be an important factor in the central actions of these compds. morphine [57-27-2] Enhances the release of adenosine from brain, an effect that is much stronger than its effect on adenosine uptake. The results emphasize the crit. role that adenosine appears to play in the central nervous system function and suggest that the development of more potent potentiators and antagonists of adenosine may generate valuable new approaches to the treatment of psychiatric and neurol. disorders.

IT 390-64-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(adenosine uptake by brain response to)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH-CH}_2\text{--}\text{CH}_2\text{--}\text{CHPh}_2\\ \\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}$$

L8 ANSWER 62 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1982:574691 CAPLUS

DN 97:174691

TI A comparative pharmacological study of Prenylamine and Verapamil

AU Parmanand, V. G.; Agarwal, S. L.; Saifi, A. Q.; Natu, M. V.

CS Dep. Pharmacol., Pt. J. N. M. Med. Coll., Raipur, 492 001, India

SO Journal of Scientific Research (Bhopal, India) (1982), 4(1), 61-3 CODEN: JSREDL; ISSN: 0253-7230

DT Journal

LA English

GΙ

AB prenylamine (I) [390-64-7] and verapamil (II) [52-53-9] showed smooth muscle relaxant activities in isolated rat vas deferens, fundus and guinea pig ileum. II was more potent coronary dilator than I in guinea pig and frog hearts. II blocked .alpha.-receptors. In rabbits, I depleted catecholamines and showed local anesthetic activity. I had a protective effect against angina. Rat mast cell depression from I and II may explain erythema seen with these drugs.

IT 390-64-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. of)

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09/990,405
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FR 2317275

B1

19810807

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RN
     390-64-7 CAPLUS
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)
CN
                                                                           (CA
     INDEX NAME)
    NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
L8
     ANSWER 63 OF 79 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1979:179953 CAPLUS
DN
     90:179953
ΤI
     Prenylamine induced depression of sympathetic transmission
ΑU
     Lindner, Ernst; Schacht, Ulrich
CS
     Hoechst A.-G., Frankfurt/Main, Fed. Rep. Ger.
     IRCS Medical Science: Library Compendium (1979), 7(1), 17
SO
     CODEN: IRLCDZ; ISSN: 0305-6651
     Journal
DT
LΑ
     English
AB
     Prenylamine [390-64-7] inhibited neuromuscular transmission in
     an isolated nerve-heart prepn., indicated by a decrease in the output of
     norepinephrine [51-41-2] during elec. stimulation of the nerve; increases
     in heart rate and force of contraction on elec. stimulation were also
     diminished by prenylamine. Similarly, prenylamine inhibited
     norepinephrine release from elec. stimulated slices of brain cortex.
IT
     390-64-7
     RL: BIOL (Biological study)
        (neurotransmission inhibition by)
RN
     390-64-7 CAPLUS
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA
     INDEX NAME)
   \mathrm{NH}-\mathrm{CH}_2-\mathrm{CH}_2-\mathrm{CHPh}_2
Me-CH-CH2-Ph
L8
     ANSWER 64 OF 79 CAPLUS COPYRIGHT 2003 ACS
AN
     1977:405608 CAPLUS
DN
     87:5608
ΤI
    Amines and intermediates in their manufacture
IN
    Eriksoo, Edgar
PA
    Aktiebolag Leo, Swed.
SO
     Ger. Offen., 42 pp.
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
    DE 2629945
                     A1
                            19770127
                                           DE 1976-2629945 19760702
     SE 7607741
                            19770111
                                           SE 1976-7741
                      Α
                                                            19760706
     CH 631969
                            19820915
                                           CH 1976-8658
                      A
                                                            19760706
     BE 844018
                      A1
                                          BE 1976-168822
                            19770110
                                                            19760709
     FR 2317275
                      A1
                            19770204
                                           FR 1976-21139
                                                            19760709
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	CA	1085824	A1	19800916	CA	1976-256728	19760709
	JP	52010201	A2	19770126	JP	1976-81501	19760710
	ES	458818	A 1	19781101	ES	1977-458818	19770516
	US	4249002	Α	19810203	US	1978-917923	19780622
	US	4249003	Α	19810203	US	1978-917924	19780622
	CA	1088055	A2	19801021	CA	1979-338959	19791101
PRAI	GB	1975-29161		19750710			
	SE	1976-6125		19760517			
	SE	1976-7741		19760617			
	US	1976-703534		19760708			
	CA	1976-256728		19760709			
	SE	1976-14928		19761126			
	SE	1976-14929		19761126			
GI							

Amines RZR1, where RH is a compd. capable of forming a reactive AΒ nucleophilic group R-, RlH is an amine, and Z is an alkylene group, were prepd. by treating RM (M = Na, MgBr, Li) with cyclic sulfate I to give RZOSO2M which is treated with R1H. Prepd. were, e.g., II [R2 = NH2, NHMe, NMe2, R3 = H, X = CH:CH, CH2CH2, Z = (CH2)3, (CH2)4; R2 = NHMe, NMe2, 4-hydroxy-1-piperidinyl, 4-methyl-1-piperazinyl, R3 = C1, CF3, cyano, Ac, MeO, (CH2)3CO, Z = (CH2)3, (CH2)4, X = S, indene III, R(CH2)nR1 (R = CH2) Ph2CHO, cyclohexyloxy, PhCH2O, Ph, PhCH2, Ph2CH; R1 = NH2, NHMe, NMe2, n = 2, 3, 4), piperazine IV, N-cyclohexylhexylamine, PhCH2CHPhCH2NH2 (37 compds.), useful as tranquilizers, neuroleptics, and antidepressants (no data). Thus, e.g., 10,11-dihydro-5Hdibenz[b,f]azepine in PhMe was treated under N2 with NaNH2, the mixt. stirred 7 h at 80.degree. and treated with sulfate I [Z = (CH2)3], and the product dibenzazepine II [R2 = OSO2ONa, R3 = H, X = CH2CH2, Z = (CH2)3] treated with aq. MeNH2 6 h at 150.degree. to give II [R2 = NHMe, R3 = H, X = CH2CH2, Z = (CH2)3] as the HCl salt.

IT 29768-15-8P

RN 29768-15-8 CAPLUS

Ph2CH-CH2-CH2-NHMe

L8 ANSWER 65 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1978:169834 CAPLUS

DN 88:169834

TI Antidepressant 6-amino-6,7,8,9-tetrahydro-5H-benzocycloheptenes

IN Protiva, Miroslav; Vejdelek, Zdenek; Dlabac, Antonin

PA Czech.

SO Czech., 4 pp.

CODEN: CZXXA9

DT Patent

LA Czech

FAN.CNT 1

TAN. CIVI I				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
PI CS 169192	B	19760729	CS 1973-8684	19731214
PRAI CS 1973-8684		19731214		
GI				

Ι

AB Ten benzocycloheptenes (I; R = H, Me; R1 = H, Me; R2 = H, CHO, Ac, Me, Et, Ph2CHCH2CH2) and HCl salts of some of them were prepd. Thus, I (R = R1 = R2 = H) was acylated with HCO2Et to give I (R = R1 = H, R2 = CHO), which was reduced with LiAlH4 to I (R = R1 = H, R2 = Me), which was isolated as HCl salt. The compds. prepd. are useful as antidepressants, antimicrobials, and mydriatics. I (R = R1 = H, R2 = Et) is also useful as an antireserpine agent. ED50 values of some I are given.

IT 66386-75-2P

RN 66386-75-2 CAPLUS

CN 5H-Benzocyclohepten-6-amine, N-(3,3-diphenylpropyl)-6,7,8,9-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

HCl

L8 ANSWER 66 OF 79 USPATFULL

AN 75:36785 USPATFULL

TI Aminoalkanols and their pharmaceutically acceptable acid-addition salts, and production thereof

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09/990,405
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Kaneko, Hidehiko, Minoo, Japan
IN
       Aritomi, Jiro, Nara, Japan
       Nakamura, Keiji, Neyagawa, Japan
       Dainippon Pharmaceutical Co. Ltd., Osaka, Japan (non-U.S. corporation)
PA
PΙ
       US 3895057
                               19750715
      US 1971-114710
                               19710211 (5)
ΑI
       Continuation-in-part of Ser. No. US 1968-766297, filed on 9 Oct 1968,
RLI
      now Defensive Publication No.
                           19671013
       JP 1967-65896
PRAI
       JP 1967-65897
                           19671013
ĎΤ
      Utility
       Granted
FS
EXNAM Primary Examiner: Hines, R. V.
LREP
       Bierman & Bierman, Bierman, Jordan B., Bierman, Linda G.
CLMN
       Number of Claims: 1
       Exemplary Claim: 1
ECL
      No Drawings
DRWN
LN.CNT 301
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
      Aminoalkanols of the formula: ##SPC1##
      Wherein R is hydrogen or alkyl having 1 to 4 carbon atoms and n is an
       integer of 1 to 4, which are useful as anti-depressants.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 23891-56-7P 23891-57-8P 23891-58-9P
      23891-59-0P 23891-60-3P 23891-61-4P
      23891-62-5P 23891-63-6P 23902-98-9P
      23903-04-0P 23903-05-1P 23903-06-2P
      23903-07-3P 23903-08-4P 23903-09-5P
      23903-10-8P 23917-34-2P 23921-75-7P
      23940-86-5P 24050-58-6P 24218-46-0P
      24233-19-0P
        (prepn. of)
    23891-56-7 USPATFULL
RN
    1-Propanol, 3-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)
CN
Ph_2CH-CH_2-CH_2-NH-(CH_2)_3-OH
RN
    23891-57-8 USPATFULL
CN
    1-Propanol, 3-[(3,3-diphenylpropyl)amino]-, benzoate (ester),
      hydrochloride (8CI, 9CI) (CA INDEX NAME)
Ph-C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2
              HCl
RN
    23891-58-9 USPATFULL
CN
    Veratric acid, 3-[(3,3-diphenylpropyl)amino]propyl ester hydrochloride
       (8CI) (CA INDEX NAME)
```

09/990,405

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$

● HCl

RM 23891-59-0 USPATFULL

CN Benzoic acid, p-hydroxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester hydrochloride (8CI) (CA INDEX NAME)

O
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$

HCl

RN 23891-60-3 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester (8CI, 9CI) (CA INDEX NAME)

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

RN 23891-61-4 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester oxalate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5 09/990,405

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23891-62-5 USPATFULL

CN Malonic acid, compd. with 3-[(3,3-diphenylpropyl)amino]propyl 3,4,5-trimethoxybenzoate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

CM 2

CRN 141-82-2 CMF C3 H4 O4

 $HO_2C-CH_2-CO_2H$

RN 23891-63-6 USPATFULL
CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester nitrate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

CM 2

CRN 7697-37-2 CMF H N O3

RN 23902-98-9 USPATFULL

CN Benzoic acid, p-fluoro-, 3-[(3,3-diphenylpropyl)amino]propyl ester hydrochloride (8CI) (CA INDEX NAME)

$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$

● HCl

RN 23903-04-0 USPATFULL

CN Mandelic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester oxalate (salt), (.+-.)- (8CI) (CA INDEX NAME)

CM 1

CRN 38350-35-5 CMF C26 H29 N O3

$$Ph_2CH$$
 $(CH_2)_3$
 OH
 OH

```
09/990,405
```

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23903-05-1 USPATFULL

CN Mandelic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester tartrate (salt), (+)-(8CI) (CA INDEX NAME)

CM 1

CRN 47655-08-3 CMF C26 H29 N O3

CDES 1:S

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6 CDES 1:R2:R*,R*

Absolute stereochemistry.

RN 23903-06-2 USPATFULL

CN Nicotinic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester, oxalate (8CI) (CA INDEX NAME)

CM 1

CRN 38272-00-3 CMF C24 H26 N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23903-07-3 USPATFULL
CN 1-Naphthoic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester oxalate (8CI)
(CA INDEX NAME)

CM 1

CRN 47705-12-4 CMF C29 H29 N O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

CM 1

CRN 47544-78-5 CMF C24 H25 N O2

$$\begin{array}{c} {\rm o} \\ || \\ {\rm ph-c-o-ch_2-ch_2-nh-ch_2-ch_2-chph_2} \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23903-09-5 USPATFULL

CN Ethanol, 2-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-CH2-OH

RN 23903-10-8 USPATFULL

CN 1-Propanol, 3-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

 $Ph_2CH - CH_2 - CH_2 - NH - (CH_2)_3 - OH$

● HCl

RN 23917-34-2 USPATFULL

CN Ethanol, 2-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-CH2-OH

HCl

RN 23921-75-7 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester citrate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} {\rm CO_2H} \\ | \\ {\rm HO_2C-CH_2-C-CH_2-CO_2H} \\ | \\ {\rm OH} \end{array}$$

RN 23940-86-5 USPATFULL

RN 24050-58-6 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 24218-46-0 USPATFULL

CN Malic acid, compd. with 3-[(3,3-diphenylpropyl)amino]propyl 3,4,5-trimethoxybenzoate, (.+-.)- (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

CM 2

CRN 6915-15-7 CMF C4 H6 O5

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO}_2\text{C---} \text{CH----} \text{CH}_2\text{----} \text{CO}_2\text{H} \end{array}$$

RN 24233-19-0 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 2-[(3,3-diphenylpropyl)amino]ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \begin{array}{c} \text{O} \\ \parallel \\ \text{C-O-CH}_2\text{-CH}_2\text{-NH-CH}_2\text{-CH}_2\text{-CHPh}_2 \end{array}$$

HCl

```
L8
     ANSWER 67 OF 79 USPATFULL
AN
       72:53870 USPATFULL
ΤI
       AMINOALKANOL ESTERS AND THEIR PHARMACEUTICALLY ACCEPTABLE ACID-ADDITION
       SALTS
IN
       Kaneko, Hidehiko, Minoo-shi, Osaka-fu, Japan
       Aritomi, Jiro, Nara-shi, Nara-ken, Japan
       Nakamura, Keiji, Neyagawa-shi, Osaka-fu, Japan
PA
       Dainippon Pharmaceutical Co., Ltd., United States (non-U.S. corporation)
PΙ
       US 3700680
                               19721024
                               19681009 (4)
ΑI
       US 1968-766297
PRAI
       JP 1967-65896
                           19671013
       JP 1967-65897
                           19671013
       Utility
DT
FS
       Granted
EXNAM
      Primary Examiner: Rotman, Alan L.
LREP
       Bierman; Harry C., Bierman; Jordan B., Bierman & Bierman
CLMN
       Number of Claims: 10
DRWN
      No Drawings
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09/990,405
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LN.CNT 639
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Aminoalkanol esters of the formula:

wherein R is hydrogen or phenyl, R' is hydrogen or alkyl having one to four carbon atoms, R" is acyl, A is ethylene or methylmethylene and n is an integer of 2 or 3. The aminoalkanol esters and their pharmaceutically acceptable acid-addition salts are useful as medicaments for treatment of cardiovascular diseases.

CN 1-Propanol, 3-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)

 $Ph_2CH-CH_2-CH_2-NH-(CH_2)_3-OH$

$$\begin{array}{c} \text{O} \\ || \\ \text{Ph-C-O- (CH}_2)_3 - \text{NH-CH}_2 - \text{CH}_2 - \text{CHPh}_2 \end{array}$$

● HCl

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$

RN 23891-59-0 USPATFULL

CN Benzoic acid, p-hydroxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester hydrochloride (8CI) (CA INDEX NAME)

O
$$\parallel$$
 C-O-(CH₂)₃-NH-CH₂-CH₂-CHPh₂

HCl

RN 23891-60-3 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester (8CI, 9CI) (CA INDEX NAME)

RN 23891-61-4 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester oxalate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23891-62-5 USPATFULL

CN Malonic acid, compd. with 3-[(3,3-diphenylpropyl)amino]propyl 3,4,5-trimethoxybenzoate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

CM 2

CRN 141-82-2 CMF C3 H4 O4

 $HO_2C-CH_2-CO_2H$

RN 23891-63-6 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester nitrate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

CM 2

CRN 7697-37-2 CMF H N O3

RN 23902-98-9 USPATFULL

CN Benzoic acid, p-fluoro-, 3-[(3,3-diphenylpropyl)amino]propyl ester hydrochloride (8CI) (CA INDEX NAME)

● HCl

CM 1

CRN 38350-35-5 CMF C26 H29 N O3

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 23903-05-1 USPATFULL

CN Mandelic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester tartrate (salt), (+)- (8CI) (CA INDEX NAME)

CM 1

CRN 47655-08-3 CMF C26 H29 N O3 CDES 1:S

Absolute stereochemistry.

Ph₂CH
$$\stackrel{\text{H}}{\sim}$$
 (CH₂) $\stackrel{\text{Ph}}{\sim}$ OH

CM 2

CRN 87-69-4 CMF C4 H6 O6 CDES 1:R2:R*,R*

Absolute stereochemistry.

CM 1

CRN 38272-00-3 CMF C24 H26 N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

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09/990,405
```

CN

RN23903-07-3 USPATFULL CN 1-Naphthoic acid, 3-[(3,3-diphenylpropyl)amino]propyl ester oxalate (8CI) (CA INDEX NAME) CM 1 CRN 47705-12-4 CMF C29 H29 N O2 O- (CH2) 3-NH-CH2-CH2-CHPh2 CM 2 CRN 144-62-7 CMF C2 H2 O4 0 0 но-с-с-он 23903-08-4 USPATFULL RNCNEthanol, 2-[(3,3-diphenylpropyl)amino]-, benzoate (ester), oxalate (8CI) (CA INDEX NAME) 1 CM CRN 47544-78-5 CMF C24 H25 N O2 0 Ph-C-O-CH2-CH2-NH-CH2-CH2-CHPh2 CM 2 CRN 144-62-7 CMF C2 H2 O4 RN23903-09-5 USPATFULL

Ethanol, 2-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)

 $Ph_2CH-CH_2-CH_2-NH-CH_2-CH_2-OH$

RN 23903-10-8 USPATFULL

CN 1-Propanol, 3-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

 $Ph_2CH - CH_2 - CH_2 - NH - (CH_2)_3 - OH$

● HCl

RN 23917-34-2 USPATFULL

CN Ethanol, 2-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)

 ${
m Ph_2CH-CH_2-CH_2-NH-CH_2-CH_2-OH}$

HCl

RN 23921-75-7 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester citrate (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

CM 2

CRN 77-92-9

CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 23940-86-5 USPATFULL RN 24050-58-6 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 24218-46-0 USPATFULL

CN Malic acid, compd. with 3-[(3,3-diphenylpropyl)amino]propyl 3,4,5-trimethoxybenzoate, (.+-.)- (8CI) (CA INDEX NAME)

CM 1

CRN 23891-60-3 CMF C28 H33 N O5

CM 2

CRN 6915-15-7 CMF C4 H6 O5

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO}_2\text{C---} \text{CH----} \text{CH}_2\text{----} \text{CO}_2\text{H} \end{array}$$

RN 24233-19-0 USPATFULL

CN Benzoic acid, 3,4,5-trimethoxy-, 2-[(3,3-diphenylpropyl)amino]ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

HCl

L8 ANSWER 68 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1972:443059 CAPLUS

DN 77:43059

TI Accumulation of cyclic adenosine monophosphate in incubated slices of brain tissue. 1. Structure-activity relation of agonists and antagonists of biogenic amines and of tricyclic tranquilizers and antidepressants

AU Huang, Minta; Daly, John W.

CS Natl. Inst. Arthritis Metab. Dis., Natl. Inst. Health, Bethesda, MD, USA

SO Journal of Medicinal Chemistry (1972), 15(5), 458-62 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

A radiometric technique, involving use of brain slices prelabeled by AΒ incubation with adenine-14C, provided a simple method to assess the effect of a variety of compds. on the accumulation of 3',5'-cyclic AMP (I) [60-92-4] in brain tissue. In guinea pig cerebral cortex slices, only those catechol amines were active which contained a .beta.-OH group, such as norepinephrine [51-41-2], .alpha.-methylnorepinephrine [6539-57-7], and isoproterenol [7683-59-2]. Dopamine, adrenalone, and 6-hydroxydopamine were inactive, as were most phenolic amines such as tyramine, normetanephrine, and octopamine. Both .alpha. and .beta. receptors appeared to be involved in the enhanced I accumulation evoked by catechol amines. Serotonin [50-67-9], .alpha.-methylserotonin [304-52-9], and 4-hydroxytryptamine [570-14-9] stimulated I accumulation, whereas other isomeric hydroxytryptamines were inactive. The effect of serotonin was blocked by methysergide [361-37-5]. Histamine [51-45-6] and some related compds. stimulated I accumulation; their effect was antagonized by antihistaminics. I accumulation was evoked by certain tricyclic tranquilizers and antidepressants, such as chlorpromazine [50-53-3] and imipramine [50-49-7]. The stimulatory effect of these psychotropic agents was blocked by theophylline [58-55-9].

IT 390-64-7

RL: BIOL (Biological study)

(cyclic AMP formation by brain in response to)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

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09/990,405
    NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
     ANSWER 69 OF 79 CAPLUS COPYRIGHT 2003 ACS
L8
     1972:413822 CAPLUS
AN
DN
     77:13822
TI
     Fluorimetric determinations by ion pair extraction. 3. Extraction
     constants of ion pairs between anthracene-2-sulfonate and mono- and
     divalent amines
ΑU
     Westerlund, D.; Borg, K. O.; Lagerstrom, P. O.
CS
     Farm. Fak., Univ. Uppsala, Stockholm, Swed.
     Acta Pharmaceutica Suecica (1972), 9(1), 47-52
     CODEN: APSXAS; ISSN: 0001-6675
DT
     Journal
     English
LΑ
     The fluorescent anion of Na anthracene-2-sulfonate (I) [16106-40-4] was
AΒ
     used in ion pair extn. studies of monovalent and divalent amines, e.g.
     amitriptyline [50-48-6], nortriptyline [72-69-5], protriptyline
     [438-60-8], imipramine [50-49-7], desipramine [50-47-5], dibenzepin
     [4498-32-2], terodiline [15793-40-5], prenylamine [390-64-7],
     and emetine [483-18-1]. The extn. of amines was made in the low concn.
     range (10-7-10-8 \text{ M}) with CH2Cl as the org. phase. Extn. and dissocn.
     consts. of the ion pairs were reported and compared with published consts.
     of ion pairs of the same amines with other anion components.
IT
     390-64-7
     RL: ANT (Analyte); ANST (Analytical study)
        (fluorimetric detn. of, anthracenesulfonate in ion pair extn. in)
RN
     390-64-7 CAPLUS
CN
     Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)
     INDEX NAME)
   NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
L8
     ANSWER 70 OF 79 CAPLUS COPYRIGHT 2003 ACS
     1972:448035 CAPLUS
AN
     77:48035
DN
ΤI
    Antidepressant aminoalkanols
PA
     Dainippon Pharmaceutical Co., Ltd.
SO
     Fr. Demande, 14 pp.
     CODEN: FRXXBL
DT
     Patent
LΑ
     French
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO. DATE
```

PRAI FR 1970-6533 19700224

AB The title compds., Ph2CH(CH2)2NR(CH2)nOH (I), effective antidepressants in mice, were prepd. by reaction of HNR(CH2)nOH with Ph2CH(CH2)2Cl, or Ph2CHCH2COCl followed by LiAlH4 redn., or by alkylation of I (R = H) with HCHO-HCO2H. Three I (R = H, Me; n = 2, 3) were prepd.

FR 1970-6533

19700224

19730713

FR 2077916

В1

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IT
     23891-56-7P 23903-09-5P 23903-10-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
     23891-56-7 CAPLUS
RN
     1-Propanol, 3-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)
CN
Ph_2CH-CH_2-CH_2-NH-(CH_2)_3-OH
RN
     23903-09-5 CAPLUS
     Ethanol, 2-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)
CN
Ph2CH-CH2-CH2-NH-CH2-CH2-OH
RN
     23903-10-8 CAPLUS
     1-Propanol, 3-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI, 9CI)
CN
                                                                           (CA
     INDEX NAME)
Ph_2CH - CH_2 - CH_2 - NH - (CH_2)_3 - OH
            HC1
ΙT
     5586-73-2
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with chloropropanol)
RN
     5586-73-2 CAPLUS
CN
     Benzenepropanamine, .gamma.-phenyl- (9CI) (CA INDEX NAME)
Ph2CH-CH2-CH2-NH2
L8
     ANSWER 71 OF 79 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1972:94581 CAPLUS
DN
     76:94581
TI
     Effects of 3-(3,3-diphenylpropylamino)propyl 3,4,5-trimethoxybenzoate
     (PF-26) on the experimental anoxia in rat heart
ΑU
     Kadokawa, Toshiaki; Nakamura, Hideo; Masuda, Yoshinobu; Toyoda, Akira;
     Kaneko, Hidehiko
CS
     Res. Lab., Dainippon Pharm. Co., Ltd., Osaka, Japan
SO
    Arzneimittel-Forschung (1971), 21(11), 1633-7
     CODEN: ARZNAD; ISSN: 0004-4172
DT
     Journal
    English
LА
    The coronary vasodilating substance, 3-(3,3-diphenylpropylamino)propyl
AΒ
     3,4,5-trimethoxybenzoate-HCl (PF-26)(I) [24050-58-6] (100 mg/kg,
     orally), not only prevented a heart rate depression and improved
     some changes on the electrocardiogram induced by isoproterenol (II)
     [7683-59-2] (3.0 .mu.g/kg, i.v.) and anoxia in rats, but also inhibited
     the augmentation of anaerobic metabolism. These max. effects at 6 hr
    after administration of I were correlated to an inhibitory effect on the
```

cardiac phosphorylase activity increase induced by II and to a depleting

action on cardiac norepinephrine [51-41-2] content.

IT 24050-58-6

RL: BIOL (Biological study)

(heart response to, in anoxia)

RN 24050-58-6 CAPLUS

CN Benzoic acid, 3,4,5-trimethoxy-, 3-[(3,3-diphenylpropyl)amino]propyl ester, hydrochloride (9CI) (CA INDEX NAME)

MeO
$$C-O-(CH_2)_3-NH-CH_2-CH_2-CHPh_2$$
MeO OMe

HCl

L8 ANSWER 72 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1972:81254 CAPLUS

DN 76:81254

TI Locomotor activity and regional brain noradrenaline levels in rats treated with prenylamine

AU Broitman, Susana T.; Donoso, A. O.

CS Fac. Cienc. Med., Univ. Nac. Cuyo, Mendoza, Argent.

SO Experientia (1971), 27(11), 1308-9 CODEN: EXPEAM; ISSN: 0014-4754

DT Journal

LA English

AB Relations between brain noradrenaline (I) [51-41-2] and locomotor activity were investigated with rats treated with different doses of prenylamine gluconate (II) [21156-48-9]. II injected s.c. at 25 and 50 mg/kg, produced signs of sedation and about a 200% decrease of scores in the open-field test. Sedation began within 1 hr and remained during the 6 hr of observation. II, injected at 10 mg/kg, caused a 50% decrease of locomotor activity 4 hr after injection but no obvious changes were obsd. in 1 hr. The I level in the hypothalamus decreased at 1, 4, and 6 hr after injection of 25 and 50 mg/kg of II. I in the cerebral cortex was decreased with the highest doses. Thalamic I decreased with 25 and 50 mg II/kg administered.

IT 3766-17-4

RL: BIOL (Biological study)

(noradrenaline metabolism by brain response to, motor activity in relation to)

RN 3766-17-4 CAPLUS

L8 ANSWER 73 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1971:76104 CAPLUS

DN 74:76104

TI Substituted 1,1-diphenyl-3-aminoprop-1-enes and 1,1-diphenyl-3-aminopropanes as potential antidepressant agents

AU Maisey, Roy F.; Jones, Geraint; Somerville, A. R.; Whittle, Brian A.

CS Pharm. Div., Imp. Chem. Ind. Ltd., Alderly Park/Macclesfield/Cheshire, UK

SO Journal of Medicinal Chemistry (1971), 14(2), 161-4

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB 1,1-Bis(substituted-phenyl)-3-aminoprop-1-enes (I) were prepd. by dehydration of amino alcohols (II) which were synthesized by reaction of a Grignard reagent with the appropriate Et .beta.-aminopropionate derivs. and their antidepressant activities were compared with amitriptyline (III) and imipramine (IV). Monomethylamino analogs, I (R1 = 4-Cl or F, R3 = Me, R2 = R4 = H) being more active than dimethylamino analogs, I (R1 = 4-Cl or F, R2 = H, R3 = R4 = Me), had greater ability to antagonize reserpine-induced hypothermia in mice.

IT 30777-72-1P 30777-73-2P

RN 30777-72-1 CAPLUS

CN Propylamine, 3,3-bis(p-fluorophenyl)-N-methyl-, oxalate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 46970-68-7 CMF C16 H17 F2 N

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 30777-73-2 CAPLUS

CN Propylamine, 3,3-bis(p-chlorophenyl)-N-methyl-, oxalate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 46970-66-5 CMF C16 H17 C12 N

CM 2

CRN 144-62-7 CMF C2 H2 O4

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L8 ANSWER 74 OF 79 CAPLUS COPYRIGHT 2003 ACS
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AN 1970:509469 CAPLUS

DN 73:109469

TI Antidepressant (3,3-diphenylpropylamino)alkanols

IN Kaneko, Hidehiko; Nakamura, Keiji; Aritomi, Jiro

PA Dainippon Pharmaceutical Co., Ltd.

SO Ger. Offen., 17 pp. Division of Ger Offen. 1802656 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 1817740	B2	19740207	DE 1968-1817740	19700211
	DE 1817740	C3	19740905		
PRAI	DE 1968-1817740		19700211		

AB The title compds., Ph2CHCH2CH2NR(CH2)nOH (I, R = H, n = 2 or 3), useful as antagonists for reserpine and increasing pentetrazole and yohimbine spasms, were prepd. either from Ph2CHCH2CH2Cl and H2N(CH2)nOH (II) from Ph2CHCH2CH2NH2 and Cl(CH2)nOH, or from Ph2CHCH2COCl and II followed by LiAlH4 redn. The compds. were methylated to give I (R = Me, n : 2 or 3). I.HCl (R = Me, n = 3) had LD50 116.5 and 746.5 mg/kg on mice on i.p. and oral administration, resp.

U

IT 23891-56-7P 23903-09-5P 23903-10-8P 23917-34-2P

RN 23891-56-7 CAPLUS

CN 1-Propanol, 3-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)

 $Ph_2CH - CH_2 - CH_2 - NH - (CH_2)_3 - OH$

RN 23903-09-5 CAPLUS

CN Ethanol, 2-[(3,3-diphenylpropyl)amino]- (8CI, 9CI) (CA INDEX NAME)

Ph2CH-CH2-CH2-NH-CH2-CH2-OH

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09/990,405
```

Ph₂CH-CH₂-CH₂-NH-CH₂-CH₂-OH

RN 23903-10-8 CAPLUS
CN 1-Propanol, 3-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI, 9CI) (CA

 $Ph_2CH-CH_2-CH_2-NH-(CH_2)_3-OH$

● HCl

RN 23917-34-2 CAPLUS

CN Ethanol, 2-[(3,3-diphenylpropyl)amino]-, hydrochloride (8CI) (CA INDEX NAME)

 $Ph_2CH - CH_2 - CH_2 - NH - CH_2 - CH_2 - OH$

HCl

L8 ANSWER 75 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1971:52041 CAPLUS

DN 74:52041

TI Drug therapy of angina pectoris. Evaluation of various drugs by means of an exercise test

AU Kaltenbach, M.

CS Zentrum Inn. Med., Univ. Frankfurt/M., Frankfurt/M., Fed. Rep. Ger.

SO Arzneimittel-Forschung (1970), 20(9a), 1304-10 CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

LA German

GI For diagram(s), see printed CA Issue.

AB A combination of nitroglycerine derivs. with .beta.-receptor-blocking agents is the most effective therapy in angina pectoris. Various pharmaceuticals were tested in patients with angina pectoris whose electrocardiogram showed reproducible ischemic patterns (ST-depression) during and after standardized exercise. The drug effects were measured by the redn. of ST-depression in repeated exercise tests. Nitroglycerine and its derivs., .beta.-receptor blocking agents, and verapamil (I) were effective, whereas carbocromen, oxyfedrine, prenylamine, and dipyridamole were ineffective in long-term therapy.

IT 390-64-7

RL: BIOL (Biological study)
(heart angina pectoris treatment by)

RN 390-64-7 CAPLUS

CN Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) (CA INDEX NAME)

 $\begin{array}{c} \text{NH-CH}_2\text{--}\text{CHPh}_2\\ \\ |\\ \text{Me-CH-CH}_2\text{--}\text{Ph} \end{array}$

INDEX NAME)

```
NH-CH2-CH2-CHPh2
Me-CH-CH2-Ph
L8
     ANSWER 76 OF 79 CAPLUS COPYRIGHT 2003 ACS
     1970:12330 CAPLUS
ΑN
DN
     72:12330
ΤI
     Central nervous system depressing bis(alkoxyaryl)alkyl-N-alkenyl- and
     alkynylamines
IN
     Cho, Arthur K.
PA
     American Hospital Supply Corp.
SO
     U.S., 3 pp.
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 1
                  KIND DATE
     PATENT NO.
                                           APPLICATION NO.
                     ____
     US 3468951
                                           US 1965-463868
                            19690923
                      Α
                                                            19650614
PRAI US 1965-463868
                            19650614
     The title compds. were prepd. for use in inducing central nervous system
     depression and anesthesia. Thus, 110 g 1,2-(MeO) 2C6H4 in 150 ml
     HOAc treated dropwise at -5.degree. with 48 g MeNHCH2CH(OMe)2, 80 ml
     concd. H2SO4 added dropwise <0.degree., and the mixt. stirred 2 hr
     <0.degree., kept 6 days at 5.degree., and worked up gave 137 g
     2,2-bis(3,4-dimethoxyphenyl)-N-methylethylamine (I) oxalate, m.
     193.5-95.degree.. I (15 g) in 50 ml 1:1 Et20-MeOH treated dropwise with
     1.65 ml HC.tplbond.CCH2Br in 50 ml Et20-MeOH gave 2,2-bis(3,4-
     dimethoxyphenyl)-N-methyl-N-propargylethylamine, m. 79.5-80.5.degree.
     (Et20). I (6.9 q) in 50 ml EtOH refluxed 2 hr with 1.06 q CH2:CHCN, then
     kept overnight at room temp. and worked up gave 17.5 g
     2,2-bis(3,4-dimethoxyphenyl)-N-methyl-N-cyanoethylethylamine oxalate, m.
     140.5-42.degree.. 3,4-(MeO)2-C6H3CH:CHCO2H (63.9 g) in 160 g
     1,2-(MeO) 2C6H4 treated over 2 hr at 80.degree. with 64 ml concd. H2SO4,
     and the mixt. heated 5 hr gave 82.3 g 3,3-bis(3,4-
     dimethoxyphenyl) propionic acid, m. 150-2.degree., which was converted
     conventionally into the N-methyl-N-benzyl amide, m. 112-15.degree.. This
     (35.0 g) in 200 ml tetrahydrofuran (THF) added dropwise to 6.0 g LiAlH4 in
     400 ml THF and the mixt. refluxed 10 hr and stirred overnight at room
     temp. gave 32 g 3,3-bis(3,4-dimethoxyphenyl)-N-methyl-N-benzylpropylamine
     [oxalate m. 152.5-55.degree.) (Me2CO-MeOH)]. The free base (13 g) in 30
     ml HOAc hydrogenated overnight at 40 psi over 0.2 g PtO2 gave
     3,3-bis(3,4-dimethoxyphenyl)-N-methylpropylamine (oxalate m.
     177-80.degree.). The free base (5 g) in 20 ml EtOH stirred overnight at
     room temp. and refluxed 4 hr with 0.99 g HC.tplbond.CCH2Br in 5 ml EtOH
     gave 3,3-bis(3,4-dimethoxyphenyl)-N-methyl-N-propargylpropylamine; oxalate
     m. 121.5-4.5.degree.. Similarly to the prepn. fo I was prepd.
     2,2-bis(3,4-methylenedioxyphenyl)-N-methylethylamine, [fumarate m.
     176-9.degree. (MeOH)], which with HC.tplbond.CCH2Br gave
     2,2-bis(3,4-methyl-enedioxyphenyl)-N-methyl-N-propargylethylamine; HCl
     salt m. 174-7.degree.. Starting materials were given for other compds.
     which could be similarly prepd.
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     24785-33-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     24785-33-9 CAPLUS
     Propylamine, 3,3-bis(3,4-dimethoxyphenyl)-N-methyl-, oxalate (8CI) (CA
CN
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CM 1

CRN 47444-96-2 CMF C20 H27 N O4

CM 2

CRN 144-62-7 CMF C2 H2 O4

L8 ANSWER 77 OF 79 CAPLUS COPYRIGHT 2003 ACS

AN 1968:475483 CAPLUS

DN 69:75483

TI Pharmacology of prenylamine

AU Grobecker, H.; Palm, D.; Holtz, P.

CS Pharmakol. Inst., Univ. Frankfurt/M., Frankfurt/M., Fed. Rep. Ger.

Naunyn-Schmiedebergs Archiv fuer Pharmakologie und Experimentelle Pathologie (1968), 260(5), 379-99
CODEN: APEPA2; ISSN: 0365-5423

DT Journal

LA German

AΒ Prenylamine (I) possesses not only the properties of an indirectly acting sympathomimetic amine but also exerts cocaine-like, and unspecificspasmolytic, papaverine-like action. In the cat the chronotropic as well as the blood pressure raising action of I lactate was abolished by concaine-HCl. However, the contractions of the nictitating membrane elicited by I were potentiated. Cocaine was not able to prevent completely the uptake of the lipophilic drug but blocked the reuptake of released noradrenaline. The coronary dilating and inotropic actions of I in isolated perfused rat hearts was abolished by pretreatment with reserpine and restored by infusion of noradrenaline. After i.v. injection of I at 5 mg./kg., only the noradrenaline and dopamine content in rat brain was reduced; the serotonin content remained unchanged. To produce this effect, the tissue concn. of the drug in heart and brain had to be at least 5 times higher than that of noradrenaline as shown by expts. with 14C-labeled I. The underlying mechanism may be similar to that of an indirectly acting sympathomimetic amine and not a reserpine-like one. Because of its cocainelike property, I potentiated the action of noradrenaline on the nictitating membrane to a higher degree than that of adrenaline. The drug inhibited the uptake of 3H-labeled noradrenaline in the isolated perfused rat heart. In vitro I was a reversible and

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CODEN: ECREAL; ISSN: 0014-4827

competitive monoamine oxidase inhibitor. In vivo, inhibition of the enzyme could thus also be responsible for the enhanced action of tyramine on the nictitating membrane caused by the drug. Because of its unspecific-spasmolytic, papaverine-like properties, I inhibited the actions of acetylcholine chloride and histamine dichloride on the quinea pig ileum and the actions of acetylcholine chloride and noradrenaline on the vas deferens of the rat in a noncompetitive manner. This unspecific action explained why I in the cat after cocaine was a pure depressor agent and reduced the pressor action of adrenaline; furthermore, it explains why high doses caused a quinidine-like depression of cardiac muscle. Thus the antiadrenergic actions of prenylamine are not due to the blocking of .alpha.- or .beta.-receptors but are merely unspecific effects. 33 references. 69-43-2 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of) 69-43-2 CAPLUS Propanoic acid, 2-hydroxy-, compd. with N-(1-methyl-2-phenylethyl)-.gamma.phenylbenzenepropanamine (1:1) (9CI) (CA INDEX NAME) CM 1 CRN 390-64-7 CMF C24 H27 N NH-CH2-CH2-CHPh2 Me-CH-CH2-Ph 2 CM CRN 50-21-5 CMF C3 H6 O3 OH Me-CH-CO2H ANSWER 78 OF 79 CAPLUS COPYRIGHT 2003 ACS 1968:67148 CAPLUS 68:67148 5-Hydroxytryptamine release and associated fluorescence and morphological changes of rat peritoneal mast cells in vitro Penttila, Antti; Jansson, Sten E. Univ. Helsinki, Helsinki, Finland Experimental Cell Research (1967), 48(3), 625-8

LΑ English 5-Hydroxytryptamine (I) release incubations were carried out on peritoneal AΒ cells in isotonic solns. at 0.degree. and pH 6.9. Incubation in the i otonic soln. caused some swelling of mast cells after 15 min. Further morphological changes were proportional to the incubation time to 4 hrs. Most of the cells appeared normal after incubation in 0.45% NaCl, but

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further lowering of the osmolari y caused an almost complete disruption after incubation in water. The pH and temp. had little effect on the mast cell morphology. Chlorpromazine (II) and segontin (III) caused pronounced degranulation and disruption of the mast cells at 10-3M and 23.degree.; mast cells remaining intact showed a dense granular and compact structure. II and III at 10-5M had no effect on mast cell morphology. Reserpine at 10-4-10-6M and 23.degree. had a slight effect. The typical I fluorescence correlated with the morphological observations. After incubation in the isotonic soln., no significant depression in the fluorescence intensity of the mast cells occurred. 390-64-7 RL: BIOL (Biological study) (5-hydroxytryptamine release and morphological changes of mast cells after treatment with) 390-64-7 CAPLUS Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI) INDEX NAME) NH-CH2-CH2-CHPh2 Me-CH-CH2-Ph ANSWER 79 OF 79 CAPLUS COPYRIGHT 2003 ACS 1962:82524 CAPLUS 56:82524 OREF 56:16104f-h Experimental evaluation of drugs for coronary insufficiency induced by hypoxemia and picrotoxin Varma, Daya R.; Melville, Kenneth I. McGill Univ., Montreal, Can. Am. J. Cardiol. (1962), 9, 471-81 Journal Unavailable Glyceryl trinitrate (I), trolnitrate (II), papaverine, (III), aminophylline (IV), Persantin (V), and Segonin (VI) were studied for their effects upon the ST-T depression induced by hypoxemia in normal and atherosclerotic rabbits, in normal and coronary-ligated dogs, and by injection of picrotoxin (VII) into the lateral ventricle of rabbits. All drugs studied enhance the ST-T depression. The normal coronary outflow in dogs is increased by I, but I reduces coronary flow when given during hypoxemia. VII injected into the lateral ventricle of rabbits causes hypertension, ST-T depression, and cardiac irregularities. This type of depression is decreased by I, II, and III, but is increased by IV, V, and VI. The use of VII is suggested as a new exptl. method for the evaluation of drugs against coronary insufficiency. Pretreatment with iproniazid does not prevent the ST-T depression induced by either hypoxemia or VII. 390-64-7, Phenethylamine, N-(3,3-diphenylpropyl)-.alpha.-methyl-(heart insufficiency response to picrotoxin in evaluation of)

Benzenepropanamine, N-(1-methyl-2-phenylethyl)-.gamma.-phenyl- (9CI)

NH-CH2-CH2-CHPh2 Me-CH-CH2-Ph

INDEX NAME)

390-64-7 CAPLUS

$$\begin{array}{c} \text{NH-CH}_2\text{--CH}_2\text{--CHPh}_2 \\ | \\ \text{Me-CH-CH}_2\text{--Ph} \end{array}$$